

L10 ANSWER 1 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:601983 CAPLUS Full-text

DN 147:203032

TI Inhibition of Bcr-Abl phosphorylation and induction of apoptosis by
pyrazolo[3,4-d]pyrimidines in human leukemia cells

AU Manetti, Fabrizio; Pucci, Annalisa; Magnani, Matteo; Locatelli, Giada A.;
Brullo, Chiara; Naldini, Antonella; Schenone, Silvia; Maga, Giovanni;
Carraro, Fabio; Botta, Maurizio

CS Dipartimento Farmaco Chimico Tecnologico, Universita degli Studi di Siena,
Siena, 53100, Italy

SO ChemMedChem (2007), 2(3), 343-353

CODEN: CHEMGX; ISSN: 1860-7179

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

AB A series of pyrazolo[3,4-d]pyrimidines, previously found to be Src inhibitors,
was tested for their ability to inhibit proliferation of three Bcr-Abl-pos.
human leukemia cell lines (K-562, KU-812, and MEG-01), on the basis of the
exptl. evidence that various Src inhibitors are also active against Bcr-Abl
kinase (the so called dual Src/Abl inhibitors). They reduce Bcr-Abl tyrosine
phosphorylation and promote apoptosis of the Bcr-Abl-expressing cells. A
cell-free enzymic assay on isolated c-Abl confirmed that such compds. directly
inhibit Abl activity. Finally, mol. modeling simulations were also performed
to hypothesize the binding mode of the compds. into the Abl binding site.

IT 691390-35-9P 805227-61-6P 805326-18-5P

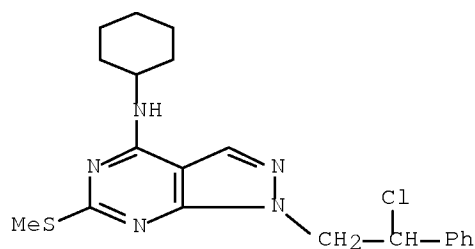
805326-23-2P 805326-26-5P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)

(inhibition of Bcr-Abl phosphorylation and induction of apoptosis by
pyrazolo[3,4-d]pyrimidines in human leukemia cells)

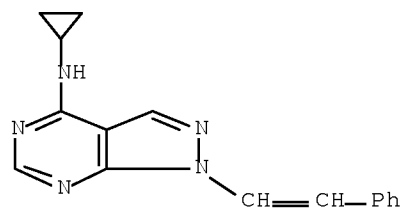
RN 691390-35-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-
cyclohexyl-6-(methylthio)- (CA INDEX NAME)



RN 805227-61-6 CAPLUS

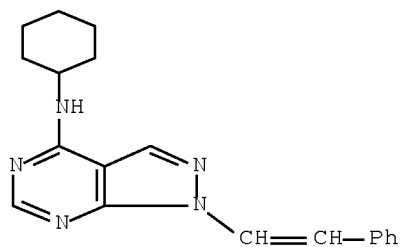
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopropyl-1-(2-phenylethenyl)-
(CA INDEX NAME)



RN 805326-18-5 CAPLUS

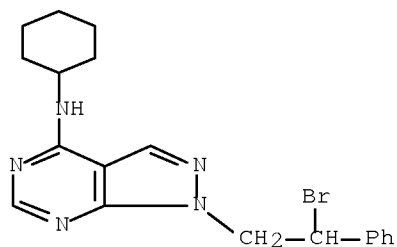
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1-(2-phenylethenyl)-

(CA INDEX NAME)



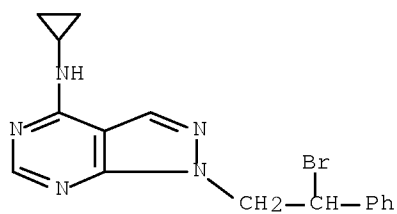
RN 805326-23-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-cyclohexyl- (CA INDEX NAME)



RN 805326-26-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-cyclopropyl- (CA INDEX NAME)



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:337894 CAPLUS Full-text

DN 144:384968

TI Engineered protein kinases which can utilize modified nucleotide triphosphate substrates

IN Shokat, Kevan

PA Princeton University, USA

SO U.S., 54 pp., Cont.-in-part of U.S. Ser. No. 797,522.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | US 7026461 | B1 | 20060411 | US 2001-985061 | 20011101 |
| | WO 9835048 | A2 | 19980813 | WO 1998-US2522 | 19980209 |
| | WO 9835048 | A3 | 19990107 | | |
| | W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1607481 | A1 | 20051221 | EP 2004-76255 | 19980209 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | JP 2004248675 | A | 20040909 | JP 2004-87151 | 20040324 |
| | US 2006263800 | A1 | 20061123 | US 2006-358947 | 20060222 |
| PRAI | US 1997-797522 | B2 | 19970207 | | |
| | US 1997-46727P | P | 19970516 | | |
| | WO 1998-US2522 | W | 19980209 | | |
| | US 1999-367065 | A3 | 19991117 | | |
| | EP 1998-906268 | A3 | 19980209 | | |
| | JP 1998-534999 | A3 | 19980209 | | |
| | US 2001-985061 | A3 | 20011101 | | |

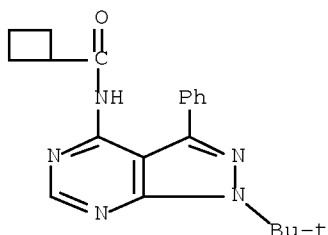
AB The present invention involves the engineering of kinases and other multi-substrate enzymes such that they can become bound by inhibitors which are not as readily bound by their wild-type forms. In a first aspect, the present invention involves the engineering of kinases and other multi-substrate enzymes such that they can utilize modified substrates which are not as readily used by their wildtype forms. The invention further provides such chemical modified nucleotide triphosphate substrates, methods of making them, and methods of using them. The methods of the present invention include methods for using the modified substrates along with the engineered kinases to identify which protein substrates the kinases act upon, to measure the extent of such action, and to determine if test compds. can modulate such action. An engineered kinase made according to the present invention will be able to use an orthogonal nucleotide triphosphate substrate that is not as readily used by other, non-engineered kinases present in cells. By labeling the phosphate on the orthogonal substrate, e.g., by using radioactive phosphorous (p32), and then adding that labeled substrate to permeabilized cells or cell exts., the protein substrates of the engineered kinase will become labeled, whereas the protein substrates of other kinases will be at least labeled to a lesser degree; preferably, the protein substrates of the other kinases will not be substantially labeled, and most preferably, they will not be labeled at all. The detailed description and examples provided below describe the use of this strategy to uniquely tag the direct substrates of the prototypical tyrosine kinase, v-Src. Through protein engineering a chemical difference has been made in the amino acid sequence which imparts a new structural distinction

between the nucleotide binding site of the modified v-Src and that of all other kinases. The v-Src kinase the inventors have engineered recognizes an ATP analog (A*TP), N6-(cyclopentyl)ATP, which is orthogonal to the nucleotide substrate of wild-type kinases. The generation of a v-Src mutant with specificity for an orthogonal A*TP substrate allows for the direct substrates of v-Src to be uniquely radiolabeled using (γ - 32 P) N6-(cyclopentyl)ATP, because it is able to serve as substrate to the engineered v-Src kinase, but is not substantially able to serve as substrate for other cellular kinases. The detailed description and examples provided below describe the use of this strategy to uniquely identify the direct substrates of the prototypical tyrosine kinase, v-Src. The engineered v-Src kinases that have been made and presented herein bind to an orthogonal analog of the more general kinase inhibitor PP3: the compound N04 cyclopentoyl PP3. The generation of a v-Src mutant with specificity for such an inhibitor allows for the mutant to be inhibited, whereas other kinases in the same test system are not substantially inhibited, not even the wildtype form of that same kinase.

IT 206991-88-0P, 4-Cyclobutylamido-1-tert-butyl-3-phenylpyrazolo
[3,4-d]pyrimidine 206991-89-1P, 4-Cyclopentylamido-1-tert-butyl-
3-phenylpyrazolo[3,4-d]pyrimidine 206991-90-4P,
4-Cyclohexylamido-1-tert-butyl-3-phenylpyrazolo[3,4-d]pyrimidine
206991-95-9P, 4-Cyclopentylmethylamino-1-tert-butyl-3-
phenylpyrazolo[3,4-d]pyrimidine
RL: SPN (Synthetic preparation); PREP (Preparation)
(engineered protein kinases which can utilize modified nucleotide
triphosphate substrates)

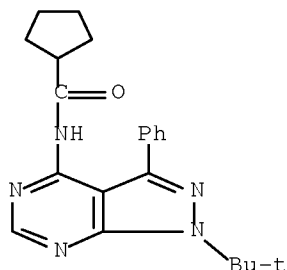
RN 206991-88-0 CAPLUS

CN Cyclobutanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-
d]pyrimidin-4-yl]- (CA INDEX NAME)



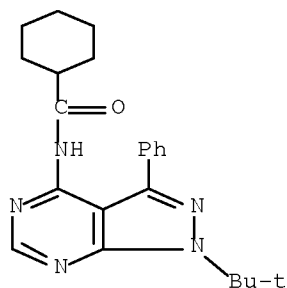
RN 206991-89-1 CAPLUS

CN Cyclopentanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-
d]pyrimidin-4-yl]- (CA INDEX NAME)



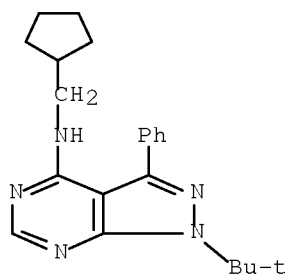
RN 206991-90-4 CAPLUS

CN Cyclohexanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



RN 206991-95-9 CAPLUS

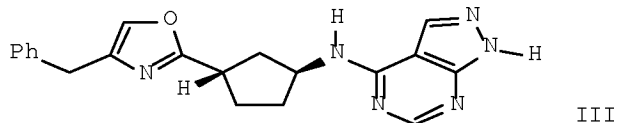
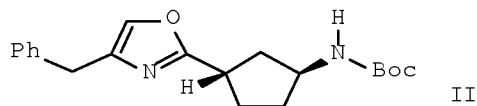
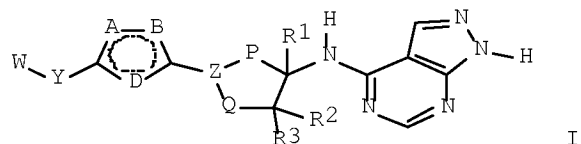
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-phenyl- (CA INDEX NAME)



RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2006:152720 CAPLUS Full-text
 DN 144:212785
 TI 1,3-Disubstituted heteroaryl derivatives as NMDA/NR2B antagonists, their preparation, pharmaceutical compositions, and use in therapy
 IN Layton, Mark E.; Rodzinak, Kevin J.; Kelly, Michael J., III; Sanderson, Philip E.
 PA Merck & Co., Inc., USA
 SO PCT Int. Appl., 116 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|------------------|----------|
| PI | WO 2006017409 | A2 | 20060216 | WO 2005-US27160 | 20050729 |
| | WO 2006017409 | A3 | 20061130 | | |
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| | RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | AU 2005271669 | A1 | 20060216 | AU 2005-271669 | 20050729 |
| | CA 2575430 | A1 | 20060216 | CA 2005-2575430 | 20050729 |
| | EP 1797094 | A2 | 20070620 | EP 2005-777474 | 20050729 |
| | R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | |
| | CN 1993363 | A | 20070704 | CN 2005-80026250 | 20050729 |
| | IN 2007CN00537 | A | 20070824 | IN 2007-CN537 | 20070207 |
| PRAI | US 2004-598521P | P | 20040803 | | |
| | WO 2005-US27160 | W | 20050729 | | |
| OS | MARPAT 144:212785 | | | | |
| GI | | | | | |



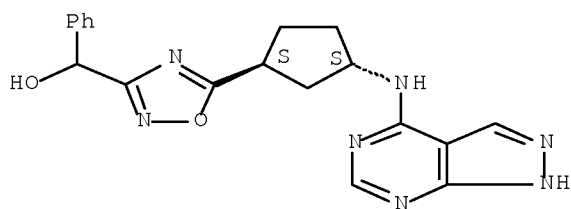
AB The invention relates to 1,3-disubstituted heteroaryl compds. I, which are antagonists of the NR2B subunit of N-methyl-D-aspartate (NMDA) receptors. In compds. I, W is (un)substituted aryl or (un)substituted heteroaryl; Y is a bond, (un)substituted C1-3 alkylene, cyclopropyl, or carbonyl; P and Q are (un)substituted methylene; Z is N or (un)substituted C; A, B, and D are independently selected from O, S, (un)substituted methine, and (un)substituted N; R1 is selected from H and (un)substituted C1-4 alkyl; and R2 and R3 are independently selected from H, halo, OH, cyano, (un)substituted C1-4 alkyl, C1-4 alkoxy, and (un)substituted amino; including pharmaceutically acceptable salts and individual enantiomers and stereoisomers thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of I and an inert carrier, as well as to the use of the compns. for the treatment of neurol. conditions such as, pain, Parkinson's disease, Alzheimer's disease, epilepsy, depression, anxiety, ischemic brain injury including stroke, and other conditions. Amidation of (1S,3S)-N-Boc-3-aminocyclopentanecarboxylic acid and heterocyclization with 1-chloro-3-phenylacetone gave oxazole II, which underwent deprotection, substitution of 4-chloro-1-(tetrahydropyran-2-yl)-1H-pyrazolo[3,4-d]pyrimidine and deprotection to give oxazole III. The compds. of the invention express IC50 and Ki values of less than 50 μ M in functional and binding assays, resp.

IT 875898-61-6P 875898-67-2P 875898-73-0P
875898-75-2P 875898-79-6P 875898-92-3P
875898-98-9P 875899-05-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of disubstituted heteroaryl derivs. as NMDA/NR2B antagonists useful for treating neurol. conditions)

RN 875898-61-6 CAPLUS

CN 1,2,4-Oxadiazole-3-methanol, α -phenyl-5-[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]- (CA INDEX NAME)

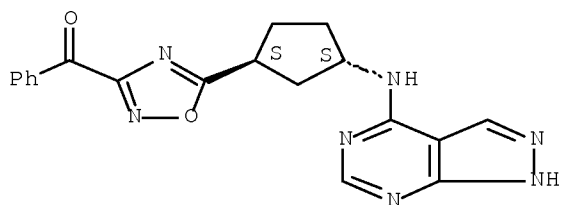
Absolute stereochemistry.



RN 875898-67-2 CAPLUS

CN Methanone, phenyl[5-[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)

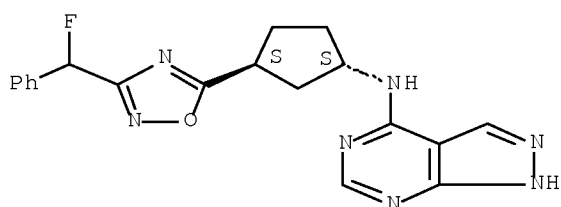
Absolute stereochemistry.



RN 875898-73-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(fluorophenylmethyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

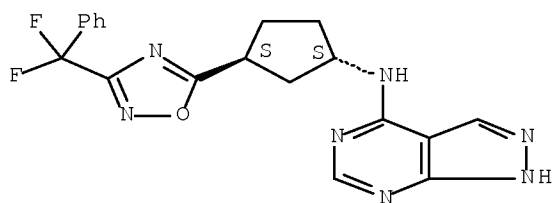
Absolute stereochemistry.



RN 875898-75-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(difluorophenylmethyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

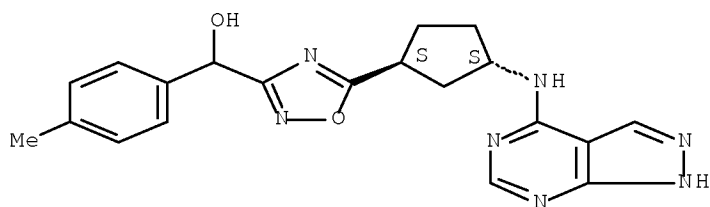
Absolute stereochemistry.



RN 875898-79-6 CAPLUS

CN 1,2,4-Oxadiazole-3-methanol, α -(4-methylphenyl)-5-[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]- (CA INDEX NAME)

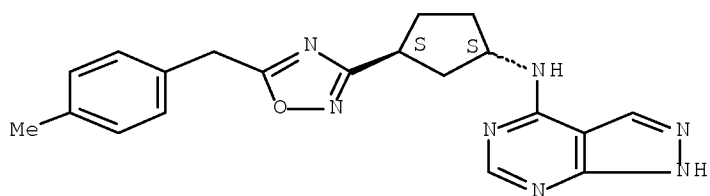
Absolute stereochemistry.



RN 875898-92-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-[(4-methylphenyl)methyl]-1,2,4-oxadiazol-3-yl]cyclopentyl]- (CA INDEX NAME)

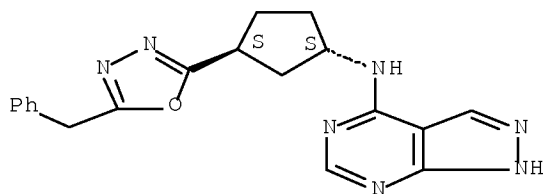
Absolute stereochemistry.



RN 875898-98-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-(phenylmethyl)-1,3,4-oxadiazol-2-yl]cyclopentyl]- (CA INDEX NAME)

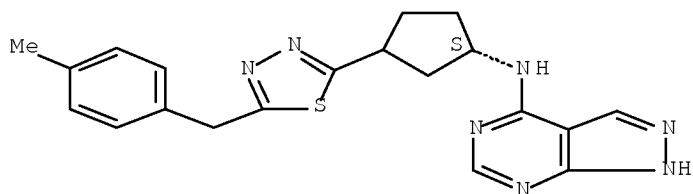
Absolute stereochemistry.



RN 875899-05-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S)-3-[5-[(4-methylphenyl)methyl]-1,3,4-thiadiazol-2-yl]cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 875898-02-5P 875898-09-2P 875898-10-5P
 875898-14-9P 875898-16-1P 875898-20-7P
 875898-24-1P 875898-27-4P 875898-28-5P
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 875898-74-1P 875898-78-5P 875898-83-2P
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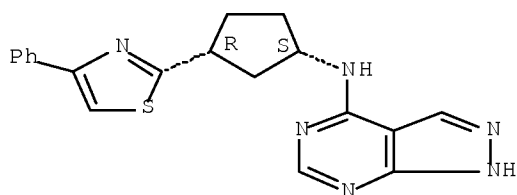
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of disubstituted heteroaryl derivs. as NMDA/NR2B antagonists useful for treating neurol. conditions)

RN 875898-02-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-(4-phenyl-2-thiazolyl)cyclopentyl]- (CA INDEX NAME)

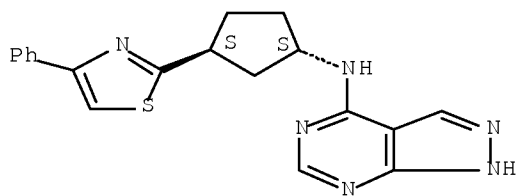
Absolute stereochemistry.



RN 875898-09-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(4-phenyl-2-thiazolyl)cyclopentyl]- (CA INDEX NAME)

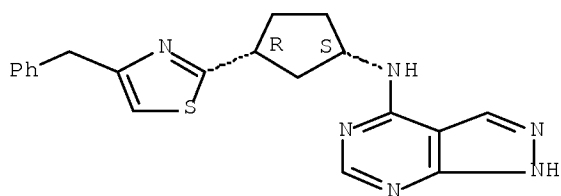
Absolute stereochemistry.



RN 875898-10-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-[4-(phenylmethyl)-2-thiazolyl]cyclopentyl]- (CA INDEX NAME)

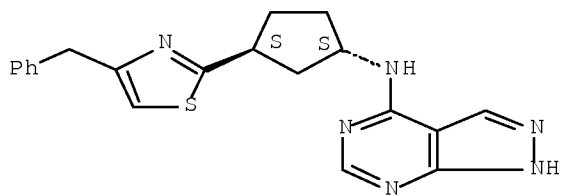
Absolute stereochemistry.



RN 875898-14-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[4-(phenylmethyl)-2-thiazolyl]cyclopentyl]- (CA INDEX NAME)

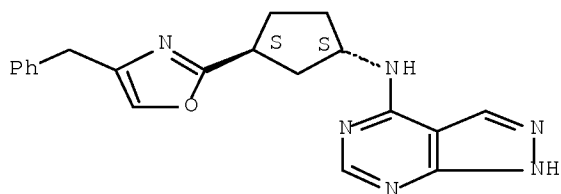
Absolute stereochemistry.



RN 875898-16-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[4-(phenylmethyl)-2-oxazolyl]cyclopentyl]- (CA INDEX NAME)

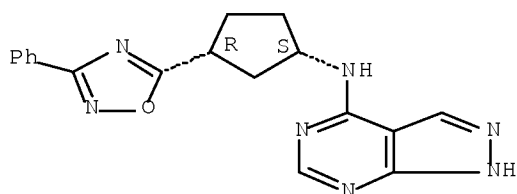
Absolute stereochemistry.



RN 875898-20-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-(3-phenyl-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

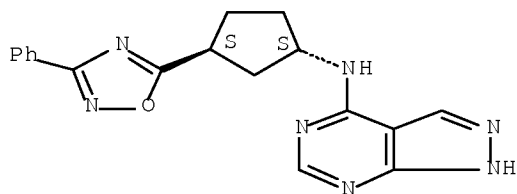
Absolute stereochemistry.



RN 875898-24-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(3-phenyl-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

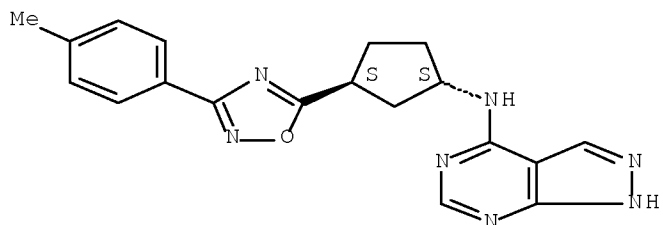
Absolute stereochemistry.



RN 875898-27-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(4-methylphenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

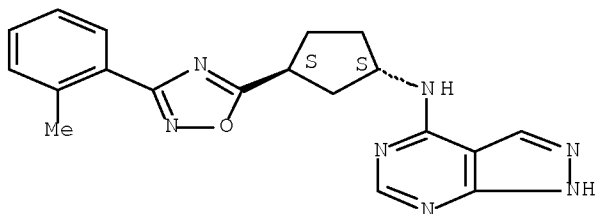
Absolute stereochemistry.



RN 875898-28-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(2-methylphenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

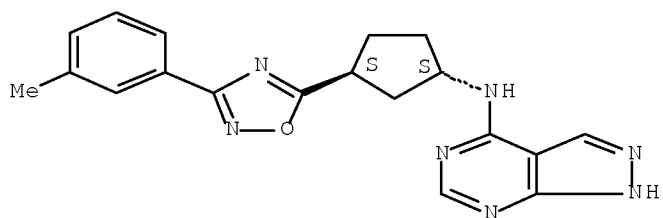
Absolute stereochemistry.



RN 875898-29-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(3-methylphenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

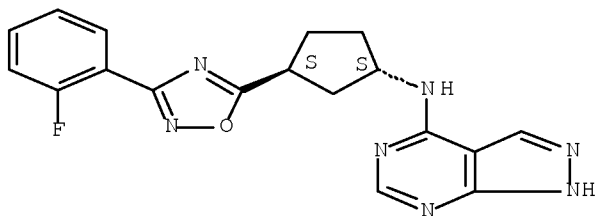
Absolute stereochemistry.



RN 875898-30-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(2-fluorophenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

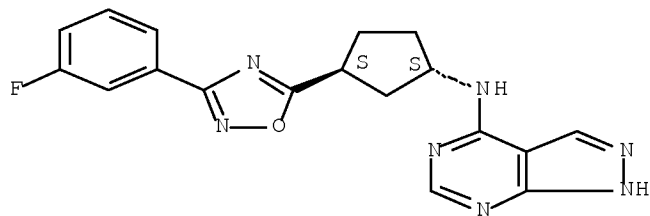
Absolute stereochemistry.



RN 875898-31-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(3-fluorophenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

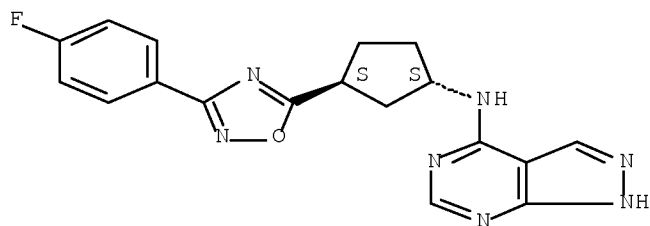
Absolute stereochemistry.



RN 875898-32-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(4-fluorophenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

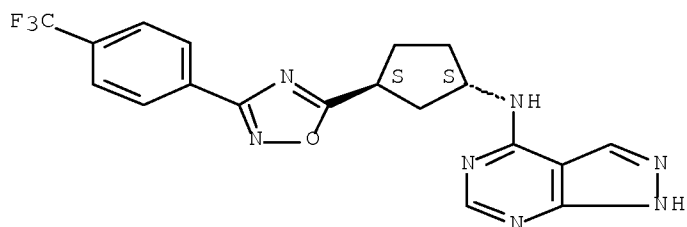
Absolute stereochemistry.



RN 875898-33-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[4-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

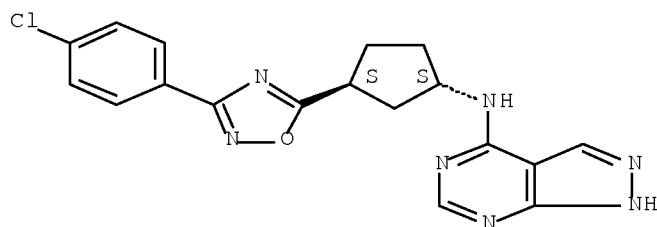
Absolute stereochemistry.



RN 875898-34-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(4-chlorophenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

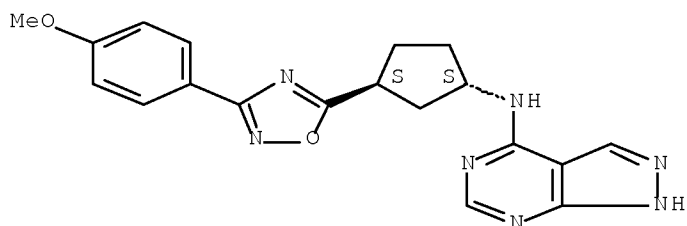
Absolute stereochemistry.



RN 875898-35-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(4-methoxyphenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

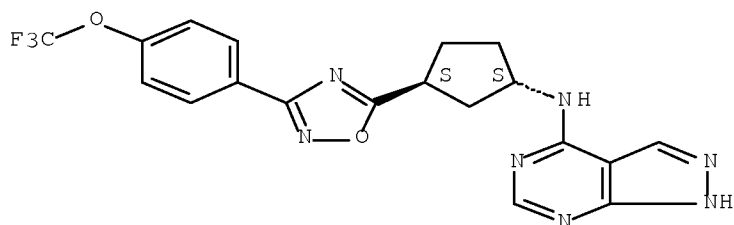
Absolute stereochemistry.



RN 875898-36-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[4-(trifluoromethoxy)phenyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

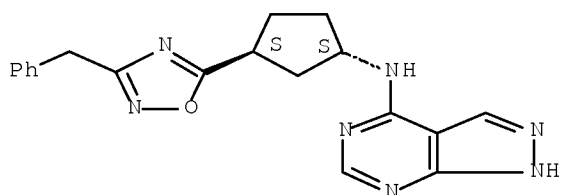
Absolute stereochemistry.



RN 875898-37-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(phenylmethyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

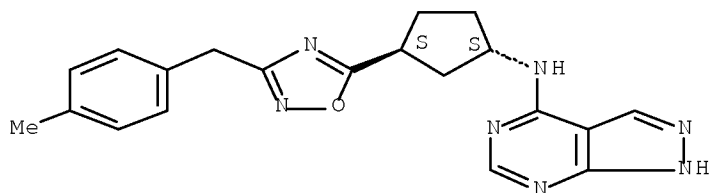
Absolute stereochemistry.



RN 875898-41-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(4-methylphenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

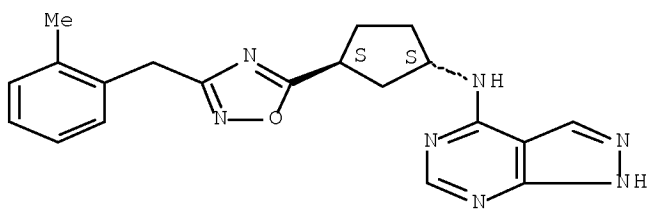
Absolute stereochemistry.



RN 875898-45-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(2-methylphenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

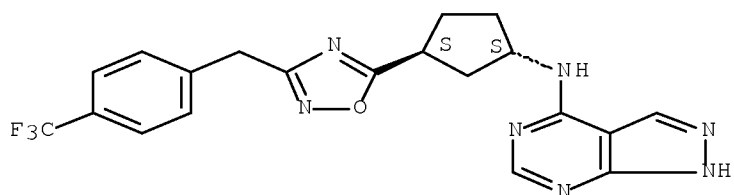
Absolute stereochemistry.



RN 875898-46-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[[4-(trifluoromethyl)phenyl]methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

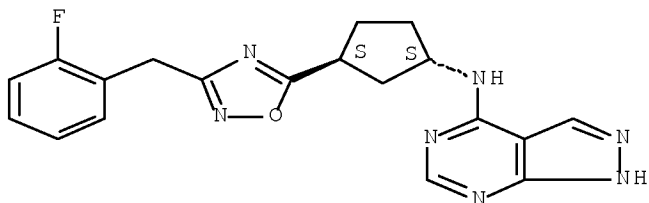
Absolute stereochemistry.



RN 875898-47-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(2-fluorophenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

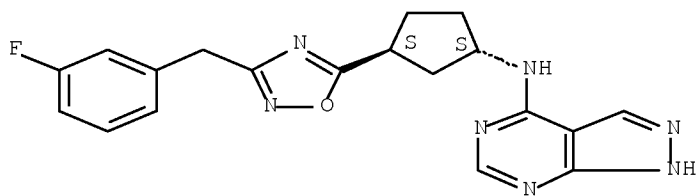
Absolute stereochemistry.



RN 875898-48-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(3-fluorophenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

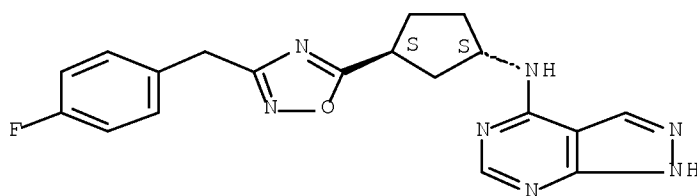
Absolute stereochemistry.



RN 875898-49-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(4-fluorophenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

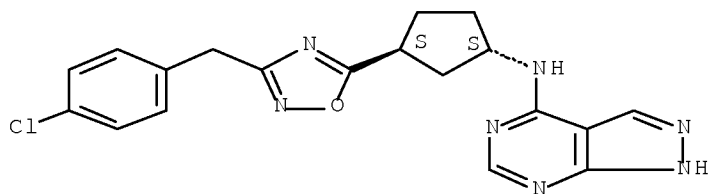
Absolute stereochemistry.



RN 875898-50-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(4-chlorophenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

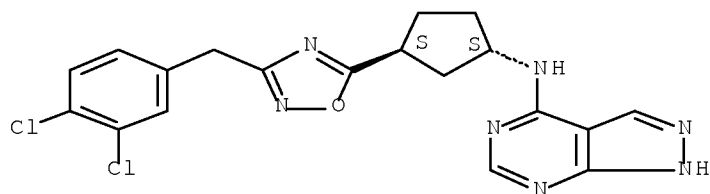
Absolute stereochemistry.



RN 875898-51-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(3,4-dichlorophenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

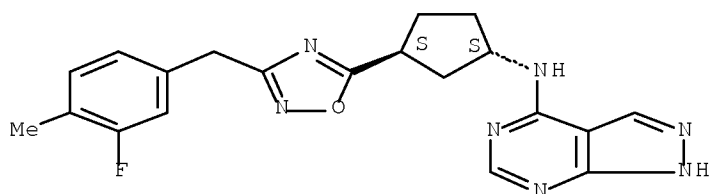
Absolute stereochemistry.



RN 875898-52-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(3-fluoro-4-methylphenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

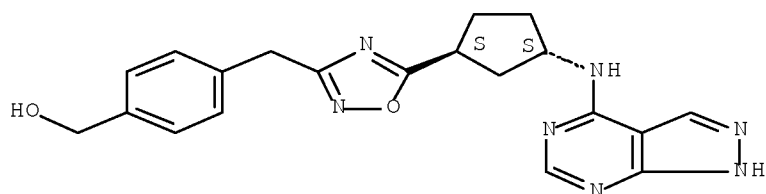
Absolute stereochemistry.



RN 875898-53-6 CAPLUS

CN Benzenemethanol, 4-[5-[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]-1,2,4-oxadiazol-3-yl]methyl]- (CA INDEX NAME)

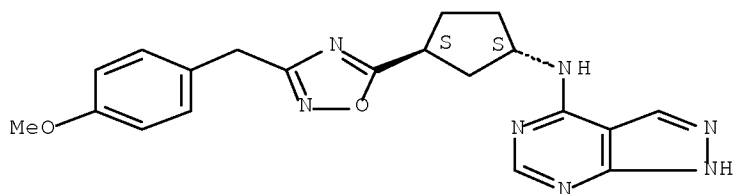
Absolute stereochemistry.



RN 875898-54-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(4-methoxyphenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

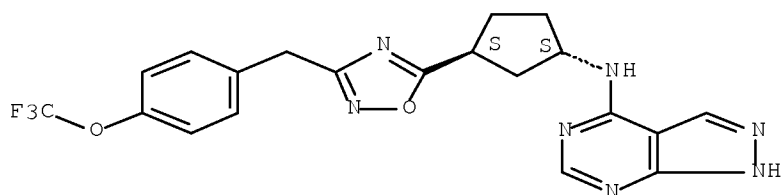
Absolute stereochemistry.



RN 875898-55-8 CAPLUS

| | | |
|----|--|-----|
| CN | 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[[4-(trifluoromethoxy)phenyl]methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- | (CA |
| | INDEX NAME) | |

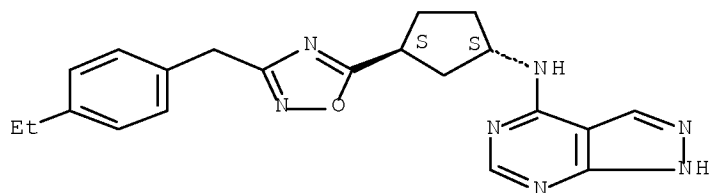
Absolute stereochemistry.



RN 875898-56-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(4-ethylphenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

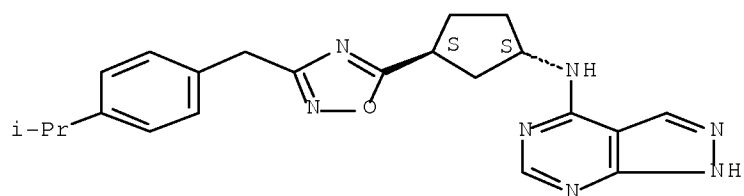
Absolute stereochemistry.



RN 875898-57-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[[4-(1-methylethyl)phenyl]methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

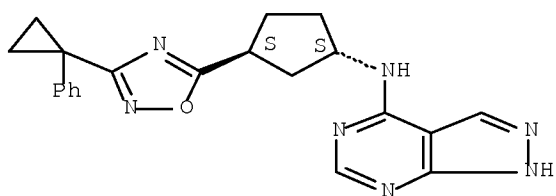
Absolute stereochemistry.



RN 875898-58-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(1-phenylcyclopropyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

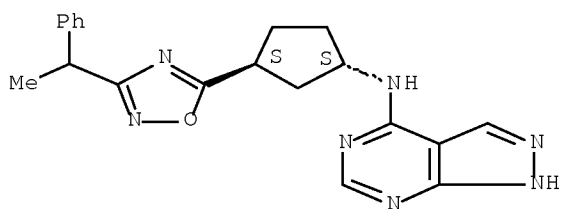
Absolute stereochemistry.



RN 875898-59-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(1-phenylethyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

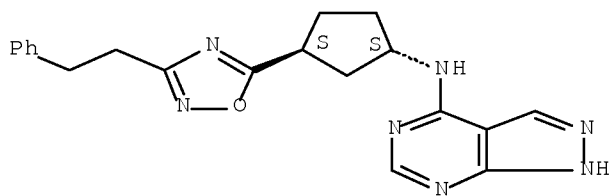
Absolute stereochemistry.



RN 875898-60-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(2-phenylethyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

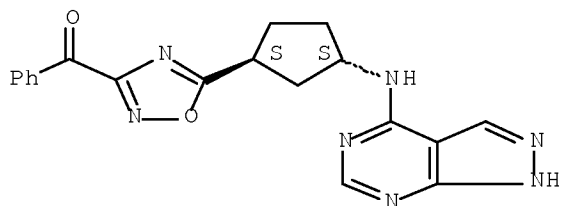
Absolute stereochemistry.



RN 875898-72-9 CAPLUS

CN Methanone, phenyl[5-[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]-1,2,4-oxadiazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

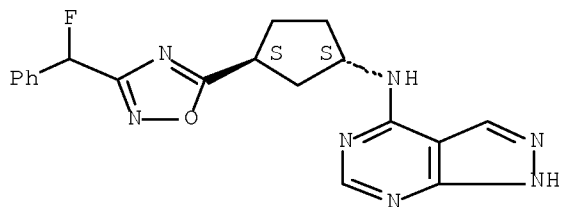


● HCl

RN 875898-74-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(fluorophenylmethyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

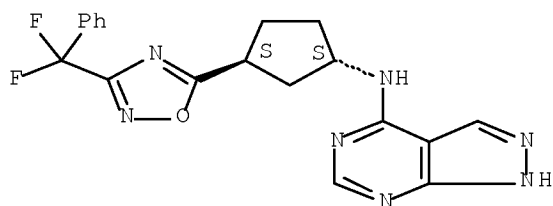


● HCl

RN 875898-78-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(difluorophenylmethyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

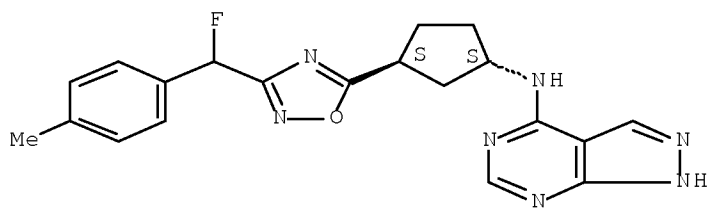


● HCl

RN 875898-83-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[fluoro(4-methylphenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

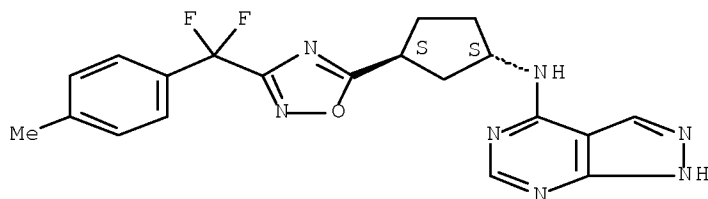
Absolute stereochemistry.



RN 875898-84-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[difluoro(4-methylphenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

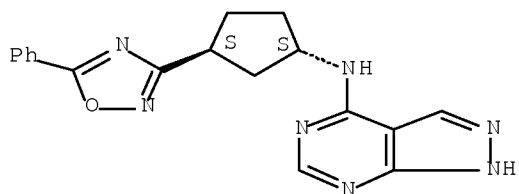
Absolute stereochemistry.



RN 875898-88-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(5-phenyl-1,2,4-oxadiazol-3-yl)cyclopentyl]- (CA INDEX NAME)

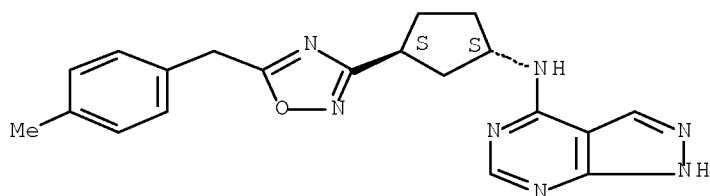
Absolute stereochemistry.



RN 875898-95-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-[(4-methylphenyl)methyl]-1,2,4-oxadiazol-3-yl]cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

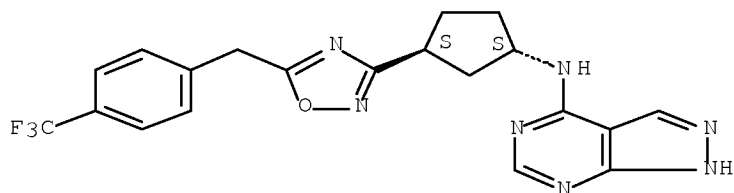


● HCl

RN 875898-96-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-[[4-(trifluoromethyl)phenyl)methyl]-1,2,4-oxadiazol-3-yl]cyclopentyl]- (CA INDEX NAME)

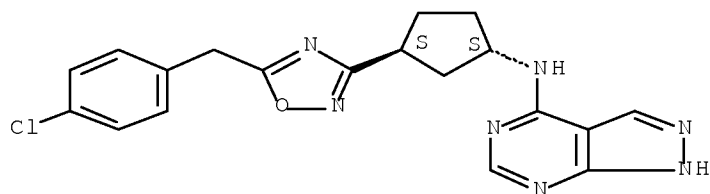
Absolute stereochemistry.



RN 875898-97-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-[(4-chlorophenyl)methyl]-1,2,4-oxadiazol-3-yl]cyclopentyl]- (CA INDEX NAME)

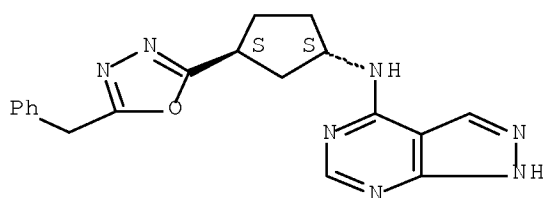
Absolute stereochemistry.



RN 875899-02-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-(phenylmethyl)-1,3,4-oxadiazol-2-yl]cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

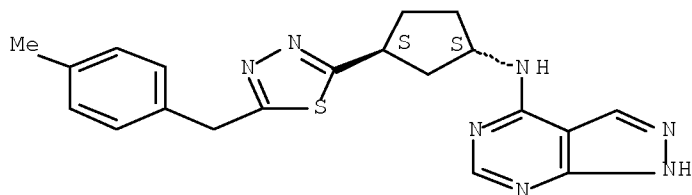


● HCl

RN 875899-06-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-[(4-methylphenyl)methyl]-1,3,4-thiadiazol-2-yl]cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

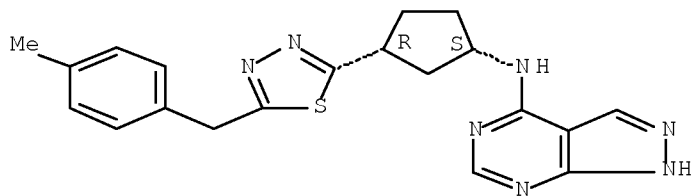


● HCl

RN 875899-07-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-[5-[(4-methylphenyl)methyl]-1,3,4-thiadiazol-2-yl]cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

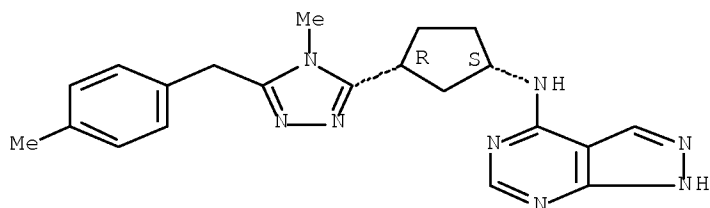


● HCl

RN 875899-08-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-[4-methyl-5-[(4-methylphenyl)methyl]-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)

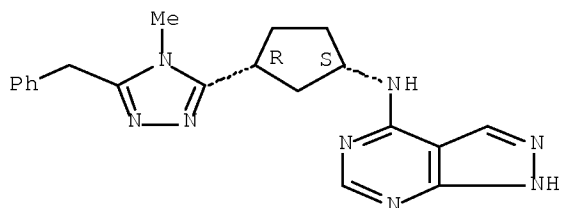
Absolute stereochemistry.



RN 875899-13-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-[4-methyl-5-(phenylmethyl)-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)

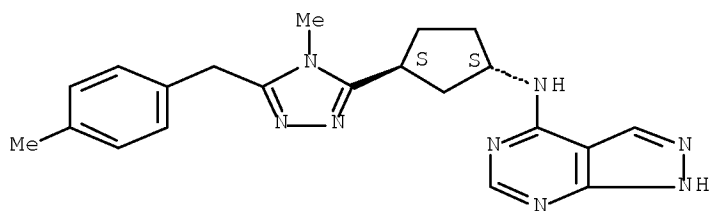
Absolute stereochemistry.



RN 875899-14-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[4-methyl-5-[(4-methylphenyl)methyl]-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)

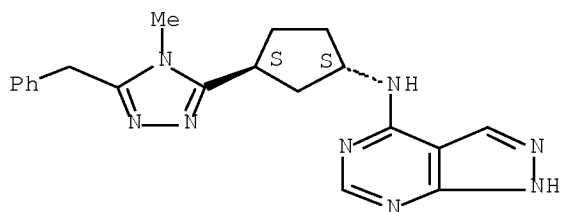
Absolute stereochemistry.



RN 875899-19-7 CAPLUS

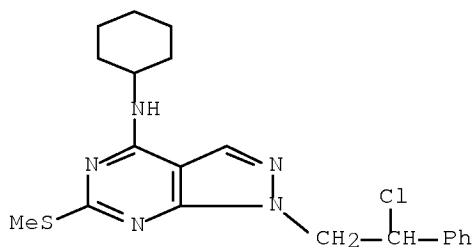
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[4-methyl-5-(phenylmethyl)-4H-1,2,4-triazol-3-yl]cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

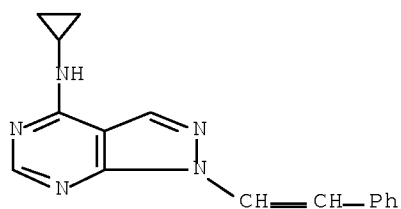


● HCl

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 DN 144:370033
 TI Pyrazolo[3,4-d]pyrimidines as Potent Antiproliferative and Proapoptotic Agents toward A431 and 8701-BC Cells in Culture via Inhibition of c-Src Phosphorylation
 AU Carraro, Fabio; Naldini, Antonella; Pucci, Annalisa; Locatelli, Giada A.; Maga, Giovanni; Schenone, Silvia; Bruno, Olga; Ranise, Angelo; Bondavalli, Francesco; Brullo, Chiara; Fossa, Paola; Menozzi, Giulia; Mosti, Luisa; Modugno, Michele; Tintori, Cristina; Manetti, Fabrizio; Botta, Maurizio
 CS Dipartimento di Fisiologia, Sezione di Neuroimmunofisiologia, Universita degli Studi di Siena, Siena, I-53100, Italy
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 LA English
 OS CASREACT 144:370033
 AB The synthesis of new pyrazolo[3,4-d]pyrimidine derivs. along with their biol. properties as inhibitors of isolated Src and cell line proliferation (A431 and 8701-BC cells) is reported. Such compds. block the growth of cancer cells by interfering with the phosphorylation of Src, and they act as proapoptotic agents through the inhibition of the antiapoptotic gene BCL2. Several of them were found to be more active than the reference compound, 1-tert-butyl-3-(4-chlorophenyl)-4-aminopyrazolo[3,4-d]pyrimidine (PP2), in inhibiting cell proliferation and in inducing apoptosis, and as active as PP2 in the inhibition of the phosphorylation of isolated Src. Moreover, mol. modeling simulations have been performed to hypothesize the way, at the mol. level, by which the inhibitors were able to act as antiproliferative agents.
 IT 691390-35-9P 805227-61-6P 805326-18-5P
 805326-23-2P 805326-26-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of pyrazolo[3,4-d]pyrimidines as potent antiproliferative and proapoptotic agents toward A431 and 8701-BC cells in culture via inhibition of c-Src phosphorylation)
 RN 691390-35-9 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclohexyl-6-(methylthio)- (CA INDEX NAME)

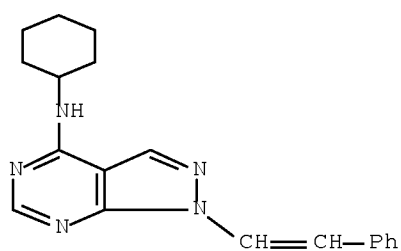


RN 805227-61-6 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopropyl-1-(2-phenylethenyl)- (CA INDEX NAME)



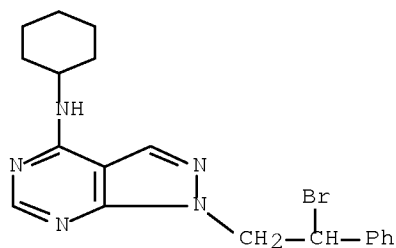
RN 805326-18-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1-(2-phenylethenyl)-
(CA INDEX NAME)



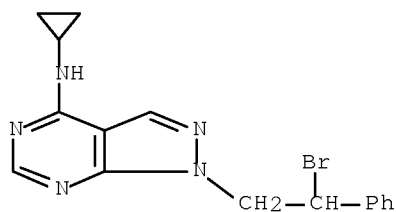
RN 805326-23-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-cyclohexyl-
(CA INDEX NAME)



RN 805326-26-5 CAPLUS

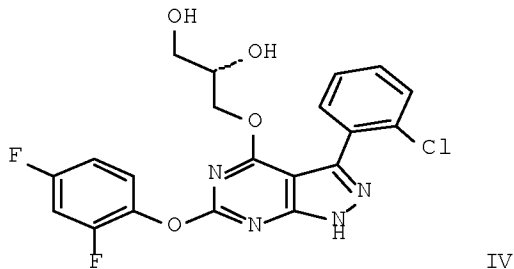
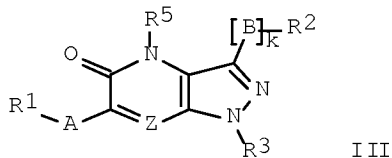
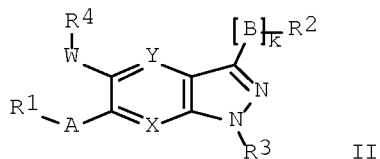
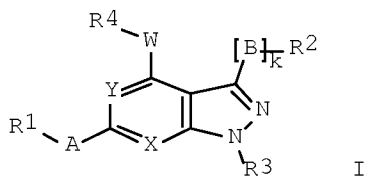
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-cyclopropyl-
(CA INDEX NAME)



RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2005:983769 CAPLUS Full-text
 DN 143:286445
 TI Preparation of fused pyrazolo pyrimidine and pyrazolo pyrimidinone
 derivatives as p38 kinase inhibitors
 IN Arora, Nidhi; Billedeau, Roland Joseph; Dewdney, Nolan James; Gabriel,
 Tobias; Goldstein, David Michael; O'Yang, Counde; Soth, Michael
 PA USA
 SO U.S. Pat. Appl. Publ., 90 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|------------------|----------|
| PI | US 2005197340 | A1 | 20050908 | US 2005-67336 | 20050225 |
| | AU 2005219525 | A1 | 20050915 | AU 2005-219525 | 20050224 |
| | CA 2557575 | A1 | 20050915 | CA 2005-2557575 | 20050224 |
| | WO 2005085249 | A1 | 20050915 | WO 2005-EP1936 | 20050224 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, | | | | |
| | CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, | | | | |
| | GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, | | | | |
| | LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, | | | | |
| | NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, | | | | |
| | SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, | | | | |
| | AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, | | | | |
| | EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, | | | | |
| | RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, | | | | |
| | MR, NE, SN, TD, TG | | | | |
| | EP 1737865 | A1 | 20070103 | EP 2005-707608 | 20050224 |
| | R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, | | | | |
| | IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| | CN 1926139 | A | 20070307 | CN 2005-80006344 | 20050224 |
| | BR 2005008036 | A | 20070717 | BR 2005-8036 | 20050224 |
| | JP 2007523938 | T | 20070823 | JP 2007-500149 | 20050224 |
| | MX 2006PA09465 | A | 20061107 | MX 2006-PA9465 | 20060818 |
| | IN 2006CN03107 | A | 20070608 | IN 2006-CN3107 | 20060825 |
| | NO 2006004015 | A | 20061123 | NO 2006-4015 | 20060906 |
| PRAI | US 2004-548583P | P | 20040227 | | |
| | WO 2005-EP1936 | W | 20050224 | | |
| OS | MARPAT 143:286445 | | | | |
| GI | | | | | |



AB The title compds. I-III [R1 = (hetero)aryl, aralkyl, cycloalkyl; R2 = (hetero)aryl, cycloalkyl, alkyl, heterocyclyl; R3 = H, alkyl; R4 = H, alkyl, OH, etc.; R5 = H, alkyl, heteroalkyl, etc.; X, Y = N, or one of X and Y = N and the other = CR6 (R6 = H, alkyl, OH, etc.); Z = N, CR6; W = O, SOm, CH2, (un)substituted NH; m = 0-2; A = O, CH2, SOm, C(O), etc.; B = O, SOm, C(O), etc.; k = 0-1], useful in treating p38 mediated disorders, were prepared and formulated. E.g., a multi-step synthesis of (S)-IV, starting from 4,6-dichloro-2-(methylthio)pyrimidine and 2-chlorobenzaldehyde, was given. The compds. I were found to be inhibitors of p38 MAP kinase. IV showed a p38 IC50 of 0.004 μ M.

IT 864299-29-6P 864299-30-9P 864299-37-6P
864299-44-5P

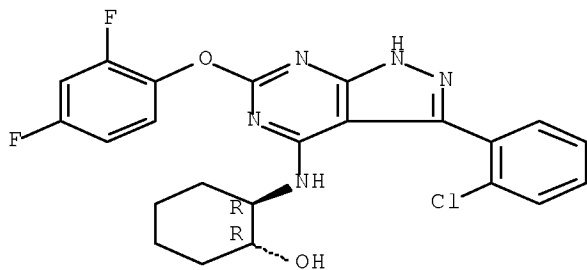
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidine and pyrazolopyrimidinone derivs. as p38 kinase inhibitors)

RN 864299-29-6 CAPLUS

CN Cyclohexanol, 2-[[3-(2-chlorophenyl)-6-(2,4-difluorophenoxy)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]-, (1R,2R)- (CA INDEX NAME)

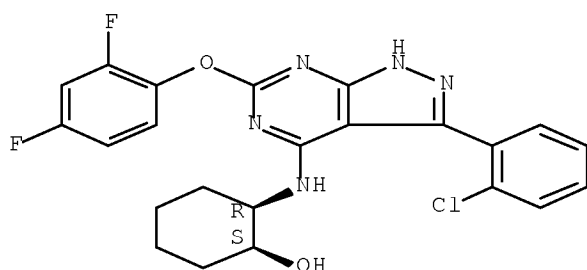
Absolute stereochemistry.



RN 864299-30-9 CAPLUS

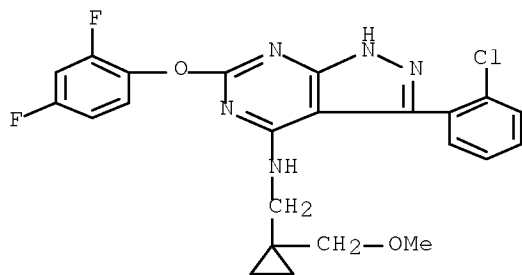
CN Cyclohexanol, 2-[[3-(2-chlorophenyl)-6-(2,4-difluorophenoxy)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



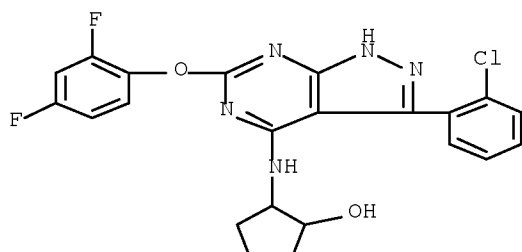
RN 864299-37-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 3-(2-chlorophenyl)-6-(2,4-difluorophenoxy)-N-[[1-(methoxymethyl)cyclopropyl]methyl]- (CA INDEX NAME)



RN 864299-44-5 CAPLUS

CN Cyclopentanol, 2-[[3-(2-chlorophenyl)-6-(2,4-difluorophenoxy)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)



L10 ANSWER 6 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:962049 CAPLUS Full-text

DN 143:254020

TI Therapeutic combinations of atypical antipsychotics with corticotropin releasing factor antagonists

IN Romano, Steven Joseph

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|------------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | WO 2005079807 | A1 | 20050901 | WO 2005-IB251 | 20050201 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2005215257 | A1 | 20050901 | AU 2005-215257 | 20050201 |
| | CA 2556160 | A1 | 20050901 | CA 2005-2556160 | 20050201 |
| | EP 1718311 | A1 | 20061108 | EP 2005-702400 | 20050201 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | | |
| | CN 1917882 | A | 20070221 | CN 2005-80004943 | 20050201 |
| | BR 2005007609 | A | 20070703 | BR 2005-7609 | 20050201 |
| | JP 2007522200 | T | 20070809 | JP 2006-552710 | 20050201 |
| | US 2005209250 | A1 | 20050922 | US 2005-58329 | 20050214 |
| | IN 2006DN04617 | A | 20070810 | IN 2006-DN4617 | 20060810 |
| | MX 2006PA09271 | A | 20061207 | MX 2006-PA9271 | 20060814 |
| | NO 2006004054 | A | 20061110 | NO 2006-4054 | 20060908 |
| PRAI | US 2004-544731P | P | 20040213 | | |
| | WO 2005-IB251 | W | 20050201 | | |

OS MARPAT 143:254020

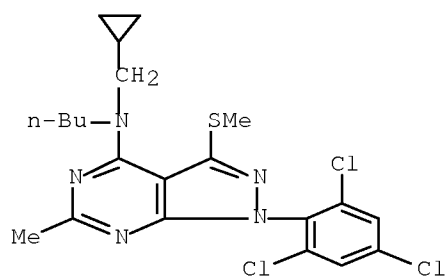
AB The present invention is directed to a pharmaceutical compns. for treating, for example, mood disorders or conditions, psychotic disorders or conditions, or a combination thereof, in a mammal such as a human, the composition comprising (a) an atypical antipsychotic, a prodrug thereof or a pharmaceutically acceptable salt of the atypical antipsychotic or prodrug thereof, (b) a corticotropin releasing factor antagonist, a prodrug thereof, or pharmaceutically acceptable salt of said corticotropin releasing factor antagonist or prodrug thereof, and optionally (c) a pharmaceutically acceptable vehicle, carrier or diluent. A pharmaceutical composition is prepared containing ziprasidone with a corticotropin releasing factor antagonist such a 4-(1-ethylpropoxy)-3,6-dimethyl-2-(2,4,6-trimethylphenoxy)pyridine.

IT 174569-94-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic combinations of atypical antipsychotics with corticotropin releasing factor antagonists)

RN 174569-94-9 CAPLUS

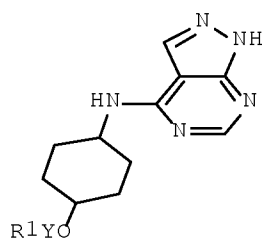
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



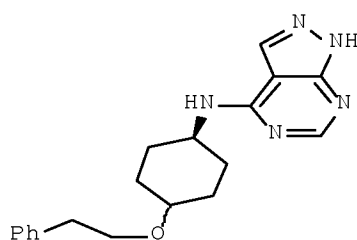
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2005:182667 CAPLUS Full-text
 DN 142:280223
 TI Preparation of cycloalkylaminopyrazolopyrimidines as N-methyl-D-aspartate
 NR2B antagonists
 IN Thompson, Wayne; Young, Steven D.; Phillips, Brian T.; Munson, Peter;
 Whitter, Willie; Liverton, Nigel; Dieckhaus, Christine; Butcher, John;
 Mccauley, John A.; McIntyre, Charles J.
 PA Merck & Co., Inc., USA
 SO PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2005019222 | A1 | 20050303 | WO 2004-US25979 | 20040811 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | ES 2279446 | T3 | 20070816 | ES 2004-4780746 | 20040811 |
| | US 2005054658 | A1 | 20050310 | US 2004-917194 | 20040812 |
| PRAI | US 2003-495650P | P | 20030815 | | |
| OS | CASREACT 142:280223; MARPAT 142:280223 | | | | |
| GI | | | | | |



I



II

AB Title compds. [I; R1 = Ph optionally substituted by halo, alkyl, haloalkyl; Y = (halo-substituted) alkylene], were prepared Thus, trans-4-(2-phenylethoxy)cyclohexylamine (preparation given), 4-chloro-1H-pyrazolo[3,4-d]pyrimidine, and diisopropylethylamine were heated in isopropanol at 80° for 12 h to give 60-92% title compound (II). I showed NR1a/NR2B NMDA receptor inhibitory activity with IC50 and Ki values of <50 µM in functional and binding assays. I are claimed for treating pain, Parkinson's disease, Alzheimer's disease, epilepsy, depression, anxiety, and ischemic brain injury.

IT 847414-87-3P 847414-88-4P 847414-89-5P

847414-91-9P 847414-92-0P 847414-93-1P
 847414-94-2P 847414-95-3P 847414-96-4P
 847414-97-5P 847415-00-3P 847415-01-4P
 847415-02-5P 847415-08-1P 847415-13-8P
 847415-14-9P 847415-15-0P 847415-20-7P
 847415-24-1P 847415-25-2P 847415-46-7P
 847415-47-8P 847415-48-9P 847415-49-0P
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 847415-93-4P 847416-03-9P 847416-04-0P
 847448-58-2P

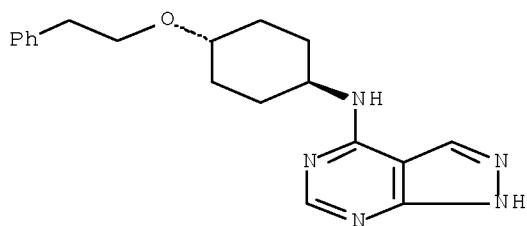
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of cycloalkylaminopyrazolopyrimidines as NMDA NR2B antagonists)

RN 847414-87-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

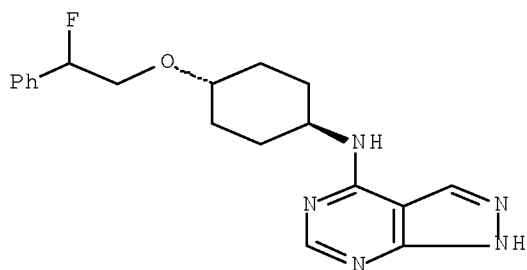
Relative stereochemistry.



RN 847414-88-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-fluoro-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

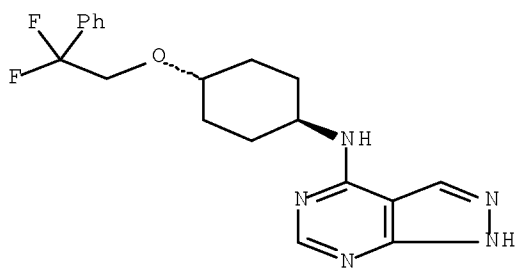
Relative stereochemistry.



RN 847414-89-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2,2-difluoro-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

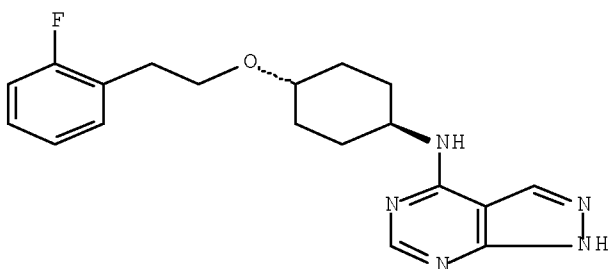
Relative stereochemistry.



RN 847414-91-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

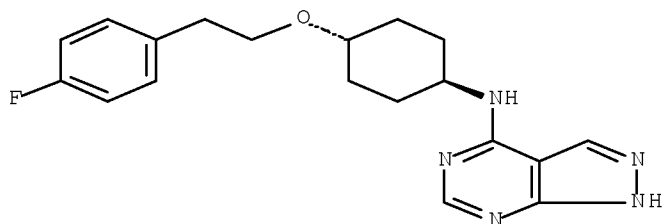
Relative stereochemistry.



RN 847414-92-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(4-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

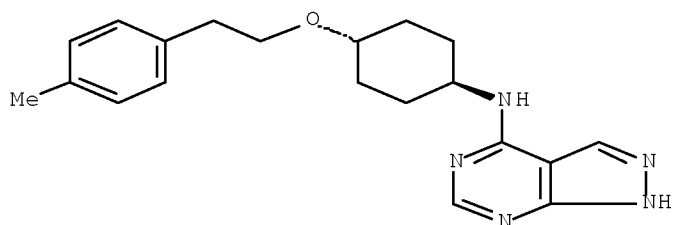
Relative stereochemistry.



RN 847414-93-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(4-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

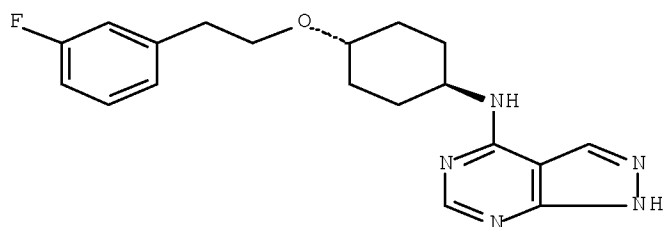
Relative stereochemistry.



RN 847414-94-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(3-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

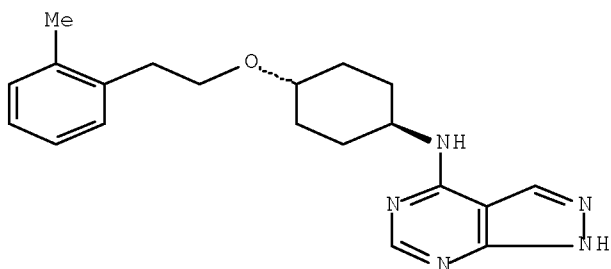
Relative stereochemistry.



RN 847414-95-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

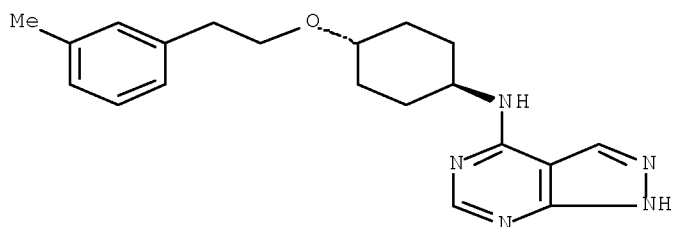
Relative stereochemistry.



RN 847414-96-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(3-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

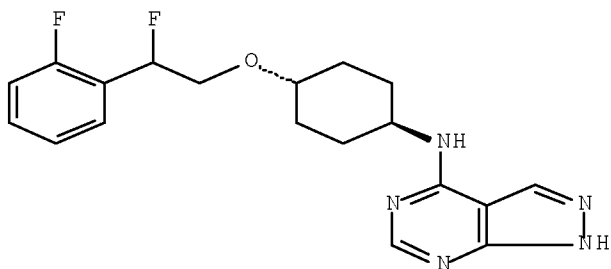
Relative stereochemistry.



RN 847414-97-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

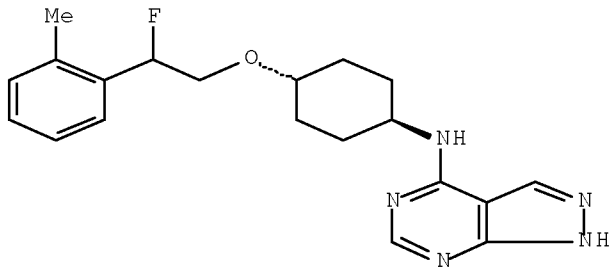
Relative stereochemistry.



RN 847415-00-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-fluoro-2-(2-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

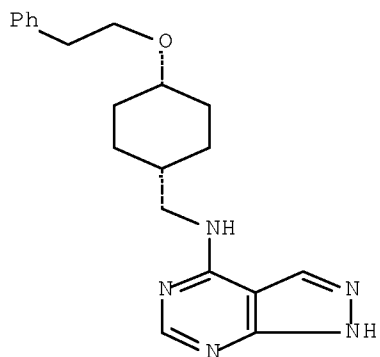
Relative stereochemistry.



RN 847415-01-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[[cis-4-(2-phenylethoxy)cyclohexyl]methyl]- (CA INDEX NAME)

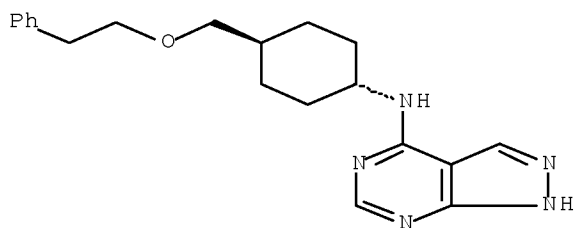
Relative stereochemistry.



RN 847415-02-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-phenylethoxy)methyl]cyclohexyl]- (CA INDEX NAME)

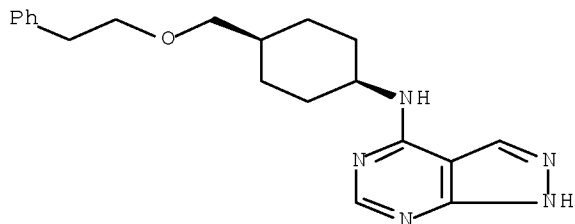
Relative stereochemistry.



RN 847415-08-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-[(2-phenylethoxy)methyl]cyclohexyl]- (CA INDEX NAME)

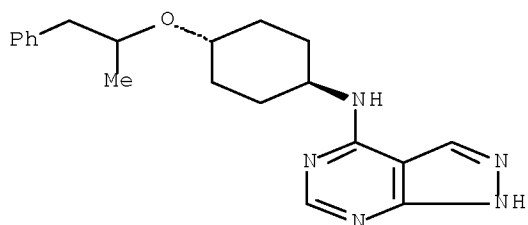
Relative stereochemistry.



RN 847415-13-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(1-methyl-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

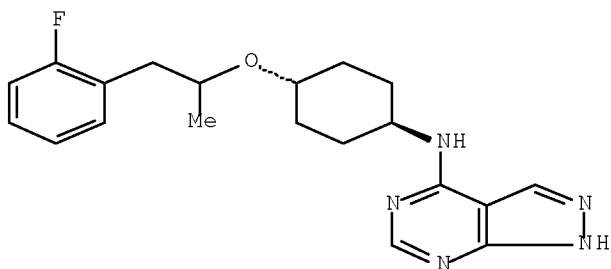
Relative stereochemistry.



RN 847415-14-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-fluorophenyl)-1-methylethoxy]cyclohexyl]- (CA INDEX NAME)

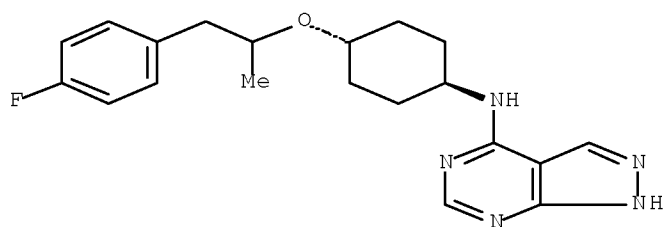
Relative stereochemistry.



RN 847415-15-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(4-fluorophenyl)-1-methylethoxy]cyclohexyl]- (CA INDEX NAME)

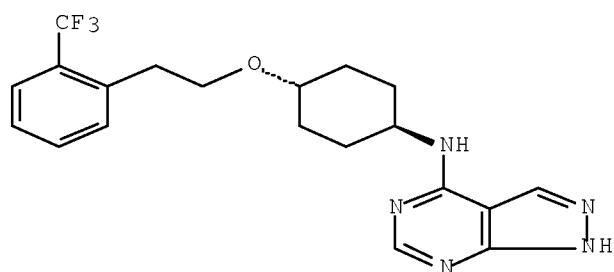
Relative stereochemistry.



RN 847415-20-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-[2-(trifluoromethyl)phenyl]ethoxy]cyclohexyl]- (CA INDEX NAME)

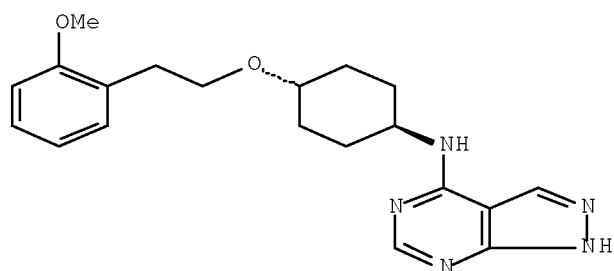
Relative stereochemistry.



RN 847415-24-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-methoxyphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

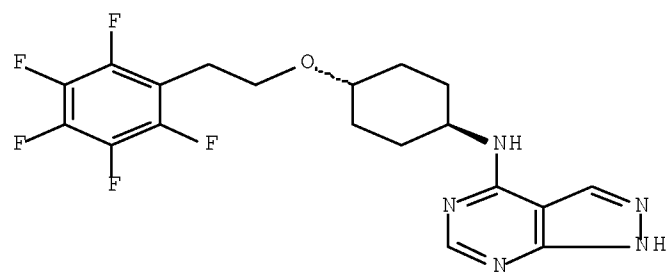
Relative stereochemistry.



RN 847415-25-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-(pentafluorophenyl)ethoxy]cyclohexyl]- (9CI) (CA INDEX NAME)

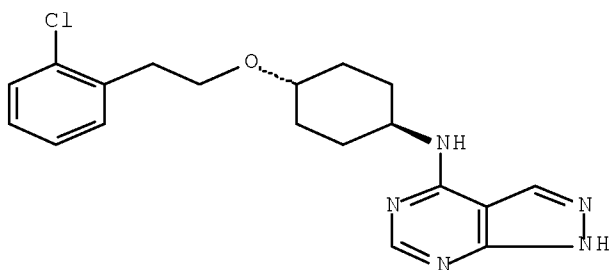
Relative stereochemistry.



RN 847415-46-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-chlorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

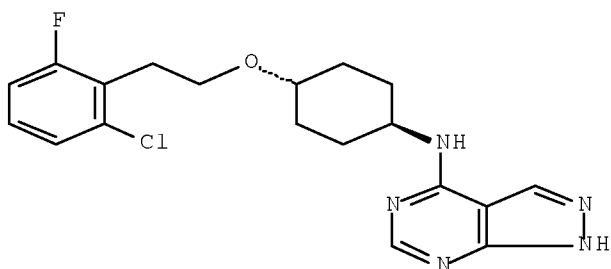
Relative stereochemistry.



RN 847415-47-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-chloro-6-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

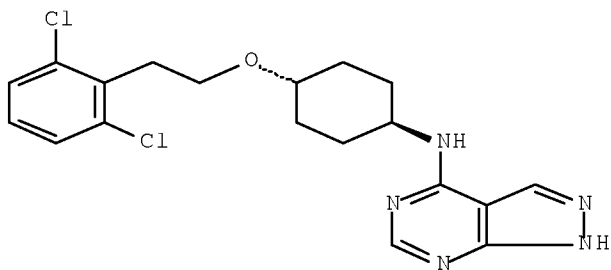
Relative stereochemistry.



RN 847415-48-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2,6-dichlorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

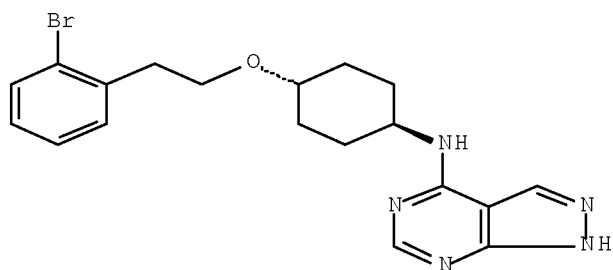
Relative stereochemistry.



RN 847415-49-0 CAPLUS

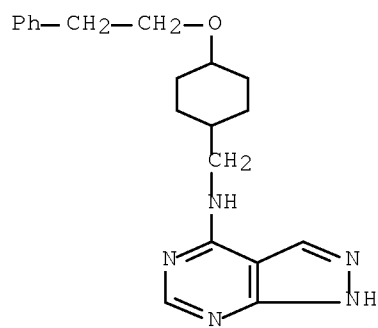
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-bromophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



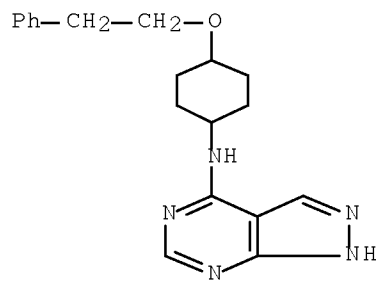
RN 847415-89-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[[4-(2-phenylethoxy)cyclohexyl]methyl]- (CA INDEX NAME)



RN 847415-90-1 CAPLUS

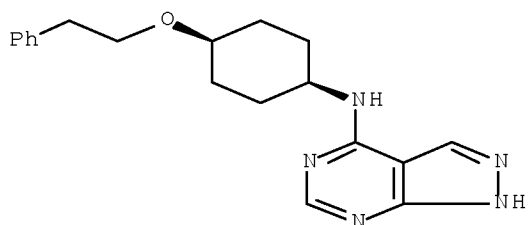
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[4-(2-phenylethoxy)cyclohexyl]methyl]- (CA INDEX NAME)



RN 847415-92-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-(2-phenylethoxy)cyclohexyl]methyl]- (CA INDEX NAME)

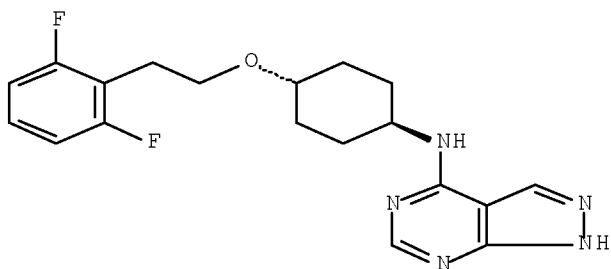
Relative stereochemistry.



RN 847415-93-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2,6-difluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

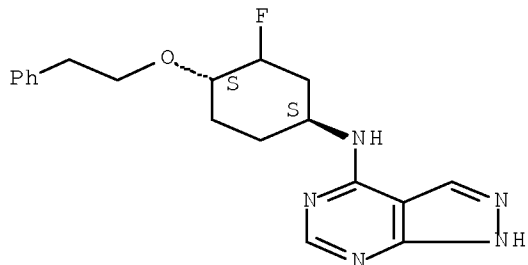
Relative stereochemistry.



RN 847416-03-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]-, rel- (CA INDEX NAME)

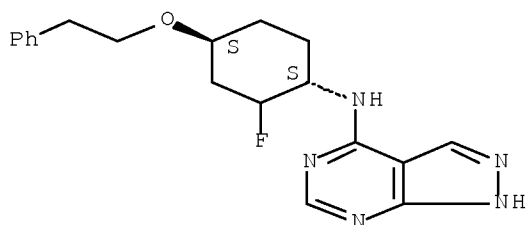
Relative stereochemistry.



RN 847416-04-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-2-fluoro-4-(2-phenylethoxy)cyclohexyl]-, rel- (CA INDEX NAME)

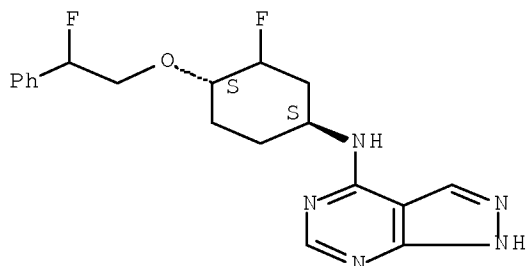
Relative stereochemistry.



RN 847448-58-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-3-fluoro-4-(2-fluoro-2-phenylethoxy)cyclohexyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 847416-39-1P

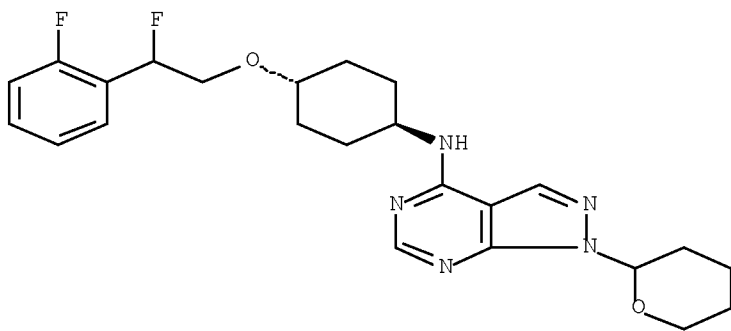
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of cycloalkylaminopyrazolopyrimidines as NMDA NR2B antagonists)

RN 847416-39-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]-1-(tetrahydro-2H-pyran-2-yl)- (CA INDEX NAME)

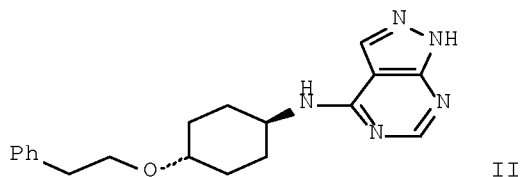
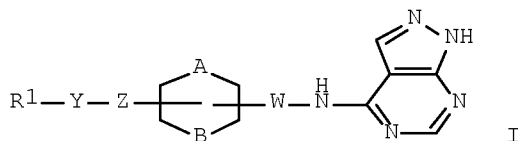
Relative stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2005:182666 CAPLUS Full-text
 DN 142:280222
 TI Preparation of 4-cycloalkylaminopyrazolopyrimidines as nmda/nr2b
 antagonists
 IN Thompson, Wayne; Young, Steven D.; Phillips, Brian T.; Munson, Peter;
 Whitter, Willie; Liverton, Nigel; Dieckhaus, Christine; Butcher, John;
 Mccauley, James A.; McIntyre, Charles J.; Layton, Mark E.; Sanderson,
 Philip E.
 PA Merck & Co., Inc., USA
 SO PCT Int. Appl., 155 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|------------------|----------|
| PI | WO 2005019221 | A1 | 20050303 | WO 2004-US25961 | 20040811 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2004266227 | A1 | 20050303 | AU 2004-266227 | 20040811 |
| | CA 2535347 | A1 | 20050303 | CA 2004-2535347 | 20040811 |
| | EP 1656379 | A1 | 20060517 | EP 2004-780746 | 20040811 |
| | EP 1656379 | B1 | 20070110 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| | CN 1835953 | A | 20060920 | CN 2004-80023311 | 20040811 |
| | JP 2007502772 | T | 20070215 | JP 2006-523318 | 20040811 |
| | ES 2279446 | T3 | 20070816 | ES 2004-4780746 | 20040811 |
| | US 2005054658 | A1 | 20050310 | US 2004-917194 | 20040812 |
| | IN 2006DN00649 | A | 20070831 | IN 2006-DN649 | 20060207 |
| | US 2007037829 | A1 | 20070215 | US 2006-568470 | 20060214 |
| PRAI | US 2003-495650P | P | 20030815 | | |
| | WO 2004-US25961 | W | 20040811 | | |
| OS | MARPAT 142:280222 | | | | |
| GI | | | | | |



AB Title compds. I [R1 = (un)substituted Ph or diphenylmethyl; Y = carbocyclyl or cyclopropylmethyl linker; Z = absent or O, alkyl, alkenyl, S, SO, etc.; A and B independently = (un)substituted alkyl, where optionally A and B may connect to bridge ring; W = absent or O, alkyl, alkenyl, CO, SO2, etc.; the pyrazolo[3,4-d]pyrimidine ring may optionally be substituted], and their pharmaceutically acceptable salts thereof, are prepared and disclosed as NMDA/NR2B antagonists. Thus, e.g., II, was prepared by substitution of 4-chloro-1H-pyrazolo[3,4-d]pyrimidine with trans-4-phenylethyloxycyclohexylamine (preparation given). I exhibit IC50 and Ki values of less than 50 μ M in the functional and binding assay, resp. Are effective as NMDA/NR2B antagonists useful for treating neurol. conditions such as, for example, pain, Parkinson's disease, Alzheimer's disease, epilepsy, depression, anxiety, ischemic brain injury including stroke, and other conditions.

IT 847414-97-5P 847415-03-6P 847415-41-2P
847415-50-3P 847415-67-2P 847415-70-7P
847415-73-0P

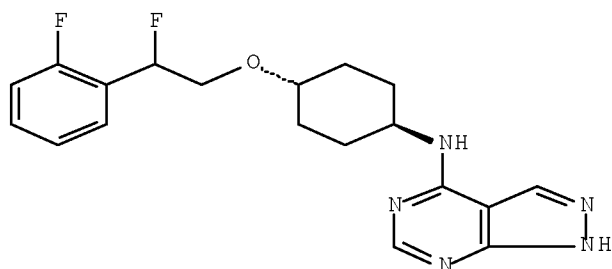
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation of 4-cycloalkylaminopyrazolopyrimidines as NMDA/NR2B antagonists)

RN 847414-97-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

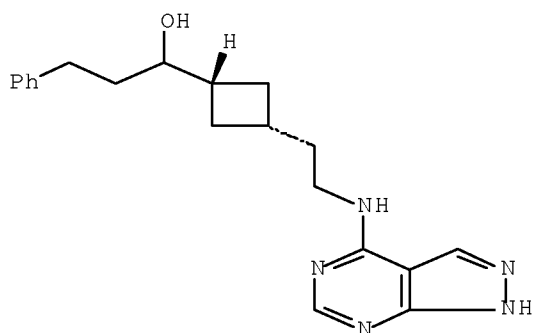
Relative stereochemistry.



RN 847415-03-6 CAPLUS

CN Benzenepropanol, α -[cis-3-[2-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)ethyl]cyclobutyl]- (CA INDEX NAME)

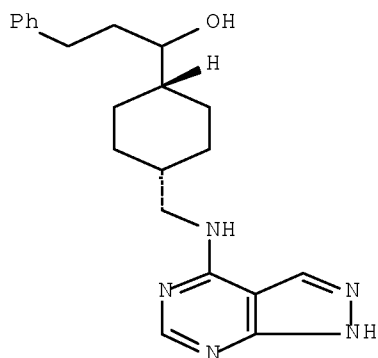
Relative stereochemistry.



RN 847415-41-2 CAPLUS

CN Benzenepropanol, α -[cis-4-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]cyclohexyl]- (CA INDEX NAME)

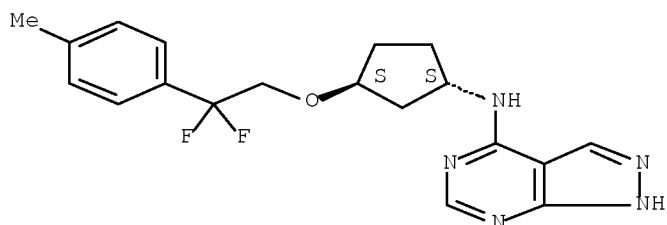
Relative stereochemistry.



RN 847415-50-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

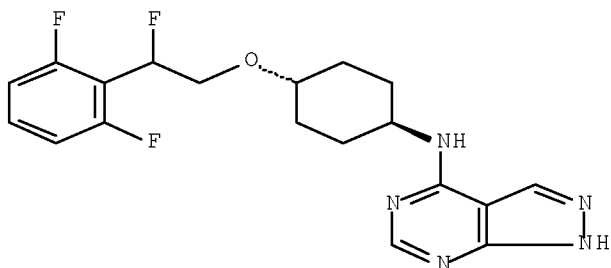
Relative stereochemistry.



RN 847415-67-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2,6-difluorophenyl)-2-fluoroethoxy]cyclohexyl]- (CA INDEX NAME)

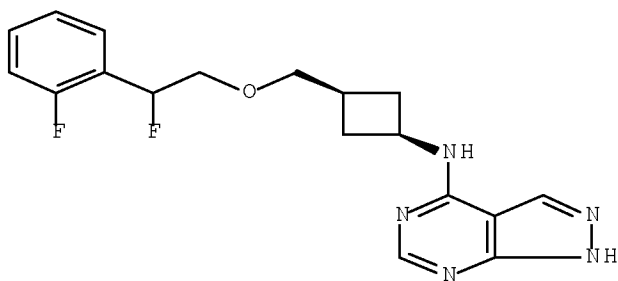
Relative stereochemistry.



RN 847415-70-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-3-[[2-fluoro-2-(2-fluorophenyl)ethoxy]methyl]cyclobutyl]- (CA INDEX NAME)

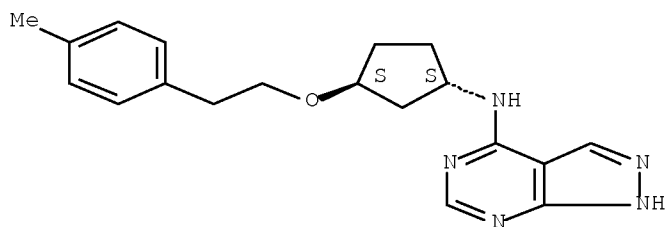
Relative stereochemistry.



RN 847415-73-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(4-methylphenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 847414-98-6P 847414-99-7P 847415-42-3P
847415-43-4P 847415-51-4P 847415-52-5P
847415-53-6P 847415-68-3P 847415-69-4P
847415-71-8P 847415-72-9P 847415-74-1P

847415-75-2P 847415-88-7P 847416-61-9P

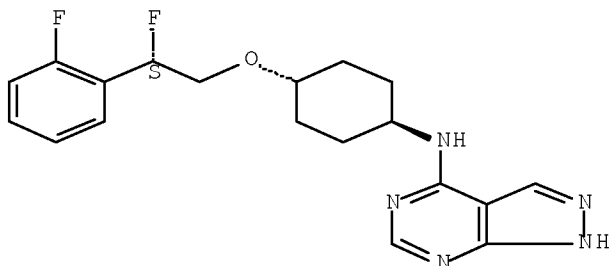
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-cycloalkylaminopyrazolopyrimidines as NMDA/NR2B antagonists)

RN 847414-98-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2S)-2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

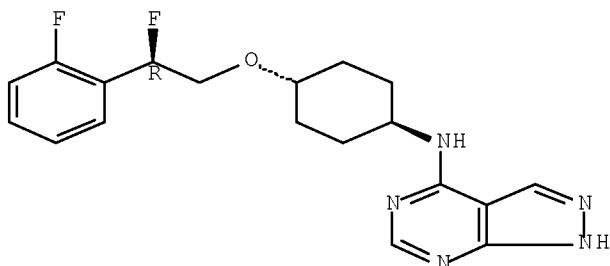
Absolute stereochemistry.



RN 847414-99-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2R)-2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

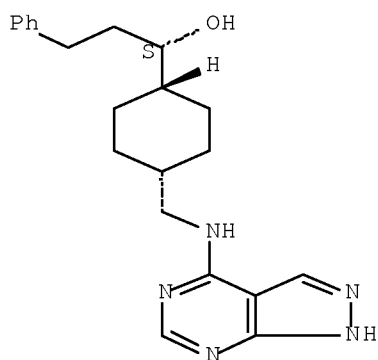
Absolute stereochemistry.



RN 847415-42-3 CAPLUS

CN Benzenepropanol, α -[cis-4-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]cyclohexyl]-, (α S)- (CA INDEX NAME)

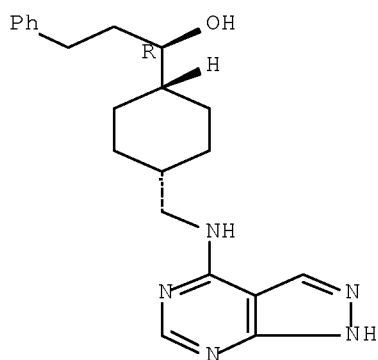
Absolute stereochemistry. Rotation (-).



RN 847415-43-4 CAPLUS

CN Benzenepropanol, α -[cis-4-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]cyclohexyl]-, (α R)- (CA INDEX NAME)

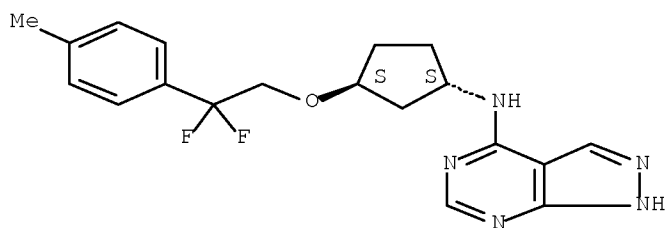
Absolute stereochemistry.



RN 847415-51-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

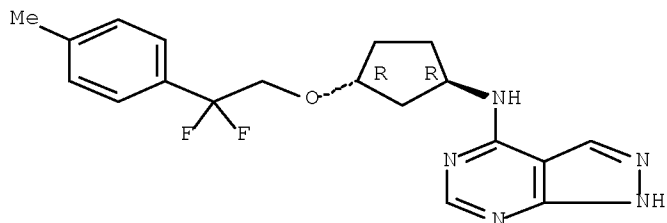


RN 847415-52-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2,2-difluoro-2-(4-

methylphenyl)ethoxy]cyclopentyl]- (CA INDEX NAME)

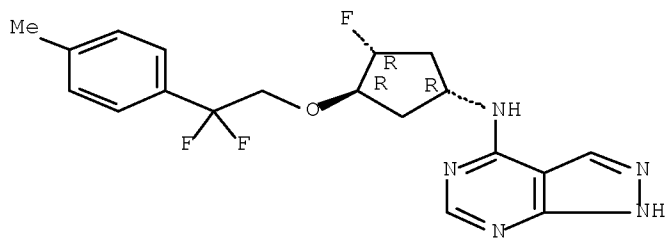
Absolute stereochemistry. Rotation (-).



RN 847415-53-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]-4-fluorocyclopentyl]- (CA INDEX NAME)

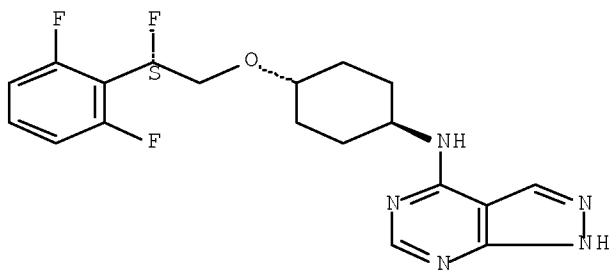
Absolute stereochemistry.



RN 847415-68-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2S)-2-(2,6-difluorophenyl)-2-fluoroethoxy]cyclohexyl]- (CA INDEX NAME)

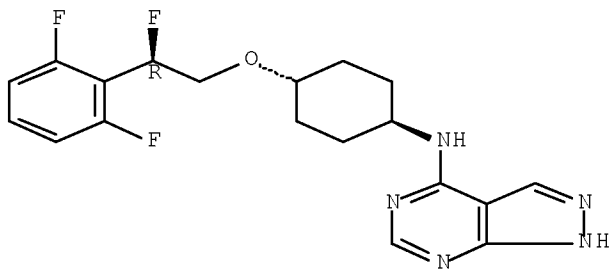
Absolute stereochemistry. Rotation (+).



RN 847415-69-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2R)-2-(2,6-difluorophenyl)-2-fluoroethoxy]cyclohexyl]- (CA INDEX NAME)

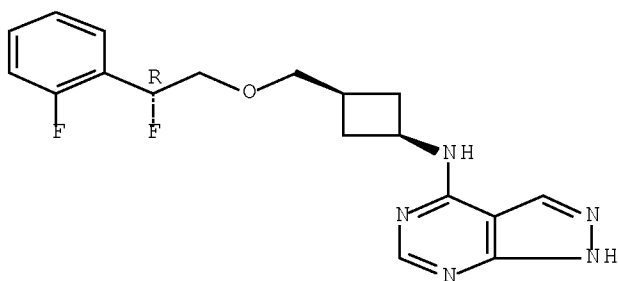
Absolute stereochemistry. Rotation (-).



RN 847415-71-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-3-[[(2R)-2-fluoro-2-(2-fluorophenyl)ethoxy]methyl]cyclobutyl]- (CA INDEX NAME)

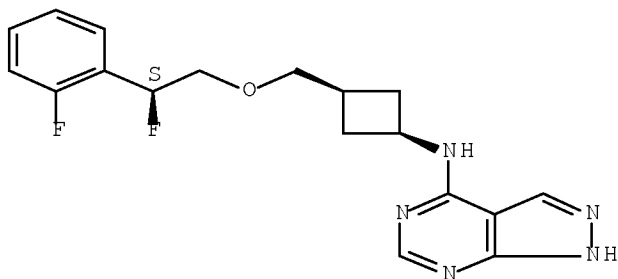
Absolute stereochemistry. Rotation (-).



RN 847415-72-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-3-[[(2S)-2-fluoro-2-(2-fluorophenyl)ethoxy]methyl]cyclobutyl]- (CA INDEX NAME)

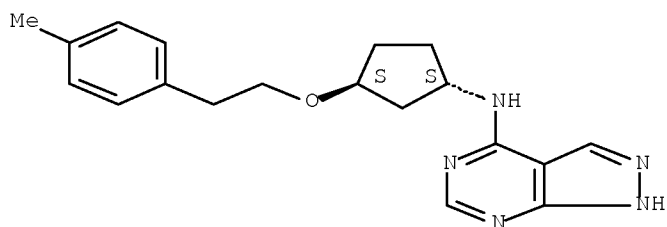
Absolute stereochemistry. Rotation (+).



RN 847415-74-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(4-methylphenyl)ethoxy]cyclopentyl]-, rel-(+)- (CA INDEX NAME)

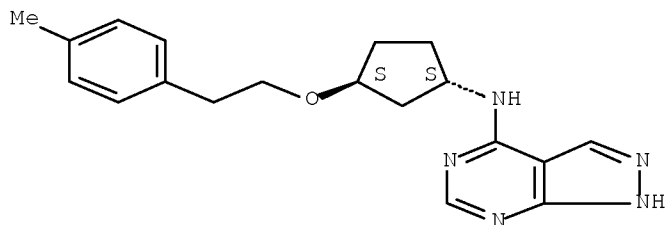
Rotation (+). Absolute stereochemistry unknown.



RN 847415-75-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(4-methylphenyl)ethoxy]cyclopentyl]-, rel-(-)- (9CI) (CA INDEX NAME)

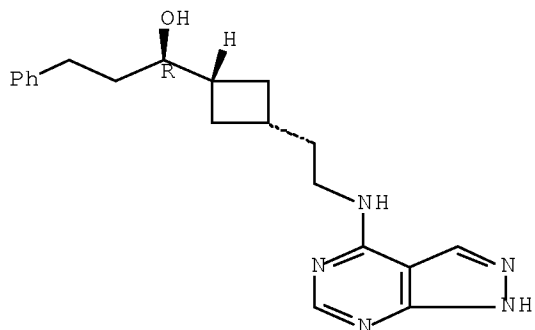
Rotation (-). Absolute stereochemistry unknown.



RN 847415-88-7 CAPLUS

CN Benzenepropanol, α -[cis-3-[2-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)ethyl]cyclobutyl]-, (α R)- (CA INDEX NAME)

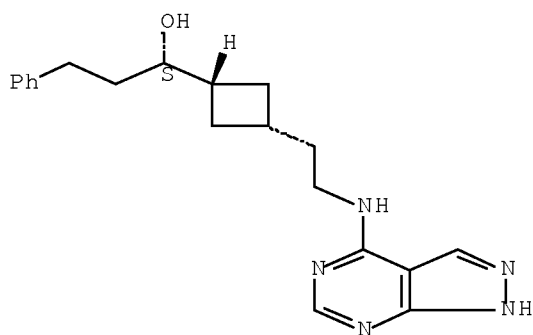
Absolute stereochemistry.



RN 847416-61-9 CAPLUS

CN Benzenepropanol, α -[cis-3-[2-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)ethyl]cyclobutyl]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.



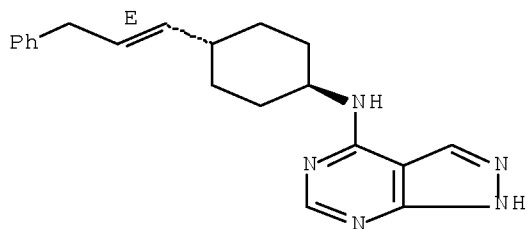
IT 847415-11-6P 847415-76-3P 847415-77-4P
847415-84-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 4-cycloalkylaminopyrazolopyrimidines as NMDA/NR2B antagonists)

RN 847415-11-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(1E)-3-phenyl-1-propenyl]cyclohexyl]- (9CI) (CA INDEX NAME)

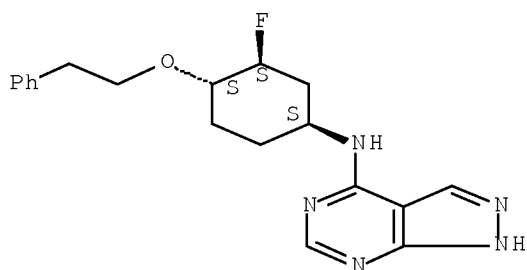
Relative stereochemistry.
Double bond geometry as shown.



RN 847415-76-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S,4S)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

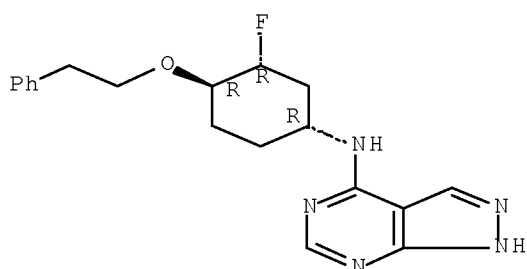
Absolute stereochemistry.



RN 847415-77-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

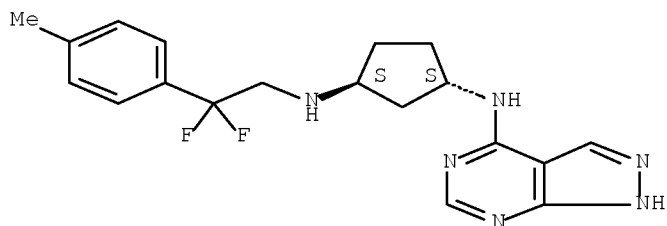
Absolute stereochemistry.



RN 847415-84-3 CAPLUS

CN 1,3-Cyclopentanediamine, N-[2,2-difluoro-2-(4-methylphenyl)ethyl]-N'-1H-pyrazolo[3,4-d]pyrimidin-4-yl-, (1S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847414-87-3P 847414-88-4P 847414-89-5P
 847414-90-8P 847414-91-9P 847414-92-0P
 847414-93-1P 847414-94-2P 847414-95-3P
 847414-96-4P 847415-00-3P 847415-01-4P
 847415-02-5P 847415-04-7P 847415-05-6P
 847415-06-9P 847415-07-0P 847415-08-1P
 847415-09-2P 847415-10-5P 847415-12-7P
 847415-13-8P 847415-14-9P 847415-15-0P

847415-16-1P 847415-17-2P 847415-18-3P
 847415-20-7P 847415-21-8P 847415-22-9P
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 847415-26-3P 847415-27-4P 847415-28-5P
 847415-29-6P 847415-30-9P 847415-31-0P
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 847415-80-9P 847415-81-0P 847415-82-1P
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 847415-87-6P 847415-89-8P 847415-90-1P
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 847415-94-5P 847415-95-6P 847415-96-7P
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 847416-07-3P 847416-08-4P 847416-09-5P
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 847416-16-4P 847416-17-5P 847416-18-6P
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 847416-22-2P 847417-15-6P 847417-16-7P
 847482-01-3P

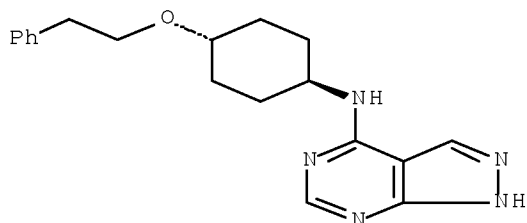
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of 4-cycloalkylaminopyrazolopyrimidines as NMDA/NR2B
 antagonists)

RN 847414-87-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-
 phenylethoxy)cyclohexyl]- (CA INDEX NAME)

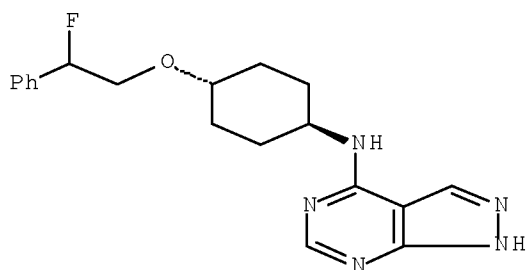
Relative stereochemistry.



RN 847414-88-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-fluoro-2-
 phenylethoxy)cyclohexyl]- (CA INDEX NAME)

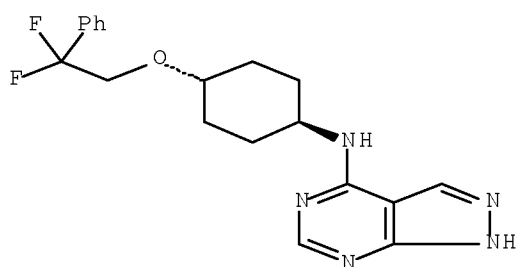
Relative stereochemistry.



RN 847414-89-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2,2-difluoro-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

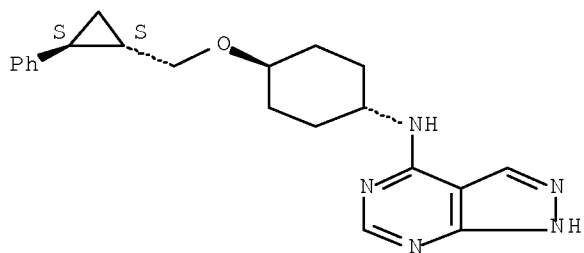
Relative stereochemistry.



RN 847414-90-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(1S,2S)-2-phenylcyclopropyl]methoxy]cyclohexyl]- (CA INDEX NAME)

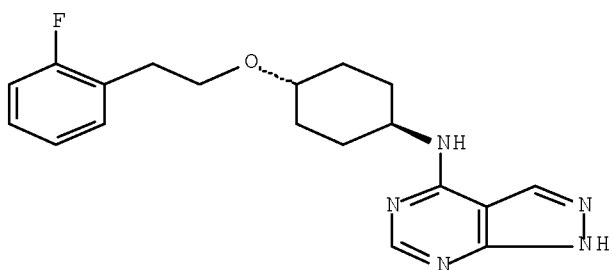
Absolute stereochemistry.



RN 847414-91-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

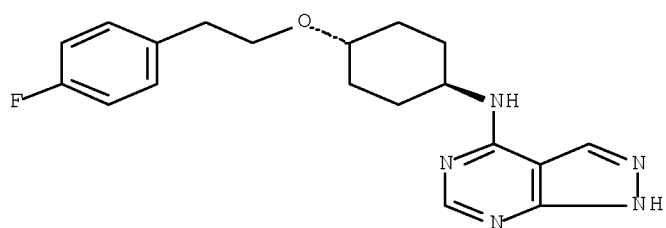
Relative stereochemistry.



RN 847414-92-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(4-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

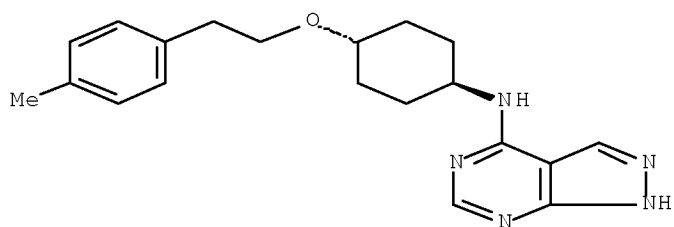
Relative stereochemistry.



RN 847414-93-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(4-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

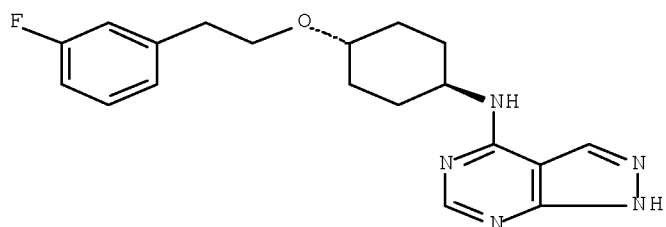
Relative stereochemistry.



RN 847414-94-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(3-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

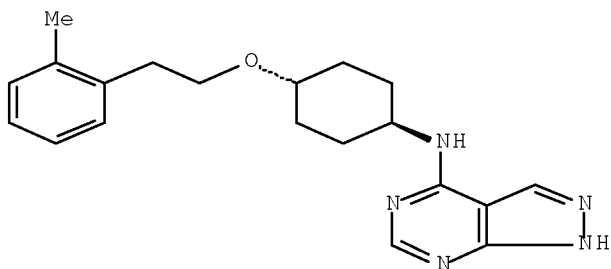
Relative stereochemistry.



RN 847414-95-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

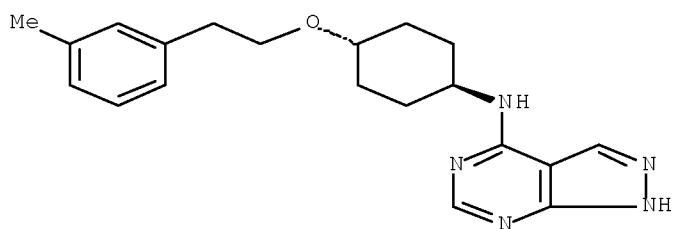
Relative stereochemistry.



RN 847414-96-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(3-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

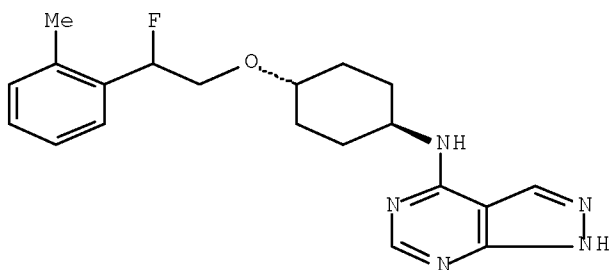
Relative stereochemistry.



RN 847415-00-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-fluoro-2-(2-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

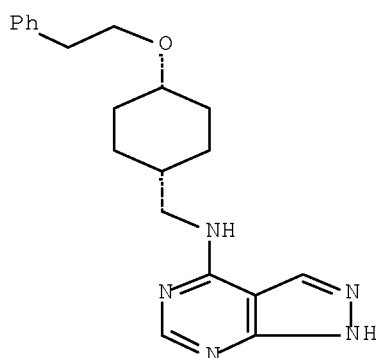
Relative stereochemistry.



RN 847415-01-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[[cis-4-(2-phenylethoxy)cyclohexyl]methyl]- (CA INDEX NAME)

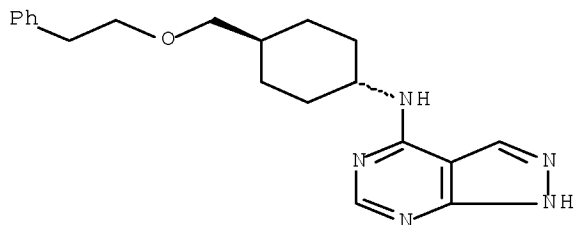
Relative stereochemistry.



RN 847415-02-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-phenylethoxy)methyl]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.

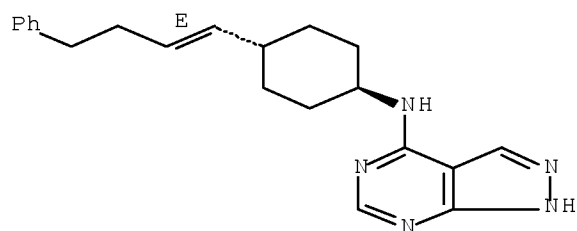


RN 847415-04-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(1E)-4-phenyl-1-butenyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

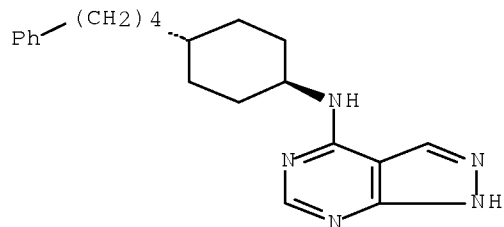
Double bond geometry as shown.



RN 847415-05-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(4-phenylbutyl)cyclohexyl]-
(CA INDEX NAME)

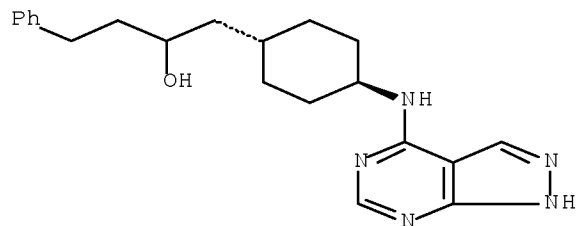
Relative stereochemistry.



RN 847415-06-9 CAPLUS

CN Benzenepropanol, α -[[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]methyl]- (CA INDEX NAME)

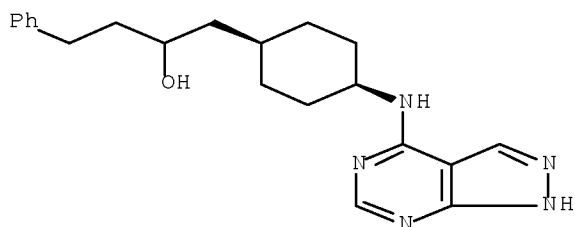
Relative stereochemistry.



RN 847415-07-0 CAPLUS

CN Benzenepropanol, α -[[cis-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]methyl]- (CA INDEX NAME)

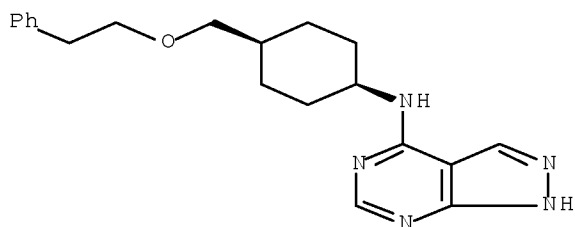
Relative stereochemistry.



RN 847415-08-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-[(2-phenylethoxy)methyl]cyclohexyl]- (CA INDEX NAME)

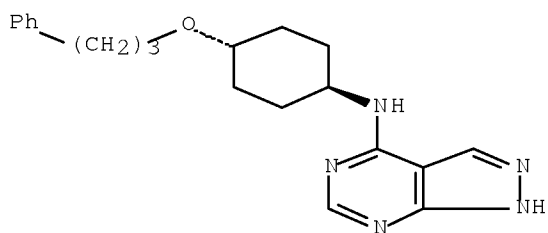
Relative stereochemistry.



RN 847415-09-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(3-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)

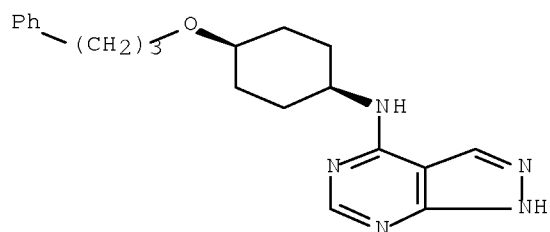
Relative stereochemistry.



RN 847415-10-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-(3-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)

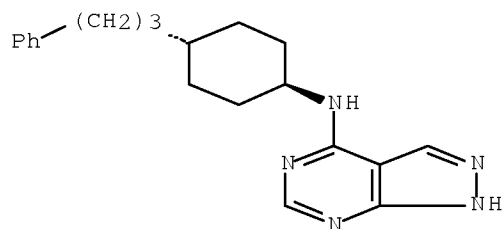
Relative stereochemistry.



RN 847415-12-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(3-phenylpropyl)cyclohexyl]- (CA INDEX NAME)

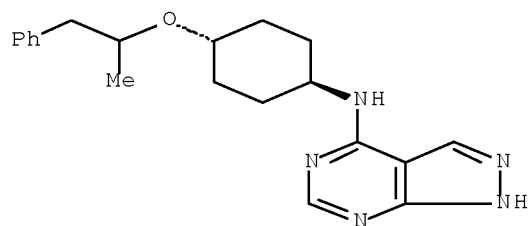
Relative stereochemistry.



RN 847415-13-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(1-methyl-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

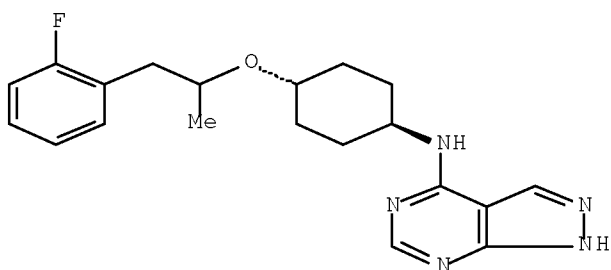
Relative stereochemistry.



RN 847415-14-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-fluorophenyl)-1-methylethoxy]cyclohexyl]- (CA INDEX NAME)

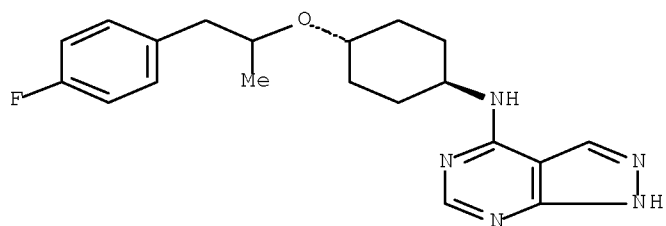
Relative stereochemistry.



RN 847415-15-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(4-fluorophenyl)-1-methylethoxy]cyclohexyl]- (CA INDEX NAME)

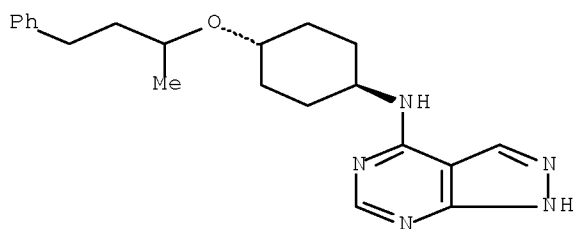
Relative stereochemistry.



RN 847415-16-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(1-methyl-3-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)

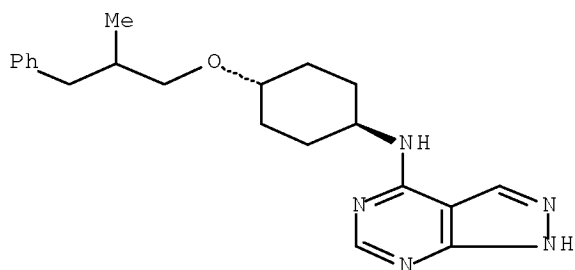
Relative stereochemistry.



RN 847415-17-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-methyl-3-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)

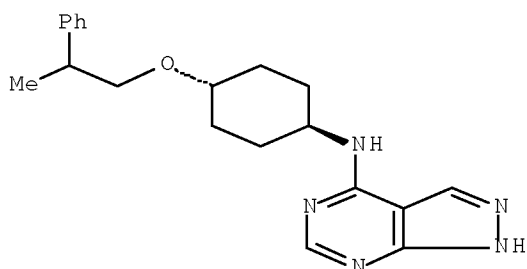
Relative stereochemistry.



RN 847415-18-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)

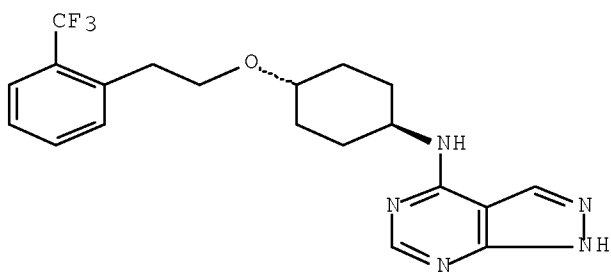
Relative stereochemistry.



RN 847415-20-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-[2-(trifluoromethyl)phenyl]ethoxy]cyclohexyl]- (CA INDEX NAME)

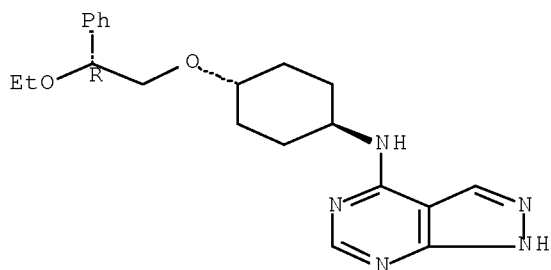
Relative stereochemistry.



RN 847415-21-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2R)-2-ethoxy-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

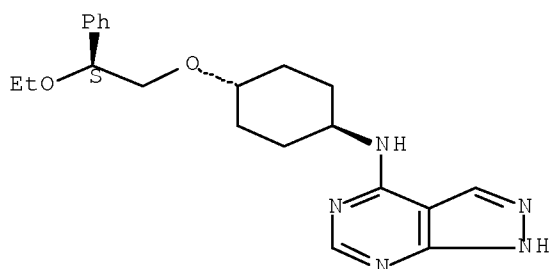
Absolute stereochemistry.



RN 847415-22-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2S)-2-ethoxy-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

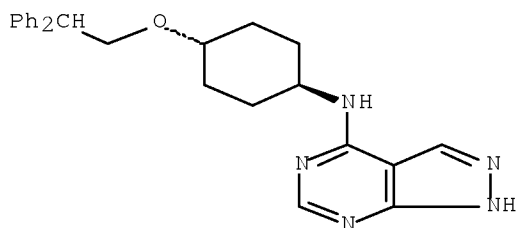
Absolute stereochemistry.



RN 847415-23-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2,2-diphenylethoxy)cyclohexyl]- (CA INDEX NAME)

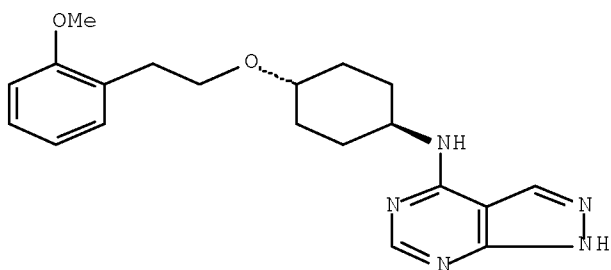
Relative stereochemistry.



RN 847415-24-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-methoxyphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

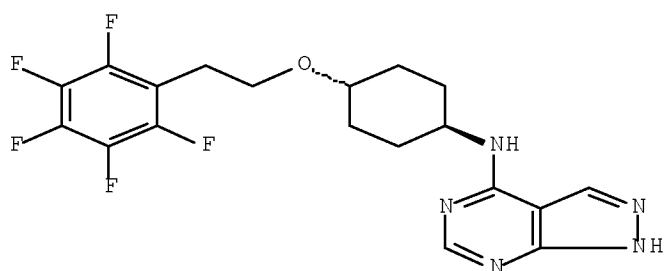
Relative stereochemistry.



RN 847415-25-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(pentafluorophenyl)ethoxy]cyclohexyl]- (9CI) (CA INDEX NAME)

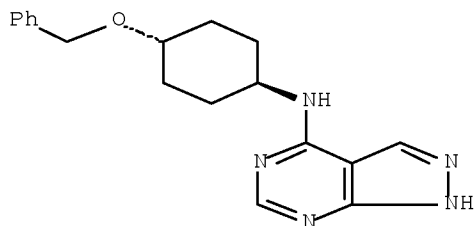
Relative stereochemistry.



RN 847415-26-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(phenylmethoxy)cyclohexyl]- (CA INDEX NAME)

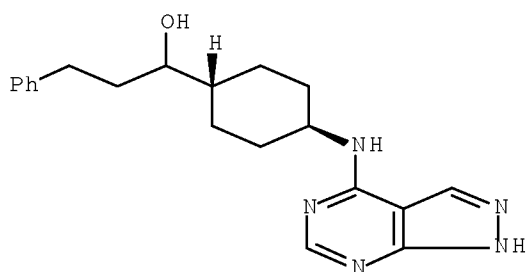
Relative stereochemistry.



RN 847415-27-4 CAPLUS

CN Benzenepropanol, α -[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]- (CA INDEX NAME)

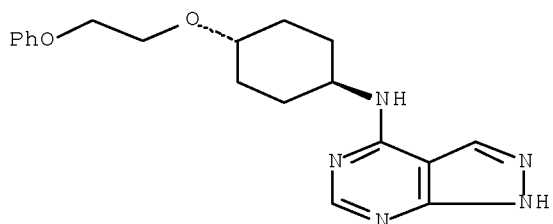
Relative stereochemistry.



RN 847415-28-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-phenoxyethoxy)cyclohexyl]- (CA INDEX NAME)

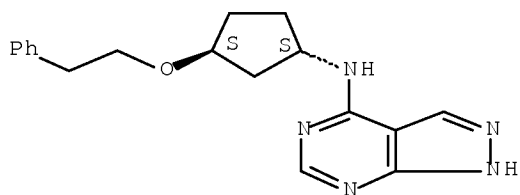
Relative stereochemistry.



RN 847415-29-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

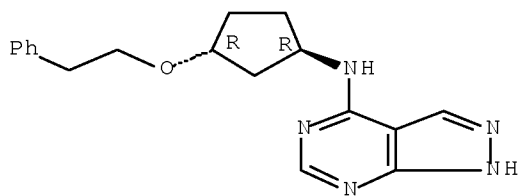
Absolute stereochemistry.



RN 847415-30-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-(2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

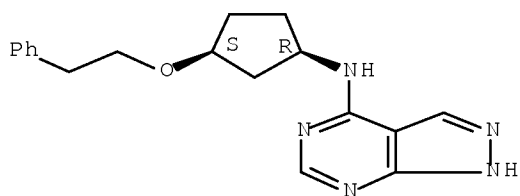
Absolute stereochemistry.



RN 847415-31-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3S)-3-(2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

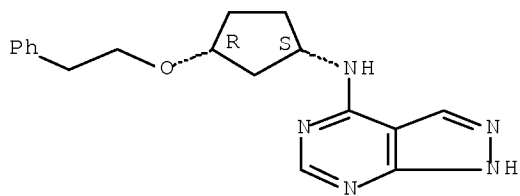
Absolute stereochemistry.



RN 847415-32-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-(2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

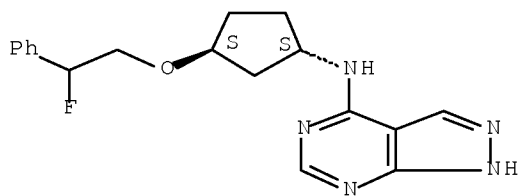
Absolute stereochemistry.



RN 847415-33-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(2-fluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

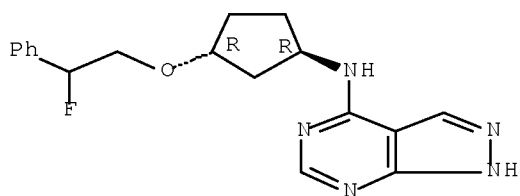
Absolute stereochemistry.



RN 847415-34-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-(2-fluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

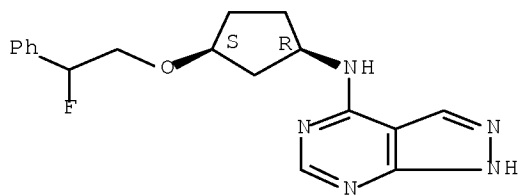
Absolute stereochemistry.



RN 847415-35-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3S)-3-(2-fluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

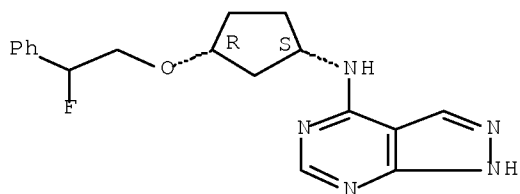
Absolute stereochemistry.



RN 847415-36-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-(2-fluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

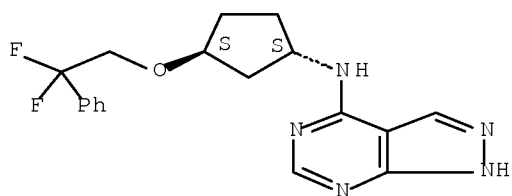
Absolute stereochemistry.



RN 847415-37-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(2,2-difluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

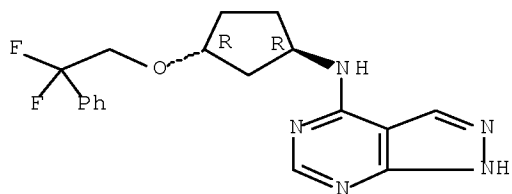
Absolute stereochemistry.



RN 847415-38-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-(2,2-difluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

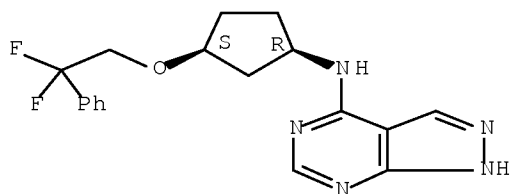
Absolute stereochemistry.



RN 847415-39-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3S)-3-(2,2-difluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

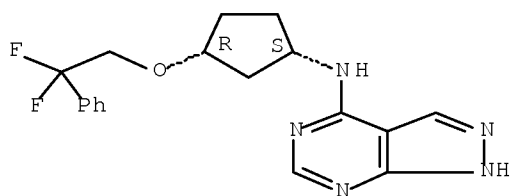
Absolute stereochemistry.



RN 847415-40-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-(2,2-difluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

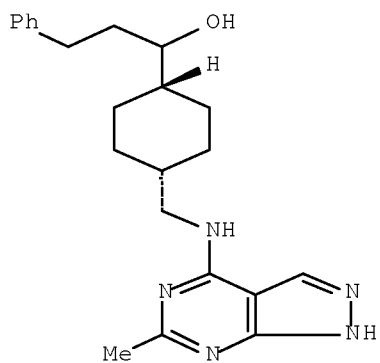
Absolute stereochemistry.



RN 847415-44-5 CAPLUS

CN Benzenepropanol, α -[cis-4-[[6-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]methyl]cyclohexyl]- (CA INDEX NAME)

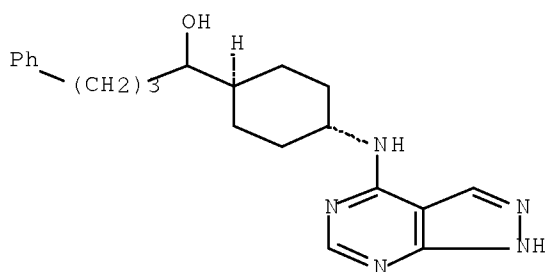
Relative stereochemistry.



RN 847415-45-6 CAPLUS

CN Benzenebutanol, α -[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]- (CA INDEX NAME)

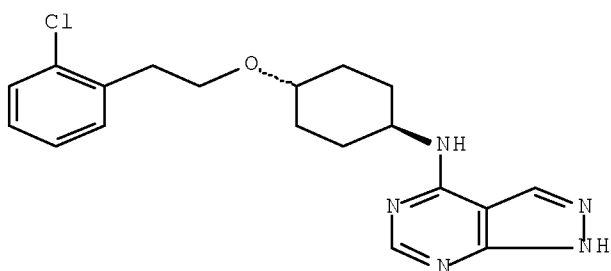
Relative stereochemistry.



RN 847415-46-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-chlorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

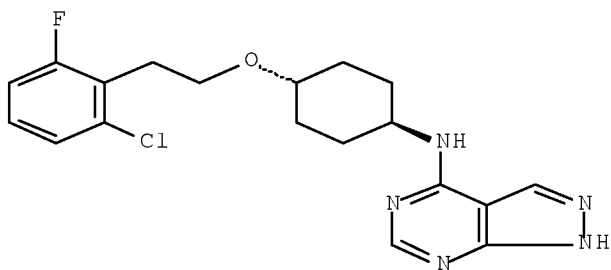
Relative stereochemistry.



RN 847415-47-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-chloro-6-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

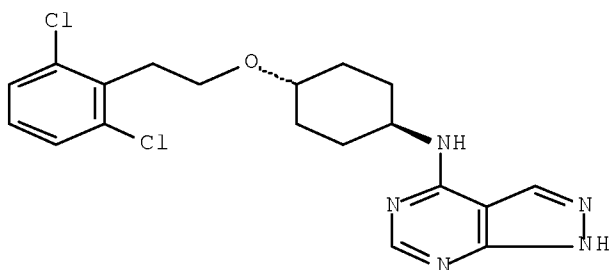
Relative stereochemistry.



RN 847415-48-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2,6-dichlorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

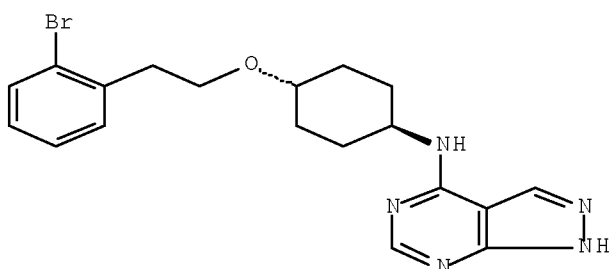
Relative stereochemistry.



RN 847415-49-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-bromophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

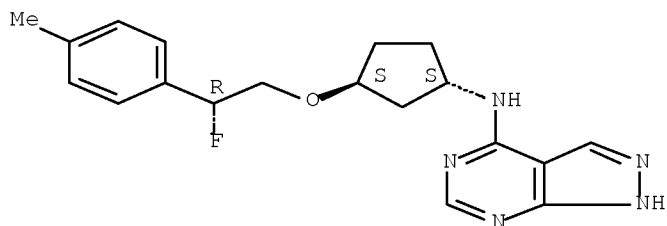
Relative stereochemistry.



RN 847415-54-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[(2R)-2-fluoro-2-(4-methylphenyl)ethoxy]cyclopentyl]- (CA INDEX NAME)

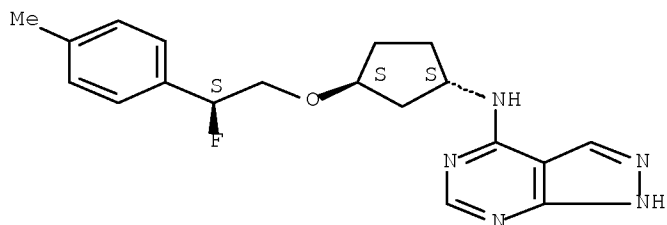
Absolute stereochemistry.



RN 847415-55-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[(2S)-2-fluoro-2-(4-methylphenyl)ethoxy]cyclopentyl]- (CA INDEX NAME)

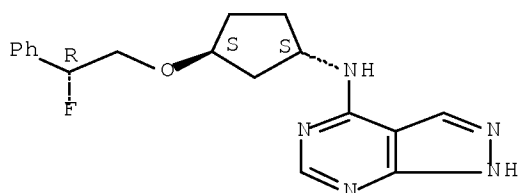
Absolute stereochemistry.



RN 847415-56-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[(2R)-2-fluoro-2-phenylethoxy]cyclopentyl]- (CA INDEX NAME)

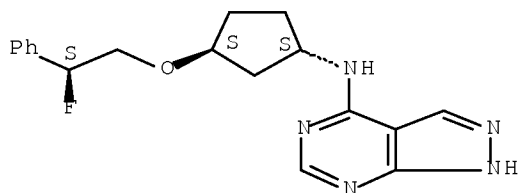
Absolute stereochemistry.



RN 847415-57-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[(2S)-2-fluoro-2-phenylethoxy]cyclopentyl]- (CA INDEX NAME)

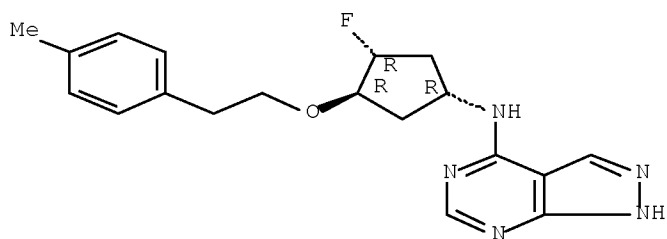
Absolute stereochemistry.



RN 847415-58-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-3-fluoro-4-[2-(4-methylphenyl)ethoxy]cyclopentyl]- (CA INDEX NAME)

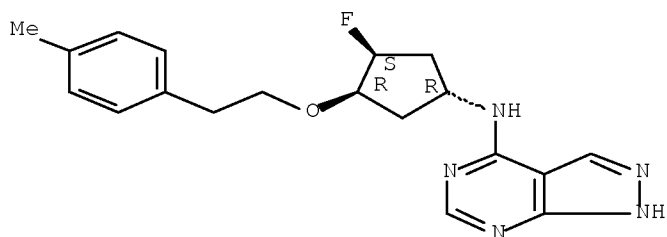
Absolute stereochemistry.



RN 847415-59-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3S,4R)-3-fluoro-4-[2-(4-methylphenyl)ethoxy]cyclopentyl]- (CA INDEX NAME)

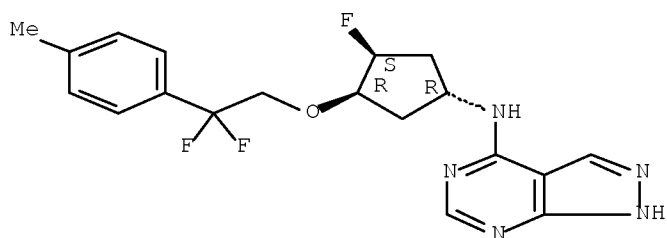
Absolute stereochemistry.



RN 847415-60-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4S)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]-4-fluorocyclopentyl]- (CA INDEX NAME)

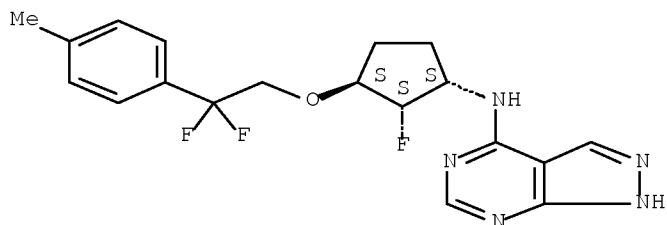
Absolute stereochemistry.



RN 847415-61-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,2S,3S)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]-2-fluorocyclopentyl]- (CA INDEX NAME)

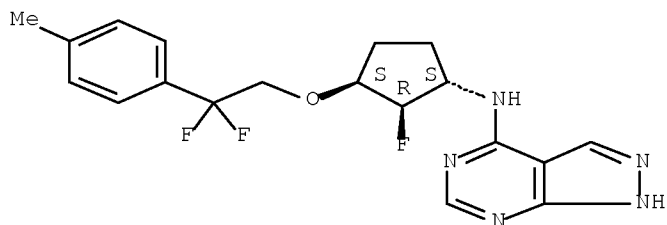
Absolute stereochemistry.



RN 847415-62-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,2R,3S)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]-2-fluorocyclopentyl]- (CA INDEX NAME)

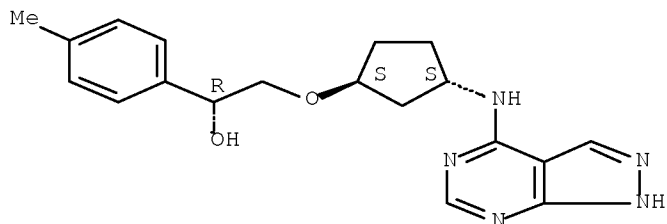
Absolute stereochemistry.



RN 847415-63-8 CAPLUS

CN Benzenemethanol, 4-methyl- α -[[[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]oxy]methyl]-, (α R)- (CA INDEX NAME)

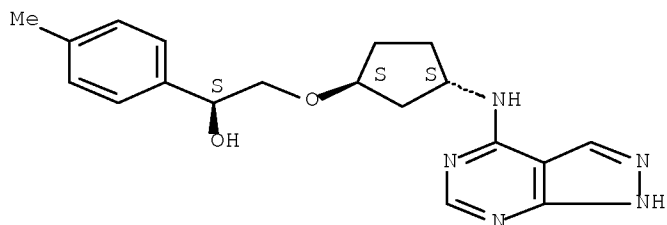
Absolute stereochemistry.



RN 847415-64-9 CAPLUS

CN Benzenemethanol, 4-methyl- α -[[[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]oxy]methyl]-, (α S)- (CA INDEX NAME)

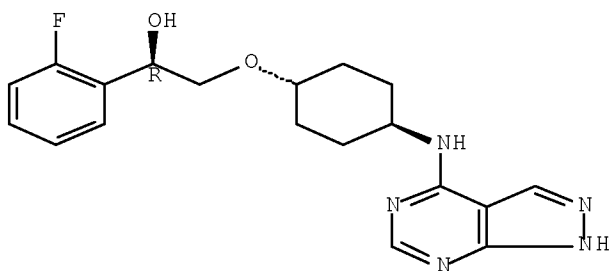
Absolute stereochemistry.



RN 847415-65-0 CAPLUS

CN Benzenemethanol, 2-fluoro- α -[[[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]oxy]methyl]-, (α R)- (CA INDEX NAME)

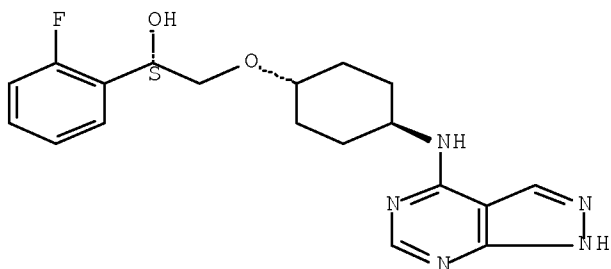
Absolute stereochemistry.



RN 847415-66-1 CAPLUS

CN Benzenemethanol, 2-fluoro- α -[[[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]oxy]methyl]-, (α S)- (CA INDEX NAME)

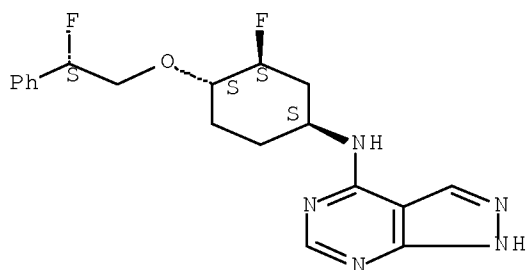
Absolute stereochemistry.



RN 847415-78-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S,4S)-3-fluoro-4-[(2S)-2-fluoro-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

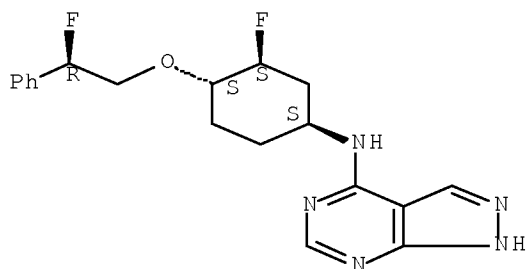
Absolute stereochemistry.



RN 847415-79-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S,4S)-3-fluoro-4-[(2R)-2-fluoro-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

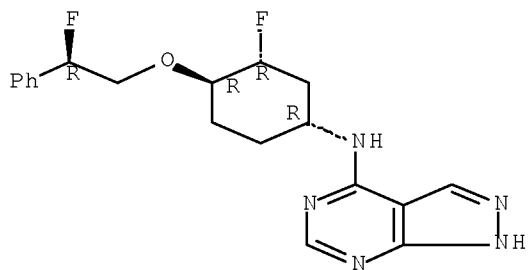
Absolute stereochemistry.



RN 847415-80-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-3-fluoro-4-[(2R)-2-fluoro-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

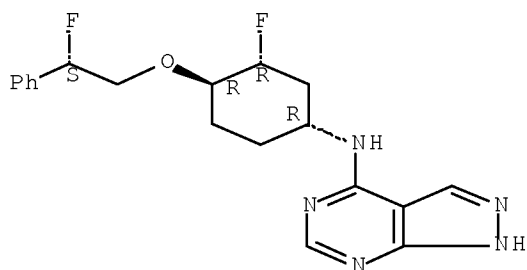
Absolute stereochemistry.



RN 847415-81-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-3-fluoro-4-[(2S)-2-fluoro-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

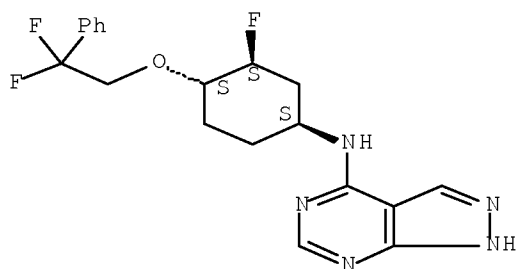
Absolute stereochemistry.



RN 847415-82-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S,4S)-4-(2,2-difluoro-2-phenylethoxy)-3-fluorocyclohexyl]- (CA INDEX NAME)

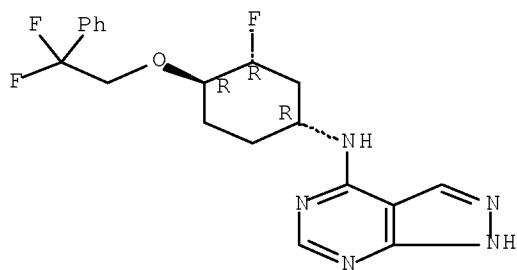
Absolute stereochemistry.



RN 847415-83-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-4-(2,2-difluoro-2-phenylethoxy)-3-fluorocyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



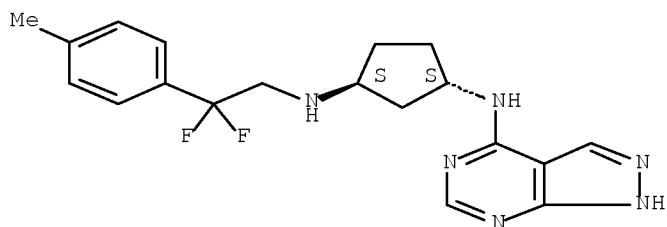
RN 847415-85-4 CAPLUS

CN 1,3-Cyclopentanediamine, N-[2,2-difluoro-2-(4-methylphenyl)ethyl]-N'-1H-pyrazolo[3,4-d]pyrimidin-4-yl-, (1S,3S)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

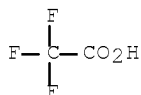
CRN 847415-84-3
CMF C19 H22 F2 N6

Absolute stereochemistry.



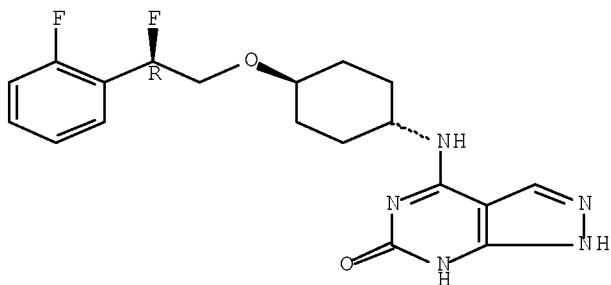
CM 2

CRN 76-05-1
CMF C2 H F3 O2

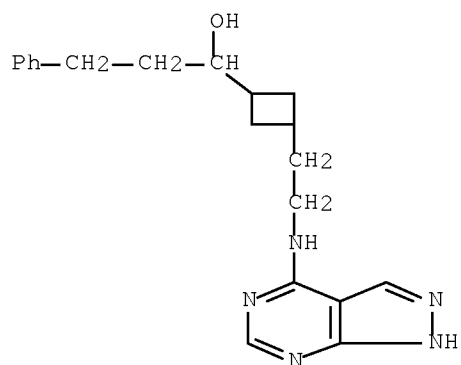


RN 847415-86-5 CAPLUS
CN 6H-Pyrazolo[3,4-d]pyrimidin-6-one, 4-[[trans-4-[(2R)-2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]amino]-1,5-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

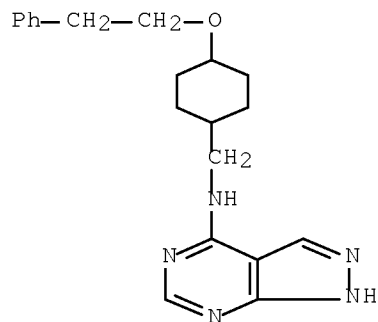


RN 847415-87-6 CAPLUS
CN Benzenepropanol, α -[3-[2-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)ethyl]cyclobutyl]- (CA INDEX NAME)



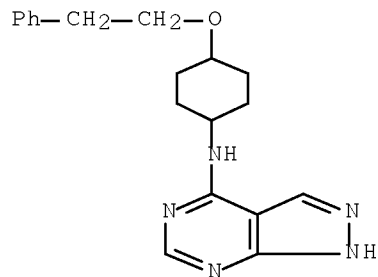
RN 847415-89-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[[4-(2-phenylethoxy)cyclohexyl]methyl]- (CA INDEX NAME)



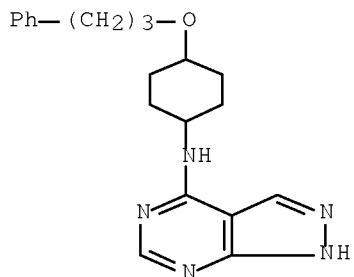
RN 847415-90-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)



RN 847415-91-2 CAPLUS

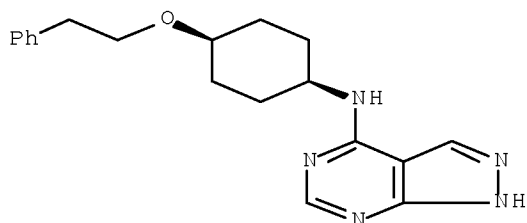
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[4-(3-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)



RN 847415-92-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-(2-phenylethoxy)cyclohexyl]-
(CA INDEX NAME)

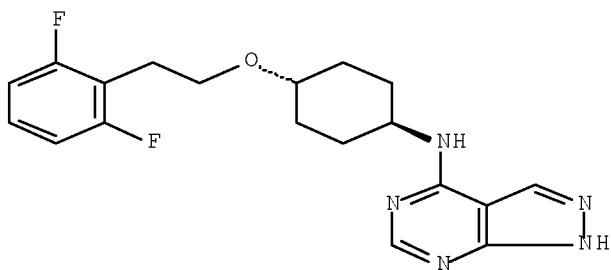
Relative stereochemistry.



RN 847415-93-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2,6-difluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

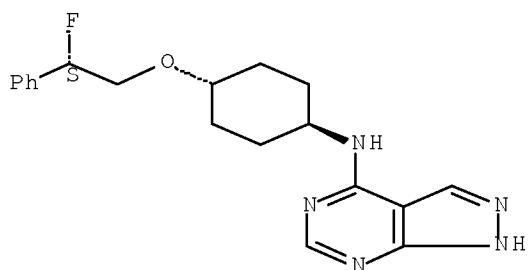
Relative stereochemistry.



RN 847415-94-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2S)-2-fluoro-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

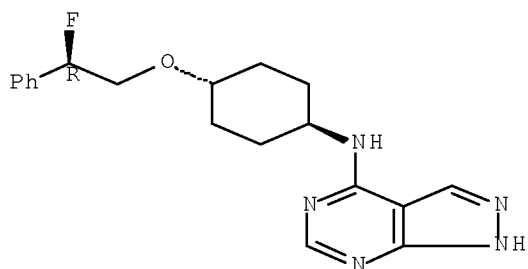
Absolute stereochemistry.



RN 847415-95-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2R)-2-fluoro-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847415-96-7 CAPLUS

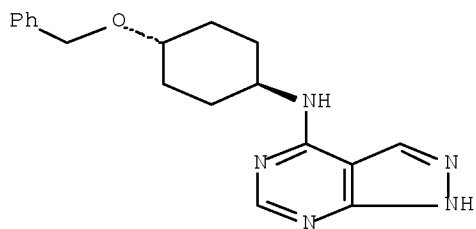
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(phenylmethoxy)cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 847415-26-3

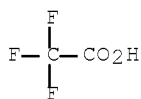
CMF C18 H21 N5 O

Relative stereochemistry.



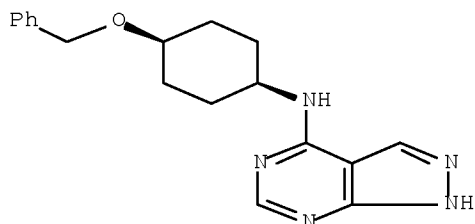
CM 2

CRN 76-05-1
CMF C2 H F3 O2



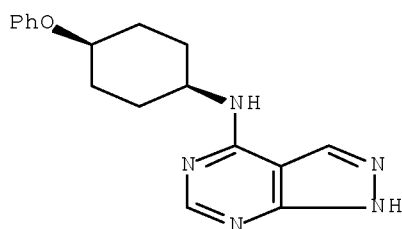
RN 847415-98-9 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-(phenylmethoxy)cyclohexyl]-
(CA INDEX NAME)

Relative stereochemistry.



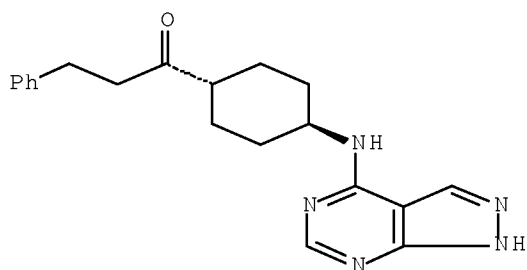
RN 847415-99-0 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cis-4-phenoxy)cyclohexyl)- (CA
INDEX NAME)

Relative stereochemistry.



RN 847416-00-6 CAPLUS
CN 1-Propanone, 3-phenyl-1-[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]- (CA INDEX NAME)

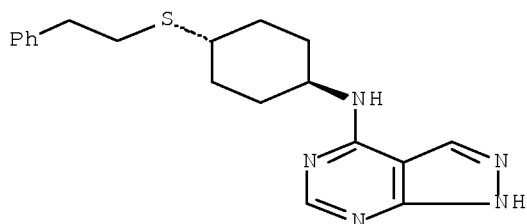
Relative stereochemistry.



RN 847416-01-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-phenylethyl)thio]cyclohexyl]- (CA INDEX NAME)

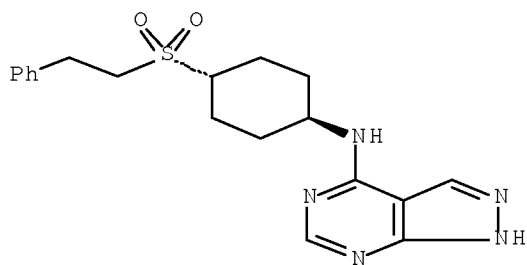
Relative stereochemistry.



RN 847416-02-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-phenylethyl)sulfonyl]cyclohexyl]- (CA INDEX NAME)

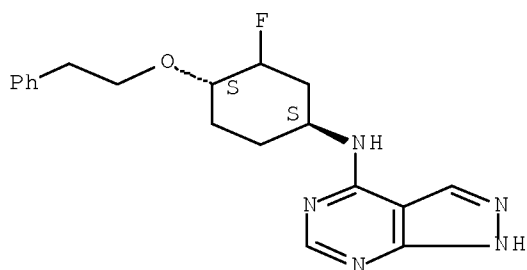
Relative stereochemistry.



RN 847416-03-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]-, rel- (CA INDEX NAME)

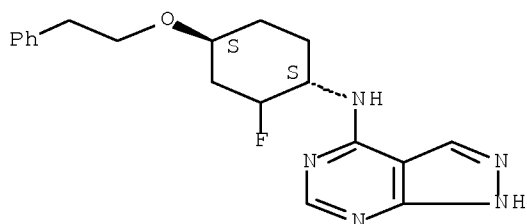
Relative stereochemistry.



RN 847416-04-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-2-fluoro-4-(2-phenylethoxy)cyclohexyl]-, rel- (CA INDEX NAME)

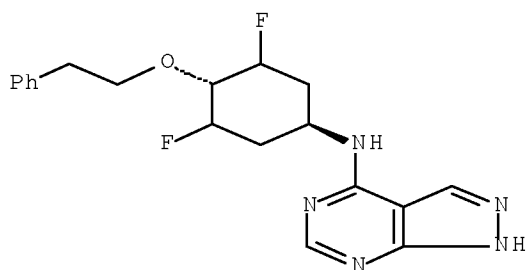
Relative stereochemistry.



RN 847416-05-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1 α ,4 β)-3,5-difluoro-4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

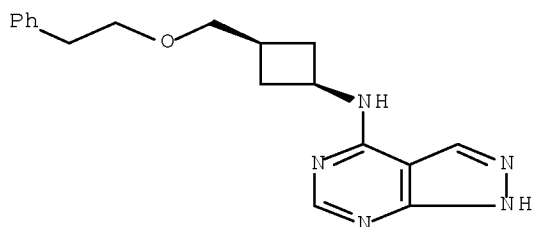
Relative stereochemistry.



RN 847416-06-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-3-[(2-phenylethoxy)methyl]cyclobutyl]- (CA INDEX NAME)

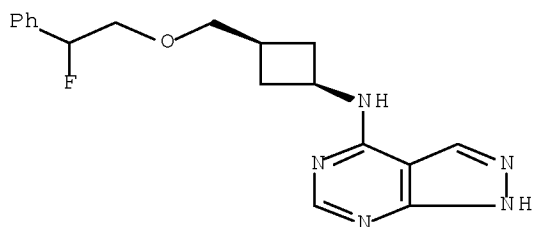
Relative stereochemistry.



RN 847416-07-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-3-[(2-fluoro-2-phenylethoxy)methyl]cyclobutyl]- (CA INDEX NAME)

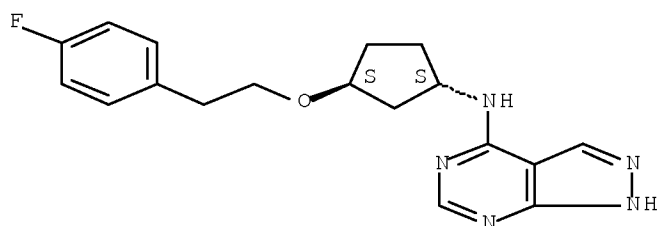
Relative stereochemistry.



RN 847416-08-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(4-fluorophenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

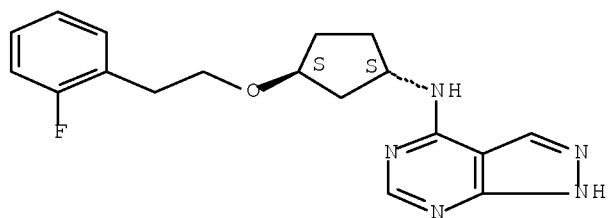
Relative stereochemistry.



RN 847416-09-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(2-fluorophenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

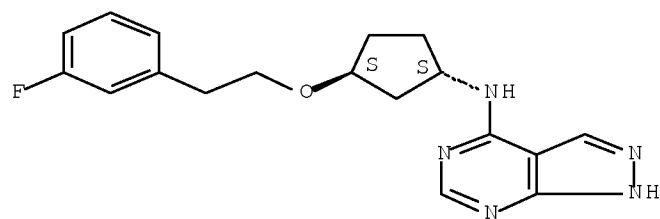
Relative stereochemistry.



RN 847416-10-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(3-fluorophenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

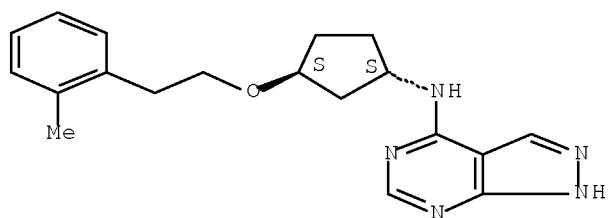
Relative stereochemistry.



RN 847416-11-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(2-methylphenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

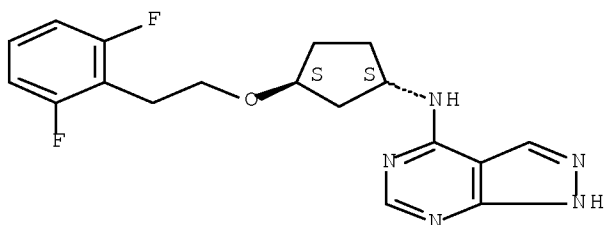
Relative stereochemistry.



RN 847416-12-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(2,6-difluorophenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

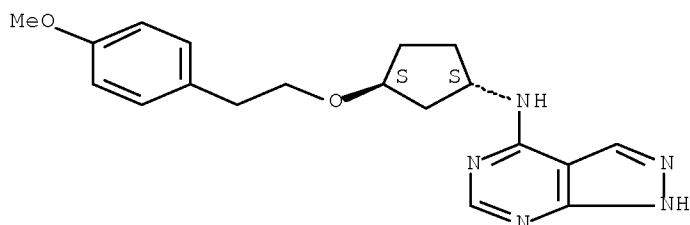
Relative stereochemistry.



RN 847416-13-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(4-methoxyphenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

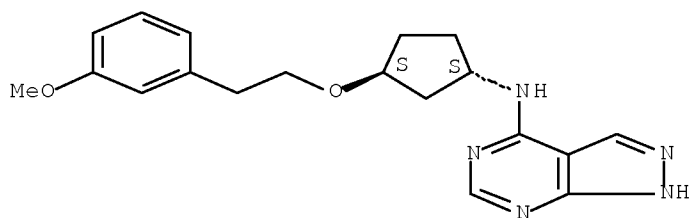
Relative stereochemistry.



RN 847416-14-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(3-methoxyphenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

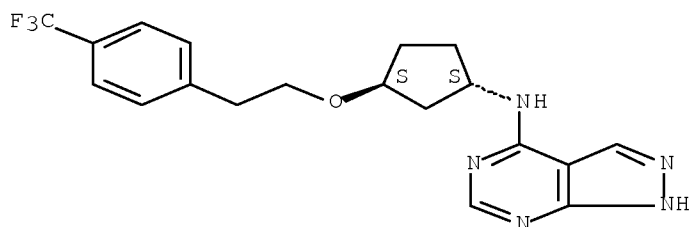
Relative stereochemistry.



RN 847416-15-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-[4-(trifluoromethyl)phenyl]ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

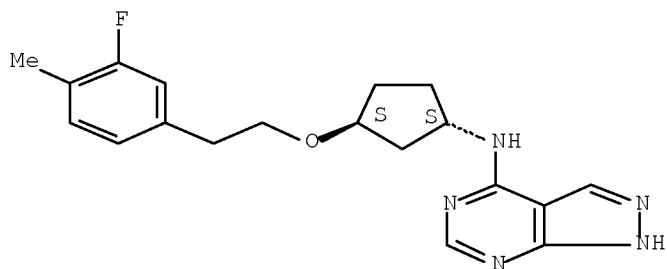
Relative stereochemistry.



RN 847416-16-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(3-fluoro-4-methylphenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

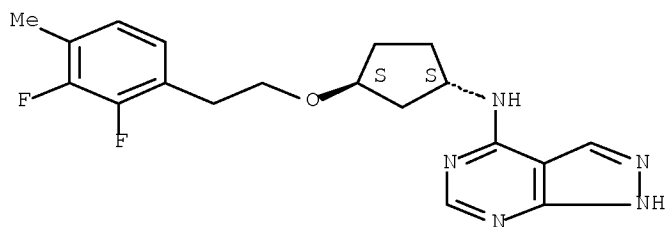
Relative stereochemistry.



RN 847416-17-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(2,3-difluoro-4-methylphenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

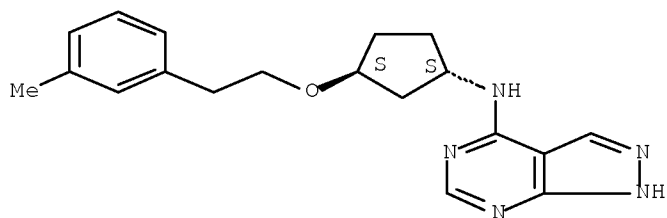
Relative stereochemistry.



RN 847416-18-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(3-methylphenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

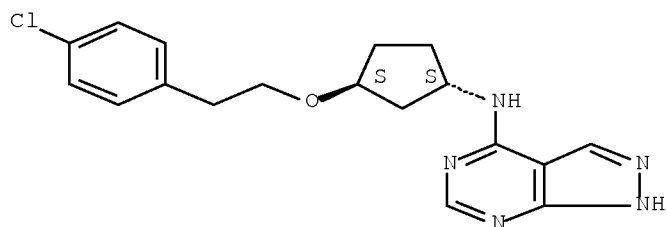
Relative stereochemistry.



RN 847416-19-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(4-chlorophenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

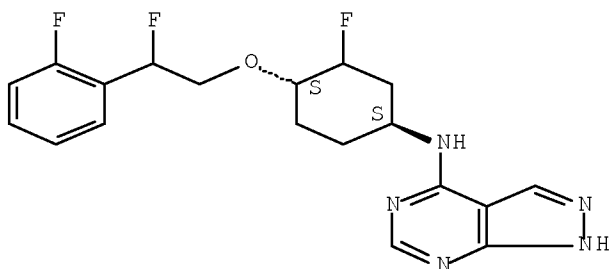
Relative stereochemistry.



RN 847416-20-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-3-fluoro-4-[2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]-, rel- (CA INDEX NAME)

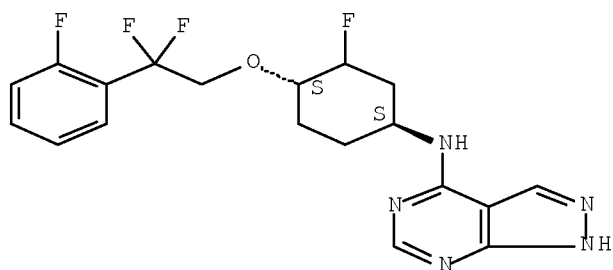
Relative stereochemistry.



RN 847416-21-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-4-[2,2-difluoro-2-(2-fluorophenyl)ethoxy]-3-fluorocyclohexyl]-, rel- (CA INDEX NAME)

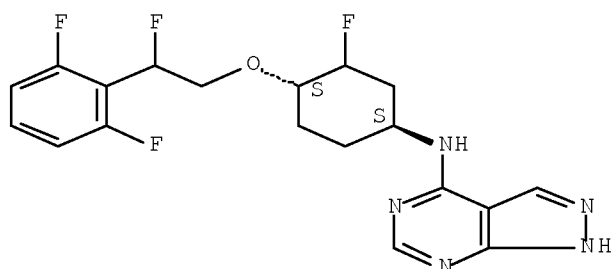
Relative stereochemistry.



RN 847416-22-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-4-[2-(2,6-difluorophenyl)-2-fluoroethoxy]-3-fluorocyclohexyl]-, rel- (CA INDEX NAME)

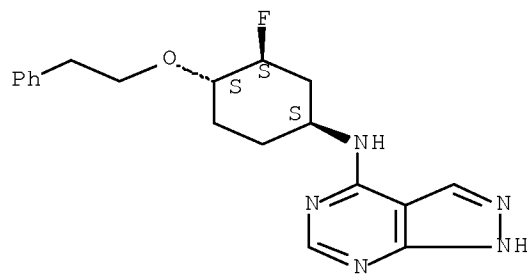
Relative stereochemistry.



RN 847417-15-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S,4S)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

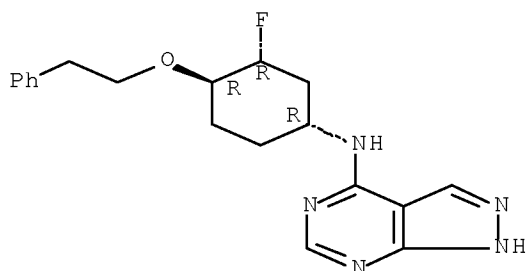


● HCl

RN 847417-16-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

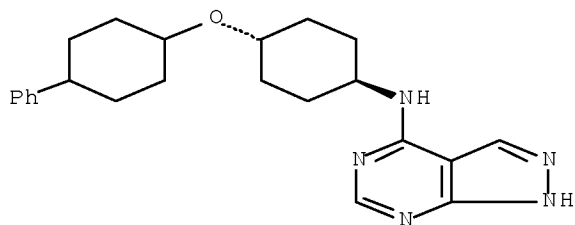
Absolute stereochemistry.



RN 847482-01-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(4-phenylcyclohexyl)oxy]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



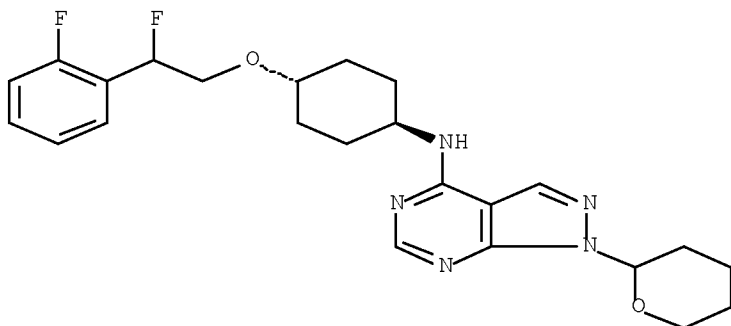
IT 847416-39-1P 847416-90-4P 847417-03-2P
847417-36-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-cycloalkylaminopyrazolopyrimidines as NMDA/NR2B antagonists)

RN 847416-39-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]-1-(tetrahydro-2H-pyran-2-yl)- (CA INDEX NAME)

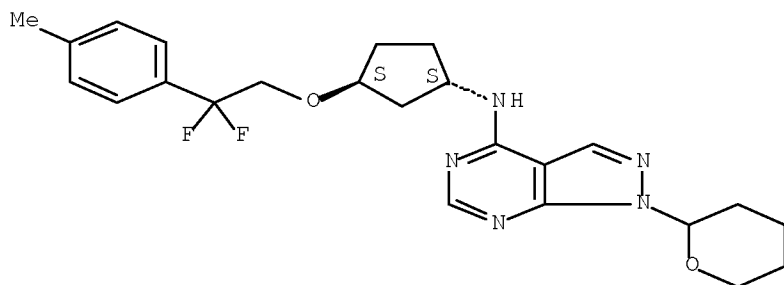
Relative stereochemistry.



RN 847416-90-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]cyclopentyl]-1-(tetrahydro-2H-pyran-2-yl)-, rel- (CA INDEX NAME)

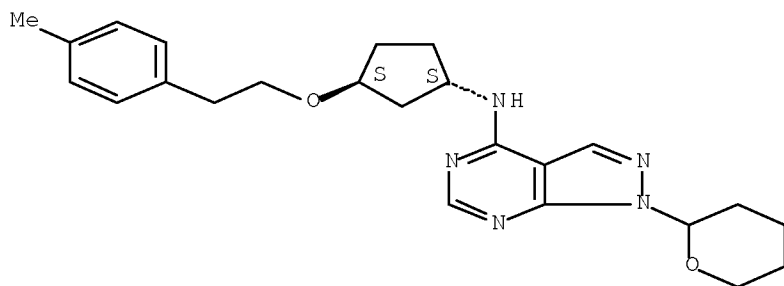
Relative stereochemistry.



RN 847417-03-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(4-methylphenyl)ethoxy]cyclopentyl]-1-(tetrahydro-2H-pyran-2-yl)-, rel- (CA INDEX NAME)

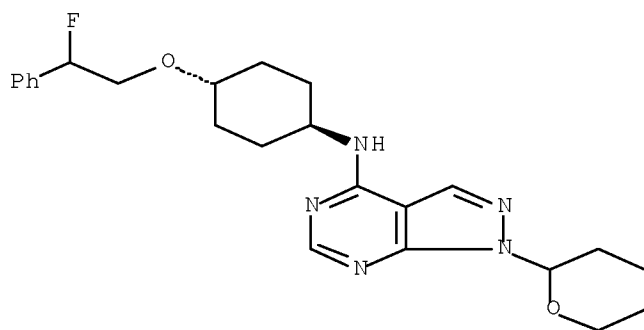
Relative stereochemistry.



RN 847417-36-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-fluoro-2-phenylethoxy)cyclohexyl]-1-(tetrahydro-2H-pyran-2-yl)- (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:71176 CAPLUS Full-text

DN 142:176857

TI Preparation of fused aryl and heteroaryl derivatives, in particular
pyrazolo[3,4-d]pyrimidines, as modulators of G-coupled protein receptor
and their use in the prophylaxis and treatment of metabolic disorders

IN Jones, Robert M.; Semple, Graeme; Xiong, Yifeng; Shin, Young-Jun; Ren,
Albert S.; Calderon, Imelda; Fioravanti, Beatriz; Choi, Jin Sun Karoline;
Sage, Carlton R.

PA Arena Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 320 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|------------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | WO 2005007658 | A2 | 20050127 | WO 2004-US22417 | 20040713 |
| | WO 2005007658 | A3 | 20050616 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
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| | AU 2004257267 | A1 | 20050127 | AU 2004-257267 | 20040713 |
| | CA 2532971 | A1 | 20050127 | CA 2004-2532971 | 20040713 |
| | US 2005059650 | A1 | 20050317 | US 2004-890549 | 20040713 |
| | US 7132426 | B2 | 20061107 | | |
| | EP 1644375 | A2 | 20060412 | EP 2004-756935 | 20040713 |
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| | CN 1829718 | A | 20060906 | CN 2004-80020172 | 20040713 |
| | BR 2004012689 | A | 20061003 | BR 2004-12689 | 20040713 |
| | JP 2007531698 | T | 20071108 | JP 2006-520271 | 20040713 |
| | IN 2006KN00071 | A | 20070727 | IN 2006-KN71 | 20060109 |
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| | NO 2006000688 | A | 20060407 | NO 2006-688 | 20060213 |
| | US 2006142262 | A1 | 20060629 | US 2006-355785 | 20060216 |
| | US 2007072844 | A1 | 20070329 | US 2006-602162 | 20061120 |
| | US 2007082874 | A1 | 20070412 | US 2006-602176 | 20061120 |
| PRAI | US 2003-487443P | P | 20030714 | | |
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| | US 2006-355785 | A1 | 20060216 | | |
| OS | MARPAT 142:176857 | | | | |
| GI | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A, B = independently (un)substituted alkylene; D = O,
S, SO, SO₂, etc.; E = N, C, CH and derivs.; K = (un)substituted
cyclo/alkylene; Q = NH and derivs., O, S, SO, SO₂; T, M, J = independently N,
CH and derivs.; U, W, Z = independently C, N; V = a bond, N, CH and derivs.;

X, Y = independently O, S, N, CH and derivs., NH and derivs.; Ar1 = (un)substituted hetero/aryl; their pharmaceutically acceptable salts, hydrates and solvates] were prepared as modulators, in particular agonists and inverse agonists of G-coupled protein receptor (RUP3), for treating diabetes, hyperglycemia and other metabolic disorders. Ten biol. examples are given. For example, II was prepared, in 5 steps, from 4-

(methylsulfonyl)phenylhydrazine•HCl, ethoxymethylenemalononitrile and 4-chloro-1-(4-methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidine. Selected I displayed EC50 < 10 µM in a melanophore-based pigment dispersion assay. Selected RUP3 agonists I lowered blood glucose levels in rats in an oral glucose tolerance test. Thus, I are useful in the prophylaxis or treatment of metabolic disorders and complications thereof, such as, diabetes and obesity.

IT 832715-72-7P, trans-[4-[[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]carbamic acid tert-butyl ester

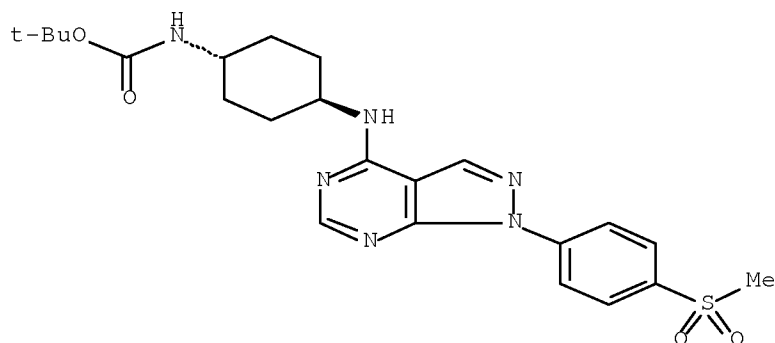
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of fused aryl and heteroaryl derivs., in particular pyrazolopyrimidines, as modulators of G-coupled protein receptor and their use in treatment of diabetes, hyperglycemia and related diseases)

RN 832715-72-7 CAPLUS

CN Carbamic acid, [trans-4-[[1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 832715-73-8P, trans-N-[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]cyclohexane-1,4-diamine 832715-74-9P, cis-N-[4-[[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]nicotinamide 832715-75-0P 832715-76-1P, cis-[4-[[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]methyl]cyclohexyl]carbamic acid tert-butyl ester 832715-77-2P, cis-N-[[4-[[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]methyl]nicotinamide 832715-78-3P, cis-N-[[4-[[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]methyl]-6-methylnicotinamide 832716-15-1P, [4-[[1-[3,5-Bis(trifluoromethyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]carbamic acid tert-butyl ester 832716-23-1P, [4-[[1-(3-Fluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]carbamic acid tert-butyl ester 832716-25-3P,

cis-[4-[[1-(2,4-Difluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]carbamic acid tert-butyl ester 832716-30-0P,
N-[1-(2,4-Difluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]cyclohexane-1,4-diamine

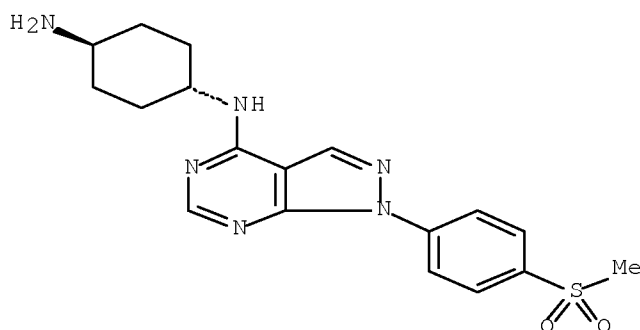
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fused aryl and heteroaryl derivs., in particular pyrazolopyrimidines, as modulators of G-coupled protein receptor and their use in treatment of diabetes, hyperglycemia and related diseases)

RN 832715-73-8 CAPLUS

CN 1,4-Cyclohexanediamine, N-[1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]-, trans- (9CI) (CA INDEX NAME)

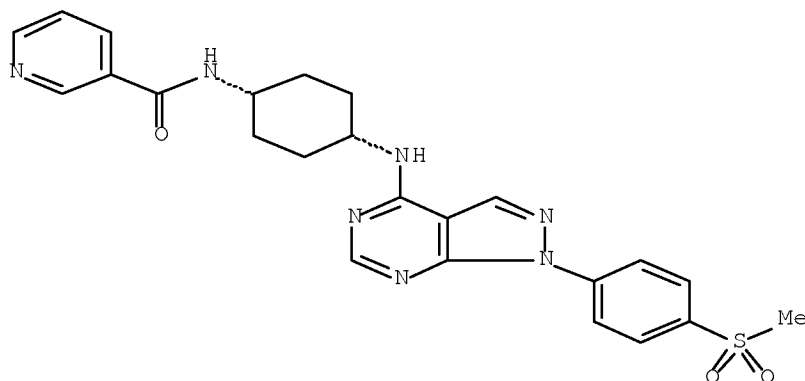
Relative stereochemistry.



RN 832715-74-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[cis-4-[[1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.

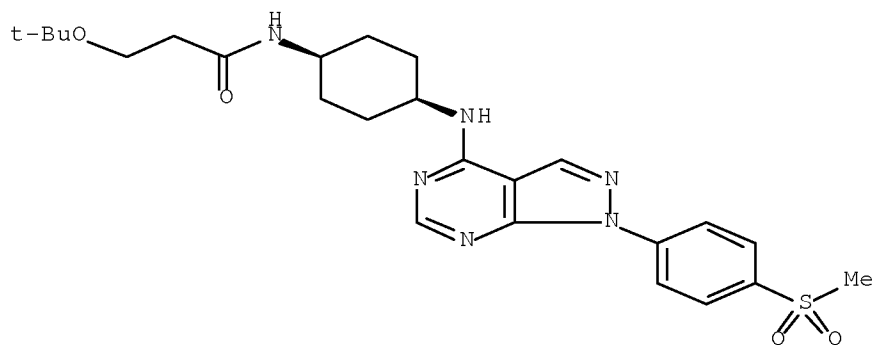


RN 832715-75-0 CAPLUS

CN Propanamide, 3-(1,1-dimethylethoxy)-N-[cis-4-[[1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]-

(CA INDEX NAME)

Relative stereochemistry.

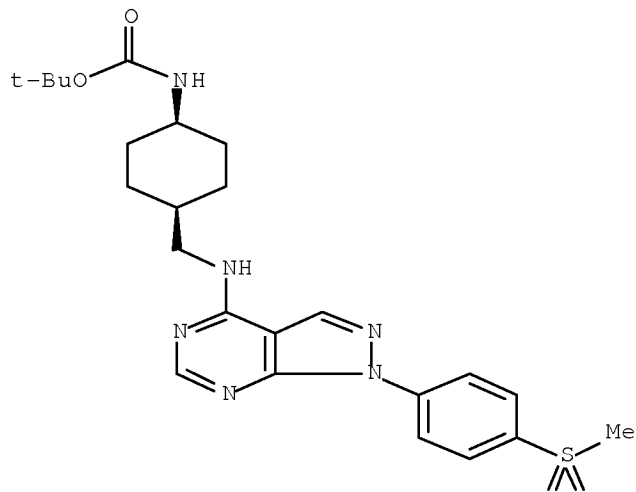


RN 832715-76-1 CAPLUS

CN Carbamic acid, [cis-4-[[[1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]methyl]cyclohexyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

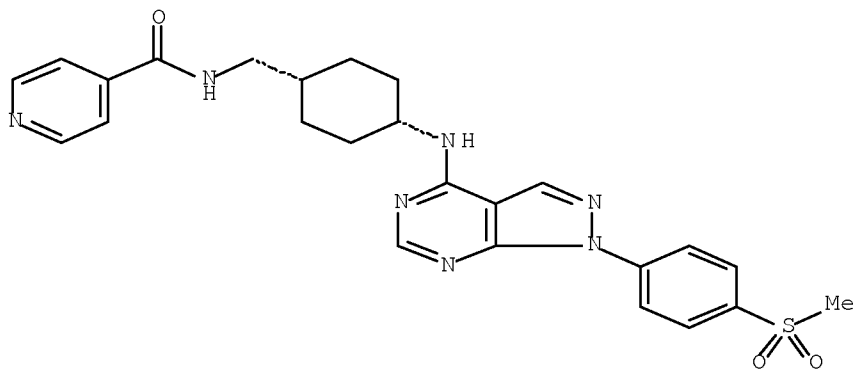


RN 832715-77-2 CAPLUS

CN 4-Pyridinecarboxamide, N-[[cis-4-[[[1-[4-(methylsulfonyl)phenyl]-1H-

pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl)methyl]- (CA INDEX NAME)

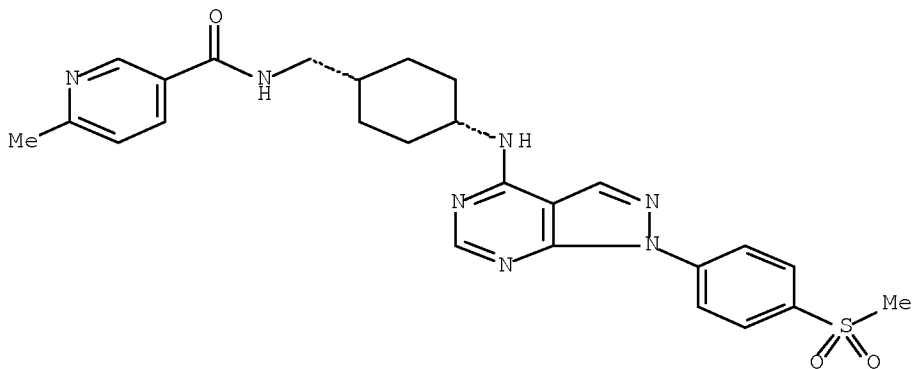
Relative stereochemistry.



RN 832715-78-3 CAPLUS

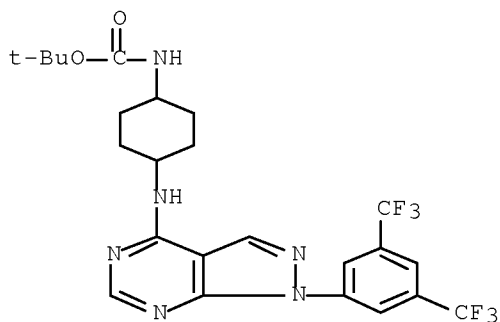
CN 3-Pyridinecarboxamide, 6-methyl-N-[[cis-4-[[1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl)methyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 832716-15-1 CAPLUS

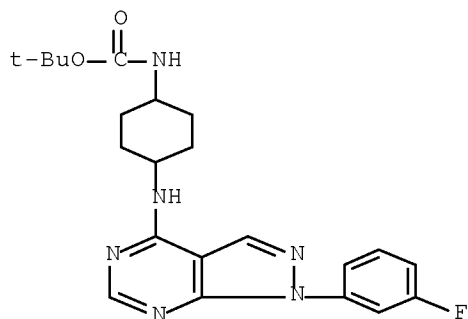
CN Carbamic acid, [4-[[1-[3,5-bis(trifluoromethyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 832716-23-1 CAPLUS

CN Carbamic acid, [4-[[1-(3-fluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-

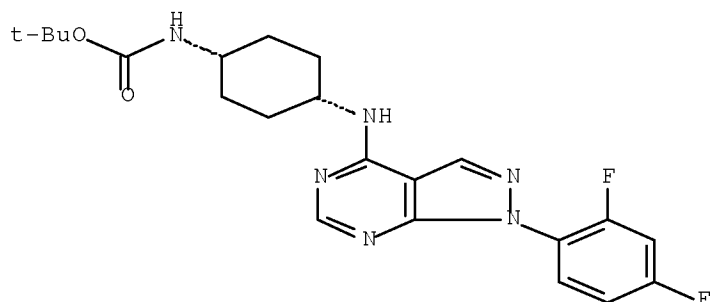
yl]amino]cyclohexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 832716-25-3 CAPLUS

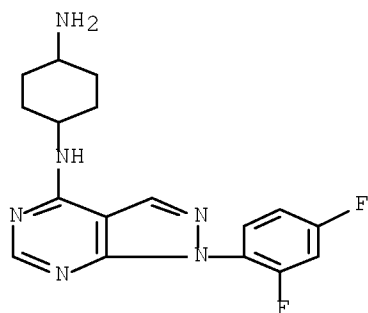
CN Carbamic acid, [cis-4-[[1-(2,4-difluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



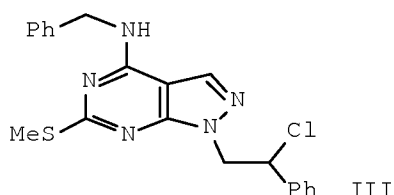
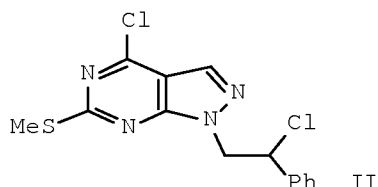
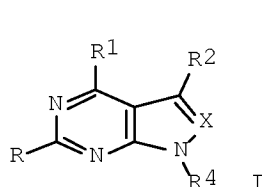
RN 832716-30-0 CAPLUS

CN 1,4-Cyclohexanediamine, N-[1-(2,4-difluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)



L10 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:1059356 CAPLUS Full-text
 DN 142:38272
 TI 4-Amino-substituted derivatives of pyrazolo[3,4-d]pyrimidine and
 pyrrolo[2,3-d]pyrimidine and their preparation, pharmaceutical
 compositions, and use as antitumor and antileukemic agents
 IN Bondavalli, Francesco; Botta, Maurizio; Bruno, Olga; Manetti, Fabrizio;
 Schenone, Silvia; Carraro, Fabio
 PA Universita Degli Studi di Siena, Italy
 SO PCT Int. Appl., 29 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

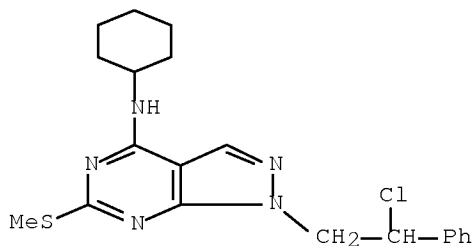
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2004106340 | A2 | 20041209 | WO 2004-IT303 | 20040526 |
| | WO 2004106340 | A3 | 20050217 | | |
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| | CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, | | | | |
| | GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, | | | | |
| | LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, | | | | |
| | NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, | | | | |
| | TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: | | | | |
| | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, | | | | |
| | AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, | | | | |
| | EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, | | | | |
| | SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, | | | | |
| | SN, TD, TG | | | | |
| | CA 2527496 | A1 | 20041209 | CA 2004-2527496 | 20040526 |
| | EP 1638966 | A2 | 20060329 | EP 2004-734885 | 20040526 |
| | R: | | | | |
| | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |
| | IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| | JP 2007500206 | T | 20070111 | JP 2006-531028 | 20040526 |
| | US 2007010510 | A1 | 20070111 | US 2006-558553 | 20060814 |
| PRAI | IT 2003-RM263 | A | 20030528 | | |
| | IT 2003-RM264 | A | 20030528 | | |
| | WO 2004-IT303 | W | 20040526 | | |
| OS | MARPAT 142:38272 | | | | |
| GI | | | | | |



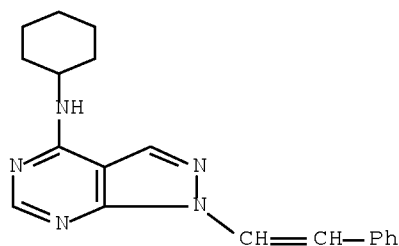
AB 4-Amino-substituted pyrazolo[3,4-d]pyrimidine and pyrrolo[2,3-d]pyrimidine
 derivs., particularly I [X = CH or N; R = H, alkylthio, aminoalkylthio; R1 =
 NH-cyclopropyl, NHPr, NHBu, NEt2, NHCH2CH2OEt, pyrrolidin-1-yl, piperidin-1-

yl, morpholin-4-yl, NH-cyclohexyl, hexahydroazepin-1-yl, NHCH₂Ph, NHCH₂CH₂Ph (others unclaimed); R₂ = H, C₆H₄R₃; R₃ = H, halo, alkyl; R₄ = CH₂CH(R₅)C₆H₄R₃ or CH:CHC₆H₄R₃; R₅ = Cl, Br, OH], are described. I are inhibitors of cell proliferation in A431, 8701-BC, and leukemia cell lines, and are thus potential antitumor and antileukemic agents. Approx. 50 compds. I were tested in bioassays, and preparatory data for most of the compds. is given. For instance, Et 5-amino-1-(2-hydroxy-2-phenylethyl)-1H-pyrazole-4-carboxylate was thioacylated with benzoyl isothiocyanate (93%), cyclized with aqueous NaOH (80%), S-methylated with MeI (72%), and chlorinated at both the ring and sidechain with POCl₃ and DMF (Vilsmeier complex) (65%), to give the chloride intermediate II. Reaction of II with PhCH₂NH₂ in PhMe at room temperature for 24 h gave 81% invention compound III. This compound inhibited Src phosphorylation with almost the same efficacy as PP2. In regard to antiproliferative activity toward A431 cells, 11 compds. including III had IC₅₀ values comparable to or better than PP2. Compds. I showed no cytotoxicity toward tested cell lines at 10 nM to 10 μM when evaluated by trypan blue exclusion.

IT 691390-35-9P, 4-(Cyclohexylamino)-1-(2-chloro-2-phenylethyl)-6-(methylthio)-1H-pyrazolo[3,4-d]pyrimidine 805326-18-5P, 4-(Cyclohexylamino)-1-(2-phenylvinyl)-1H-pyrazolo[3,4-d]pyrimidine 805326-23-2P, 1-(2-Bromo-2-phenylethyl)-4-(cyclohexylamino)-1H-pyrazolo[3,4-d]pyrimidine 805326-26-5P, 1-(2-Bromo-2-phenylethyl)-4-(cyclopropylamino)-1H-pyrazolo[3,4-d]pyrimidine
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of amino-substituted pyrazolopyrimidine and pyrrolopyrimidine derivs. as antitumor and antileukemic agents)
 RN 691390-35-9 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclohexyl-6-(methylthio)- (CA INDEX NAME)

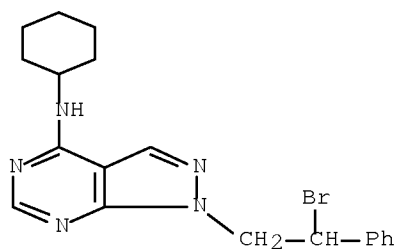


RN 805326-18-5 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1-(2-phenylethenyl)- (CA INDEX NAME)



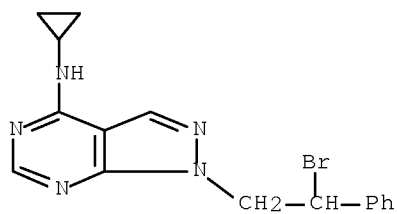
RN 805326-23-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-cyclohexyl- (CA INDEX NAME)



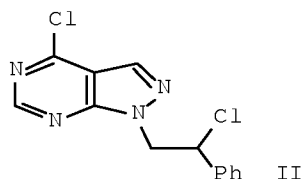
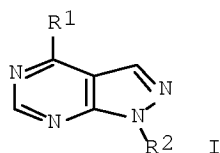
RN 805326-26-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-cyclopropyl- (CA INDEX NAME)



L10 ANSWER 11 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:1059355 CAPLUS Full-text
 DN 142:38271
 TI 4-Amine-substituted derivatives of pyrazolo[3,4-d]pyrimidine and their
 preparation, pharmaceutical compositions, and use as antitumor agents
 IN Bondavalli, Francesco; Botta, Maurizio; Manetti, Fabrizio; Donnini,
 Sandra; Schenone, Silvia; Ziche, Marina
 PA Universita Degli Studi di Siena, Italy
 SO PCT Int. Appl., 16 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2004106339 | A2 | 20041209 | WO 2004-IT302 | 20040526 |
| | WO 2004106339 | A3 | 20050217 | | |
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| | CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, | | | | |
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| | LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, | | | | |
| | NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, | | | | |
| | TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: | | | | |
| | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, | | | | |
| | AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, | | | | |
| | EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, | | | | |
| | SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, | | | | |
| | SN, TD, TG | | | | |
| PRAI | IT 2003-RM263 | A | 20030528 | | |
| | IT 2003-RM264 | A | 20030528 | | |
| GI | | | | | |



AB Title compds. I [R1 = cyclopropylamino, NHPr, pyrrolidin-1-yl, NHBu, NEt2, morpholin-4-yl, NHCH2CH2OEt, piperidin-1-yl, cyclohexylamino, hexahydroazepin-1-yl, NHCH2Ph, NHCH2CH2Ph; R2 = CH2CH(Cl)Ph] and I [R1 = cyclopropylamino; R2 = CH:CHPh] are described. The compds. are active as antitumor agents. In particular, I are inhibitors of tyrosine kinase activity linked to receptors involved in endothelial cell growth, such as VEGFR, FGFR, and EGFR. For example, cyclocondensation of 2-(2-hydroxy-2-phenylethyl)-3-amino-2H-pyrazole-4-carboxylic acid Et ester with formamide at 190° gave 1-(2-hydroxy-2-phenylethyl)-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one, which underwent chlorination of the hydroxy group and the ring carbonyl by POCl3 and DMF (Vilsmeier complex) to give the chloride intermediate II. Aminolysis of II with various amines in PhMe at room temperature for 36 h gave title compds. I [R2 = CH2CH(Cl)Ph] in 55-90% yield with high regioselectivity, i.e., no substitution of the sidechain chloride was observed. The compds. generally showed no toxic side effects on 3 tumor cell lines at 10 μM, but inhibited

proliferation of two cell lines: A431 with a high d. of EGF receptors, and PAEC transfected with VEGFR2 receptors. In particular, I [R1 = NHCH2Ph, R2 = CH2CH(Cl)Ph], one of 3 preferred compds., gave approx. 125% inhibition of PAEC proliferation compared to SU5614, a known VEGF receptor antagonist.

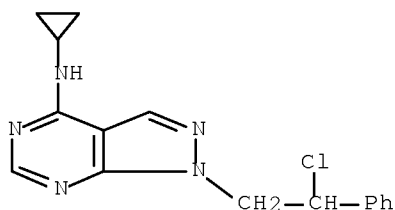
IT 805227-49-0P, N-Cyclopropyl-1-(2-chloro-2-phenylethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine 805227-57-0P, N-Cyclohexyl-1-(2-chloro-2-phenylethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of amine-substituted derivs. of pyrazolopyrimidine as antitumor agents)

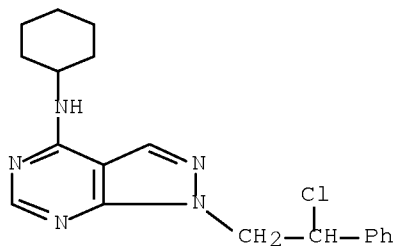
RN 805227-49-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclopropyl- (CA INDEX NAME)



RN 805227-57-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclohexyl- (CA INDEX NAME)



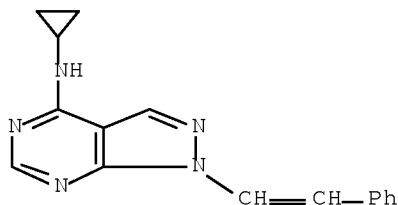
IT 805227-61-6P, N-Cyclopropyl-1-(2-phenylvinyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

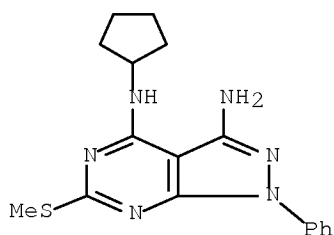
(drug candidate; preparation of amine-substituted derivs. of pyrazolopyrimidine as antitumor agents)

RN 805227-61-6 CAPLUS

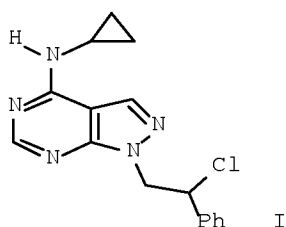
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopropyl-1-(2-phenylethenyl)- (CA INDEX NAME)



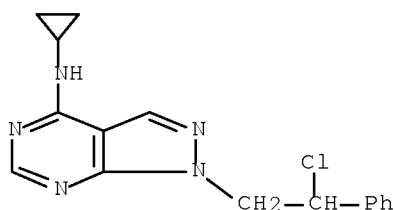
L10 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:996490 CAPLUS Full-text
DN 143:115498
TI Solid-phase synthesis of 3,4-diamino-1H-pyrazolo[5,4-d]pyrimidines
AU Xie, Jun; Ma, Yue-Long; Xiao, Yuan-Jing; Yang, Li-Ping
CS Department of Chemistry, East China Normal University, Shanghai, 200062,
Peop. Rep. China
SO Youji Huaxue (2004), 24(11), 1436-1439
CODEN: YCHHDX; ISSN: 0253-2786
PB Kexue Chubanshe
DT Journal
LA Chinese
OS CASREACT 143:115498
AB Various substituted pyrazolo[5,4-d]pyrimidines were prepared by Merrifield
resin supported solid-phase synthesis via reductive amination, substitution,
intramol. nucleophilic addition, finally cleavage from the resin using
trifluoroacetic acid gave the desired title products.
IT 857635-71-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of diaminopyrazolopyrimidines)
RN 857635-71-3 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidine-3,4-diamine, N4-cyclopentyl-6-(methylthio)-1-
phenyl- (CA INDEX NAME)



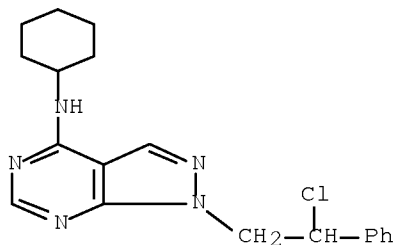
L10 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:881212 CAPLUS Full-text
 DN 142:56251
 TI Antiproliferative activity of new 1-aryl-4-amino-1H-pyrazolo[3,4-
 d]pyrimidine derivatives toward the human epidermoid carcinoma A431 cell
 line
 AU Schenone, Silvia; Bruno, Olga; Bondavalli, Francesco; Ranise, Angelo;
 Mosti, Luisa; Menozzi, Giulia; Fossa, Paola; Donnini, Sandra; Santoro,
 Annalisa; Ziche, Marina; Manetti, Fabrizio; Botta, Maurizio
 CS Dipartimento di Scienze Farmaceutiche, Universita degli Studi di Genova,
 Genoa, 16132, Italy
 SO European Journal of Medicinal Chemistry (2004), 39(11), 939-946
 CODEN: EJMCA5; ISSN: 0223-5234
 PB Elsevier Ltd.
 DT Journal
 LA English
 OS CASREACT 142:56251
 GI



AB Synthesis and biol. evaluation of a class of 1-aryl-4-amino-1H- pyrazolo[3,4-
 d]pyrimidines, e.g., I, are reported. A preliminary cellular assay system
 using the tumor cell line A431 responding to epidermal growth factor (EGF) for
 its growth, showed that the compds. were potent inhibitors of cell growth.
 The inhibition of tumor cell proliferation was not associated with blockage of
 EGF receptor, but substantially due to the interference with the signaling
 pathway at the level of Src tyrosine kinase and at the level of the downstream
 effector signal mitogen activated protein kinases, ERK1-2.
 IT 805227-49-0P 805227-57-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
 (Biological study); PREP (Preparation)
 (preparation and antiproliferative activity of N-
 [chloro(phenyl)ethyl]aminopyrazolopyrimidines via heterocyclization of
 N-[chloro(phenyl)ethyl]aminopyrazolecarboxylate followed by
 chlorination and amination with amines)
 RN 805227-49-0 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-
 cyclopropyl- (CA INDEX NAME)



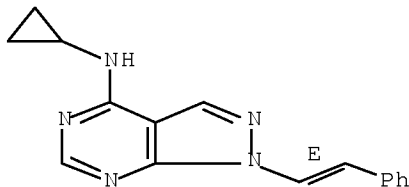
RN 805227-57-0 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclohexyl- (CA INDEX NAME)



IT 811412-42-7P 811412-44-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (stereoselective preparation and antiproliferative activity of N-(styryl)aminopyrazolopyrimidines via chlorination of N-[chloro(phenyl)ethyl]pyrazolopyrimidinones followed stereoselective elimination and amination with amines)

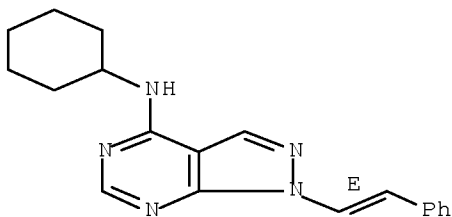
RN 811412-42-7 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopropyl-1-[(1E)-2-phenylethenyl]- (CA INDEX NAME)

Double bond geometry as shown.



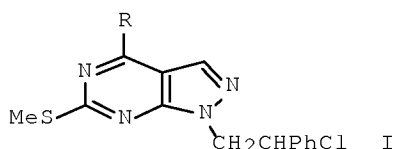
RN 811412-44-9 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1-[(1E)-2-phenylethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

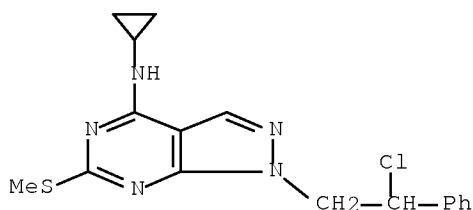


RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

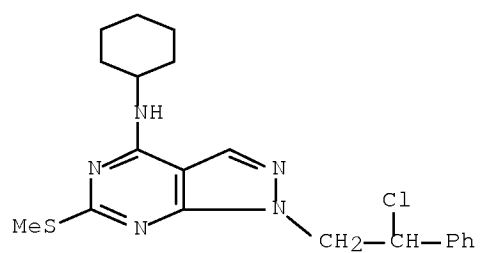
L10 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2004:153867 CAPLUS Full-text
 DN 140:423633
 TI Synthesis of 1-(2-chloro-2-phenylethyl)-6-methylthio-1H-pyrazolo[3,4-d]pyrimidines 4-amino substituted and their biological evaluation
 AU Schenone, Silvia; Bruno, Olga; Bondavalli, Francesco; Ranise, Angelo; Mosti, Luisa; Menozzi, Giulia; Fossa, Paola; Manetti, Fabrizio; Morbidelli, Lucia; Trincavelli, Letizia; Martini, Claudia; Lucacchini, Antonio
 CS Dipartimento di Scienze Farmaceutiche, Universita degli Studi di Genova, Genoa, 16132, Italy
 SO European Journal of Medicinal Chemistry (2004), 39(2), 153-160
 CODEN: EJMCA5; ISSN: 0223-5234
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 OS CASREACT 140:423633
 GI



AB A new series of 4-amino-6-methylthio-1H-pyrazolo[3,4-d]pyrimidines (I, R = cyclopropylamino, NHBu, morpholino, etc.), bearing the 2-chloro-2-phenylethyl chain at the N1 position, has been synthesized. The affinity of these compds. for A1 adenosine receptor (A1AR) was measured. The compds. showed poor affinity. A more interesting result was obtained by three examples of I which demonstrated inhibitory activity on cell proliferation of the A-431 cell line stimulated by epithelial growth factor (EGF) and on EGF receptor tyrosine kinase (EGFR-TK) phosphorylation.
 IT 691390-31-5P 691390-35-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of 4-amino substituted 1-(2-chloro-2-phenylethyl)-6-methylthio-1H-pyrazolo[3,4-d]pyrimidines and their affinity for A1 adenosine receptor)
 RN 691390-31-5 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclopropyl-6-(methylthio)- (CA INDEX NAME)

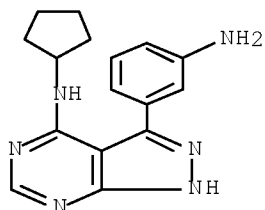


RN 691390-35-9 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclohexyl-6-(methylthio)- (CA INDEX NAME)



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

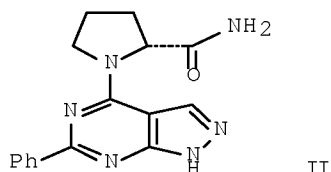
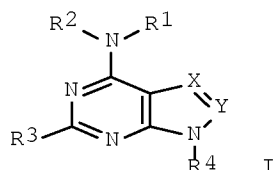
L10 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2003:726568 CAPLUS Full-text
 DN 139:276869
 TI One-Pot Two-Step Microwave-Assisted Reaction in Constructing
 4,5-Disubstituted Pyrazolopyrimidines
 AU Wu, Tom Y. H.; Schultz, Peter G.; Ding, Sheng
 CS Department of Chemistry, The Scripps Research Institute, La Jolla, CA,
 92037, USA
 SO Organic Letters (2003), 5(20), 3587-3590
 CODEN: ORLEF7; ISSN: 1523-7060
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 139:276869
 AB A microwave-assisted reaction was developed to facilitate the construction of
 4,5-disubstituted pyrazolopyrimidines from 4-chloro-5- bromopyrazolopyrimidin.
 This one-pot two-step process involves a sequential SNAr displacement of the
 C4 chloro substituent with various anilines and amines, followed by a Suzuki
 coupling reaction with different boronic acids. Using microwave irradiation
 leads to high product conversion, low side product formation, and shorter
 reactions.
 IT 606931-84-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (one-pot two-step microwave-assisted reaction in constructing
 4,5-disubstituted pyrazolopyrimidines)
 RN 606931-84-4 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 3-(3-aminophenyl)-N-cyclopentyl- (CA
 INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2003:570644 CAPLUS Full-text
 DN 139:133575
 TI Preparation of bicyclic pyrimidinyl derivatives as adenosine receptor
 ligands
 IN Castelhana, Arlindo L.; McKibben, Bryan
 PA OSI Pharmaceuticals Inc., USA
 SO U.S. Pat. Appl. Publ., 105 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | US 2003139427 | A1 | 20030724 | US 2002-227378 | 20020823 |
| PRAI | US 2002-227378 | | 20020823 | | |
| OS | MARPAT 139:133575 | | | | |
| GI | | | | | |



AB Title compds. I [Y = N, CR5 and X = N, CR6 wherein X, Y are both N or when Y = CR5, X = N or when X = CR6, Y = N; R1-2 = H, alkoxy, aminoalkyl, etc; R3-4 = H, alkyl, aryl, alkylaryl] are prepared For instance, 3-amino-4-carbamoylpyrazole is acylated with benzoyl chloride (Pyridine, 50°, 5-6 h), cyclized to the corresponding pyrazolopyrimidine (water, K2CO3, 100°, 16 h), converted to the chloride (POCl3, 106°, 2 h) and used for reactions with various amines to give the example compds., e.g., II. II has Ki = 76.7 nM for the adenosine A1 receptor, Ki = 242.7 nM for A2a and Ki = 1480.5 nM for A2b. I are useful for the treatment of.

IT 565234-83-5P 565234-96-0P 565235-00-9P
 565235-05-4P 565235-84-9P 565235-87-2P
 565235-90-7P 565235-93-0P

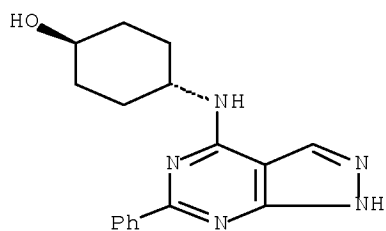
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic pyrazolo- imidazo- and triazolopyrimidinyl derivs. as adenosine receptor ligands)

RN 565234-83-5 CAPLUS

CN Cyclohexanol, 4-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-, trans- (CA INDEX NAME)

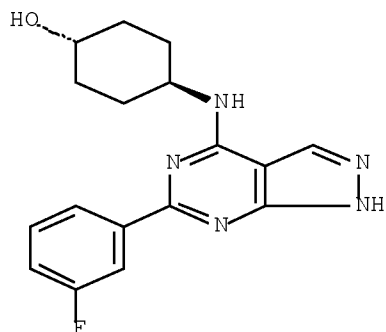
Relative stereochemistry.



RN 565234-96-0 CAPLUS

CN Cyclohexanol, 4-[[6-(3-fluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]-, trans- (CA INDEX NAME)

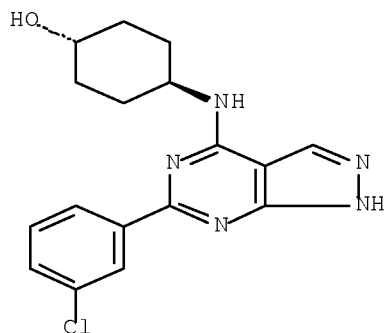
Relative stereochemistry.



RN 565235-00-9 CAPLUS

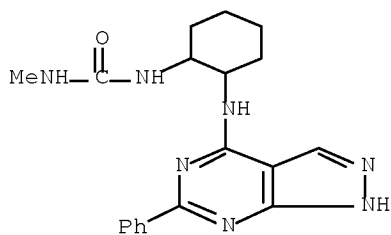
CN Cyclohexanol, 4-[[6-(3-chlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.



RN 565235-05-4 CAPLUS

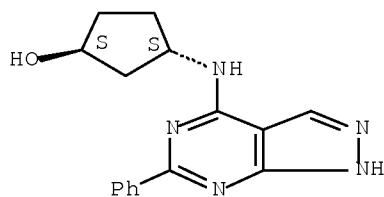
CN Urea, N-methyl-N'-[2-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]cyclohexyl]- (CA INDEX NAME)



RN 565235-84-9 CAPLUS

CN Cyclopentanol, 3-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-,
(1S,3S)- (CA INDEX NAME)

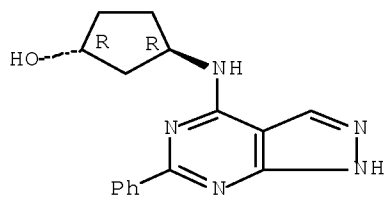
Absolute stereochemistry.



RN 565235-87-2 CAPLUS

CN Cyclopentanol, 3-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-,
(1R,3R)- (CA INDEX NAME)

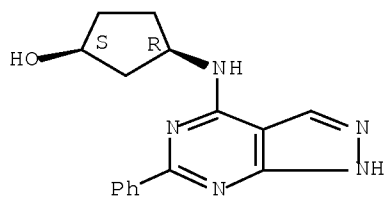
Absolute stereochemistry.



RN 565235-90-7 CAPLUS

CN Cyclopentanol, 3-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-,
(1S,3R)- (CA INDEX NAME)

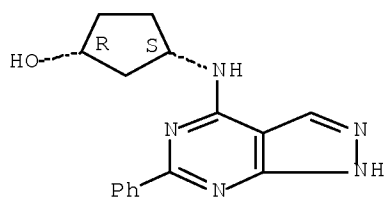
Absolute stereochemistry.



RN 565235-93-0 CAPLUS

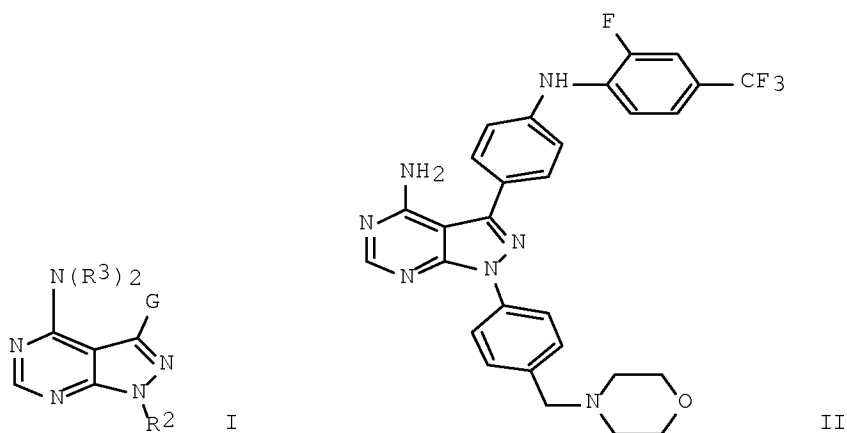
CN Cyclopentanol, 3-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-,
(1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2002:814851 CAPLUS Full-text
 DN 137:310930
 TI Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as
 protein kinase inhibitors with antiangiogenic properties
 IN Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart,
 Neil; Arnold, Lee D.; Friedman, Michael M.
 PA Abbott Laboratories, USA
 SO U.S. Pat. Appl. Publ., 426 pp., Cont.-in-part of U.S. Ser. No. 663,780.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | US 2002156081 | A1 | 20021024 | US 2001-815310 | 20010322 |
| | US 6921763 | B2 | 20050726 | | |
| | US 6660744 | B1 | 20031209 | US 2000-663780 | 20000915 |
| | CA 2440724 | A1 | 20021017 | CA 2002-2440724 | 20020322 |
| | WO 2002080926 | A1 | 20021017 | WO 2002-US9104 | 20020322 |
| | W: | | | | |
| | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |
| | CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, | | | | |
| | GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, | | | | |
| | LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, | | | | |
| | PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, | | | | |
| | UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| | RW: | | | | |
| | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, | | | | |
| | CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, | | | | |
| | BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU | 2002316030 | A1 | 20021021 | AU 2002-316030 | 20020322 |
| EP | 1385524 | A1 | 20040204 | EP 2002-746301 | 20020322 |
| | R: | | | | |
| | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |
| | IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CN | 1520298 | A | 20040811 | CN 2002-810250 | 20020322 |
| JP | 2004531513 | T | 20041014 | JP 2002-578965 | 20020322 |
| BR | 2002005889 | A | 20041109 | BR 2002-5889 | 20020322 |
| ZA | 2003006886 | A | 20040716 | ZA 2003-6886 | 20030903 |
| NO | 2003004176 | A | 20031121 | NO 2003-4176 | 20030919 |
| MX | 2003PA08561 | A | 20040630 | MX 2003-PA8561 | 20030922 |
| IN | 2003MN00935 | A | 20050429 | IN 2003-MN935 | 20031003 |
| BG | 108269 | A | 20041230 | BG 2003-108269 | 20031014 |
| PRAI | US 1999-154620P | P | 19990917 | | |
| | US 2000-663780 | A2 | 20000915 | | |
| | US 2001-815310 | A | 20010322 | | |
| | WO 2002-US9104 | W | 20020322 | | |
| OS | MARPAT 137:310930 | | | | |
| GI | | | | | |



AB Title compds. I [wherein G = (un)substituted 5-6 membered (azahetero)aryl; R2 = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un)substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); R3 = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh3)4, and Na2CO3 in H2O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of $\leq 50 \mu\text{M}$. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of $\leq 50 \mu\text{M}$. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

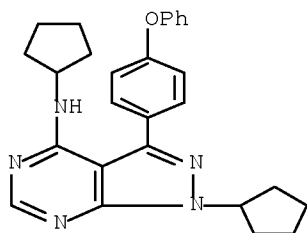
IT 330792-71-7P, 1-Cyclopentyl-4-(cyclopentylamino)-3-(4-phenoxyphenyl)-1H-pyrazolo[3,4-d]pyrimidine

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

RN 330792-71-7 CAPLUS

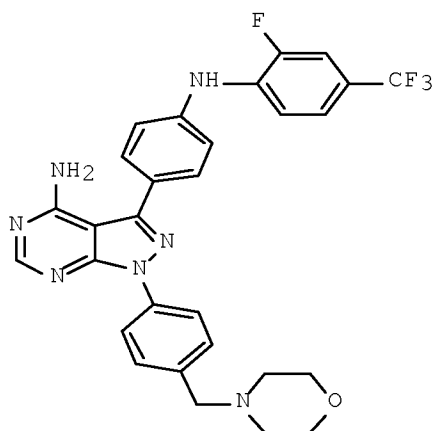
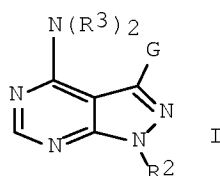
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N,1-dicyclopentyl-3-(4-phenoxyphenyl)-(CA INDEX NAME)



RE.CNT 115 THERE ARE 115 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2002:793426 CAPLUS Full-text
 DN 137:310925
 TI Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as
 protein kinase inhibitors with antiangiogenic properties
 IN Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart,
 Neil; Arnold, Lee D.; Friedman, Michael M.
 PA Abbott G.m.b.H. & Co. K.-G., Germany
 SO PCT Int. Appl., 867 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2002080926 | A1 | 20021017 | WO 2002-US9104 | 20020322 |
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| | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |
| | CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, | | | | |
| | GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, | | | | |
| | LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, | | | | |
| | PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, | | | | |
| | UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| | RW: | | | | |
| | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, | | | | |
| | CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, | | | | |
| | BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2002156081 | A1 | 20021024 | US 2001-815310 | 20010322 |
| | US 6921763 | B2 | 20050726 | | |
| | CA 2440724 | A1 | 20021017 | CA 2002-2440724 | 20020322 |
| | AU 2002316030 | A1 | 20021021 | AU 2002-316030 | 20020322 |
| | EP 1385524 | A1 | 20040204 | EP 2002-746301 | 20020322 |
| | R: | | | | |
| | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |
| | IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | JP 2004531513 | T | 20041014 | JP 2002-578965 | 20020322 |
| | BR 2002005889 | A | 20041109 | BR 2002-5889 | 20020322 |
| | NO 2003004176 | A | 20031121 | NO 2003-4176 | 20030919 |
| | MX 2003PA08561 | A | 20040630 | MX 2003-PA8561 | 20030922 |
| | IN 2003MN00935 | A | 20050429 | IN 2003-MN935 | 20031003 |
| PRAI | US 2001-815310 | A | 20010322 | | |
| | US 1999-154620P | P | 19990917 | | |
| | US 2000-663780 | A2 | 20000915 | | |
| | WO 2002-US9104 | W | 20020322 | | |
| OS | MARPAT 137:310925 | | | | |
| GI | | | | | |



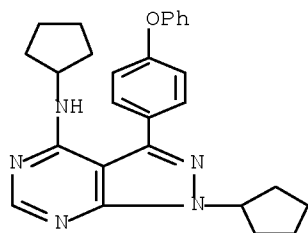
II

AB Title compds. I [wherein G = (un)substituted 5-6 membered (azahetero)aryl; R2 = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un)substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); R3 = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5,- tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh3)4, and Na2CO3 in H2O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of $\leq 50 \mu\text{M}$. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of $\leq 50 \mu\text{M}$. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

IT 330792-71-7P, 1-Cyclopentyl-4-(cyclopentylamino)-3-(4-phenoxyphenyl)-1H-pyrazolo[3,4-d]pyrimidine
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

RN 330792-71-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N,1-dicyclopentyl-3-(4-phenoxyphenyl)-
 (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:796238 CAPLUS Full-text

DN 135:339292

TI Combinations of corticotropin releasing factor antagonists and growth hormone secretagogues

IN Fossa, Anthony A.

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 58 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | EP 1149583 | A2 | 20011031 | EP 2001-303033 | 20010330 |
| | EP 1149583 | A3 | 20011114 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | BR 2001001456 | A | 20011204 | BR 2001-1456 | 20010411 |
| | MX 2001PA03855 | A | 20020604 | MX 2001-PA3855 | 20010411 |
| | CA 2344089 | A1 | 20011013 | CA 2001-2344089 | 20010412 |
| | US 2001041673 | A1 | 20011115 | US 2001-834477 | 20010413 |
| PRAI | US 2000-196698P | P | 20000413 | | |

OS MARPAT 135:339292

AB This invention is directed to pharmaceutical compns. comprising corticotropin releasing factor antagonist and growth hormone or growth hormone secretagogues, prodrugs thereof, or pharmaceutically acceptable salts of said compds. or said prodrugs (Markush structures given). The invention is also directed to the use of such compns. in the treatment or prevention of osteoporosis and heart-related diseases (including congestive heart failure) in mammals, particularly humans (no data).

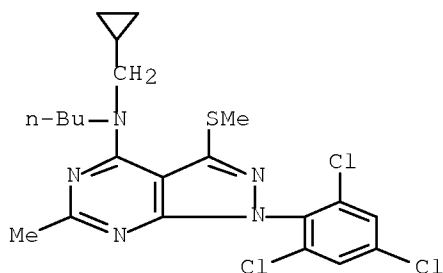
IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combinations of corticotropin releasing factor antagonists and growth hormone secretagogues)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



L10 ANSWER 20 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:338070 CAPLUS Full-text

DN 134:336224

TI Use of corticotropin releasing factor (CRF) antagonists for treating syndrome X

IN Chen, Yuhpyng Liang; Hamanaka, Ernest Seiichi

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | EP 1097709 | A2 | 20010509 | EP 2000-309441 | 20001026 |
| | EP 1097709 | A3 | 20051221 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| | AU 776724 | B2 | 20040916 | AU 2000-66695 | 20001024 |
| | ZA 2000006008 | A | 20020426 | ZA 2000-6008 | 20001026 |
| | US 6589947 | B1 | 20030708 | US 2000-696822 | 20001026 |
| | CA 2325069 | A1 | 20010429 | CA 2000-2325069 | 20001027 |
| | HU 2000004194 | A2 | 20011228 | HU 2000-4194 | 20001027 |
| | HU 2000004194 | A3 | 20020328 | | |
| | NZ 507825 | A | 20041126 | NZ 2000-507825 | 20001027 |
| | US 2007117805 | A1 | 20070524 | US 2006-580996 | 20061013 |
| PRAI | US 1999-162340P | P | 19991029 | | |
| | US 2000-559384 | A3 | 20000427 | | |
| | US 2002-80174 | A1 | 20020219 | | |
| | US 2003-721318 | A1 | 20031125 | | |

OS MARPAT 134:336224

AB Compsn. and methods are provided for achieving a therapeutic effect, including the treatment or prevention of syndrome X in an animal, preferably a mammal including a human subject or a companion animal, using a CRF antagonist alone or together with a glucocorticoid receptor antagonist.

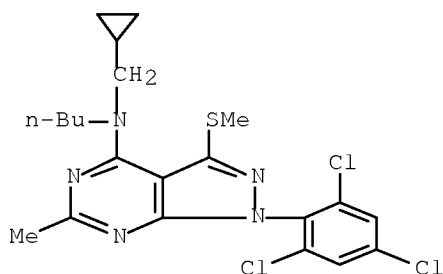
IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonist, alone or with glucocorticoid receptor antagonist, for treating syndrome X)

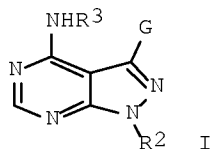
RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



L10 ANSWER 21 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2001:208278 CAPLUS Full-text
 DN 134:252353
 TI Preparation of pyrazolopyrimidines as protein kinase inhibitors
 IN Hirst, Gavin C.; Calderwood, David; Wishart, Neil; Rafferty, Paul; Ritter, Kurt; Arnold, Lee D.; Friedman, Michael M.
 PA BASF Aktiengesellschaft, Germany
 SO PCT Int. Appl., 527 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|------------------|----------|
| PI | WO 2001019829 | A2 | 20010322 | WO 2000-US25468 | 20000915 |
| | WO 2001019829 | A3 | 20010927 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| | CA 2385747 | A1 | 20010322 | CA 2000-2385747 | 20000915 |
| | AU 200074950 | A | 20010417 | AU 2000-74950 | 20000915 |
| | AU 780052 | B2 | 20050224 | | |
| | EP 1212327 | A2 | 20020612 | EP 2000-963554 | 20000915 |
| | EP 1212327 | B1 | 20030820 | | |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | |
| | BR 2000014073 | A | 20020716 | BR 2000-14073 | 20000915 |
| | JP 2003509428 | T | 20030311 | JP 2001-523406 | 20000915 |
| | AT 247657 | T | 20030915 | AT 2000-963554 | 20000915 |
| | PT 1212327 | T | 20040130 | PT 2000-963554 | 20000915 |
| | ES 2207552 | T3 | 20040601 | ES 2000-963554 | 20000915 |
| | NZ 517758 | A | 20040625 | NZ 2000-517758 | 20000915 |
| | TW 230709 | B | 20050411 | TW 2000-89119064 | 20000916 |
| | ZA 2002002123 | A | 20030617 | ZA 2002-2123 | 20020314 |
| | MX 2002PA02898 | A | 20031014 | MX 2002-PA2898 | 20020314 |
| | NO 2002001328 | A | 20020521 | NO 2002-1328 | 20020318 |
| | BG 106586 | A | 20030131 | BG 2002-106586 | 20020405 |
| | HK 1050355 | A1 | 20041015 | HK 2002-108955 | 20021210 |
| PRAI | US 1999-154620P | P | 19990917 | | |
| | WO 2000-US25468 | W | 20000915 | | |
| OS | MARPAT 134:252353 | | | | |
| GI | | | | | |

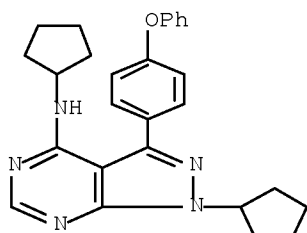


AB The title compds. [I; G = substituted Ph; R2 = BE; B = (un)substituted cycloalkyl, azacycloalkyl, etc.; E = (un)substituted azacycloalkyl, azacycloalkylcarbonyl, etc.; R3 = H, OH, alkyl, alkoxy] which inhibit one or more protein kinase (such as FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, Src, and cdc2) activity, were prepared and formulated. E.g., a multi-step synthesis of I [G = 4-phenoxyphenyl; R2 = 1-benzyl-4- piperidinyl; R3 = H] was described. Biol. data for compds. I were given.

IT 330792-71-7F
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of pyrazolopyrimidines as protein kinase inhibitors)

RN 330792-71-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N,1-dicyclopentyl-3-(4-phenoxyphenyl)-
 (CA INDEX NAME)



L10 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2001:185043 CAPLUS Full-text
 DN 134:217215
 TI Use of CRF antagonists and related compositions for modifying circadian
 rhythm and treatment of depression and other conditions
 IN Chen, Yuhpyng Liang
 PA Pfizer Products Inc., USA
 SO Eur. Pat. Appl., 29 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | EP 1082960 | A2 | 20010314 | EP 2000-307074 | 20000818 |
| | EP 1082960 | A3 | 20020320 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | US 6432989 | B1 | 20020813 | US 2000-587007 | 20000605 |
| | EP 1449532 | A1 | 20040825 | EP 2004-12293 | 20000818 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY | | | | |
| | JP 2001097889 | A | 20010410 | JP 2000-251836 | 20000823 |
| | HU 2000003386 | A2 | 20010730 | HU 2000-3386 | 20000824 |
| | HU 2000003386 | A3 | 20030828 | | |
| | ZA 2000004362 | A | 20020225 | ZA 2000-4362 | 20000824 |
| | CA 2316662 | A1 | 20010227 | CA 2000-2316662 | 20000825 |
| | NZ 506562 | A | 20020927 | NZ 2000-506562 | 20000825 |
| | AU 776077 | B2 | 20040826 | AU 2000-53644 | 20000825 |
| | US 2002156089 | A1 | 20021024 | US 2002-161816 | 20020604 |
| | US 2004082597 | A1 | 20040429 | US 2003-676201 | 20031001 |
| PRAI | US 1999-151183P | P | 19990827 | | |
| | US 2000-587007 | A3 | 20000605 | | |
| | EP 2000-307074 | A3 | 20000818 | | |
| | US 2002-161816 | A3 | 20020604 | | |

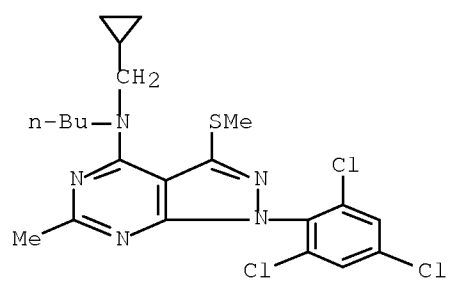
AB A corticotropin releasing factor (CRF) antagonist is administered to treat disorders that can be treated by altering circadian rhythm, as well as depression (in which a second compound for treating depression is administered, the second compound having an onset of action that is delayed with respect to that of the CRF antagonist). Methods for treating cardiovascular diseases, migraine, non-migraine headaches, and emesis are also disclosed.

IT 174569-94-9
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonists and related compns. for modifying circadian rhythm and treatment of depression and other conditions, and use with other agents)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



L10 ANSWER 23 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:876731 CAPLUS Full-text

DN 134:37023

TI Combinations of CRF antagonists and renin-angiotensin system inhibitors

IN Fossa, Anthony Andrea

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | EP 1059100 | A2 | 20001213 | EP 2000-304785 | 20000606 |
| | EP 1059100 | A3 | 20031203 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | US 6387894 | B1 | 20020514 | US 2000-587182 | 20000602 |
| PRAI | US 1999-138734P | P | 19990611 | | |
| OS | MARPAT 134:37023 | | | | |

AB Compns. and methods are provided for achieving a therapeutic effect including, but not limited to, the treatment of congestive heart failure or hypertension in an animal, preferably a mammal including a human subject or a companion animal, using a corticotropin releasing factor (CRF) antagonist and a renin-angiotensin system (RAS) inhibitor.

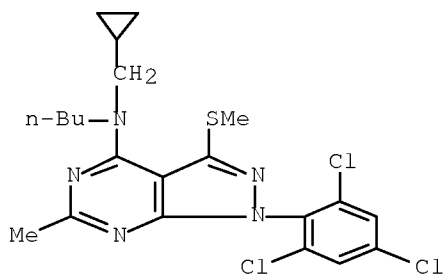
IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonist therapeutic combination with renin-angiotensin system inhibitor)

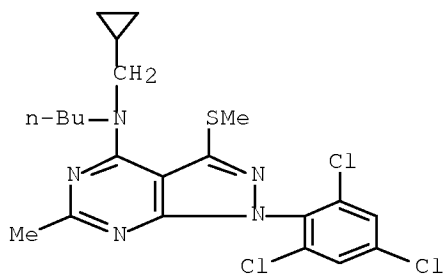
RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



FAN.CNT 1

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



L10 ANSWER 25 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2000:493544 CAPLUS Full-text
 DN 133:129892
 TI High affinity enzyme inhibitors and therapeutic uses thereof
 IN Shokat, Kevan M.
 PA Princeton University, USA
 SO PCT Int. Appl., 169 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2000042042 | A2 | 20000720 | WO 2000-US551 | 20000111 |
| | WO 2000042042 | A3 | 20001102 | | |
| | W: | | | | |
| | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| | RW: | | | | |
| | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2369895 | A1 | 20000720 | CA 2000-2369895 | 20000111 |
| | EP 1140938 | A2 | 20011010 | EP 2000-904268 | 20000111 |
| | EP 1140938 | B1 | 20030827 | | |
| | R: | | | | |
| | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | US 6383790 | B1 | 20020507 | US 2000-480993 | 20000111 |
| | JP 2002534524 | T | 20021015 | JP 2000-593609 | 20000111 |
| | EP 1321467 | A2 | 20030625 | EP 2003-5036 | 20000111 |
| | EP 1321467 | A3 | 20031008 | | |
| | R: | | | | |
| | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY | | | | |
| | AT 248170 | T | 20030915 | AT 2000-904268 | 20000111 |
| | ES 2206191 | T3 | 20040516 | ES 2000-904268 | 20000111 |
| | AU 779008 | B2 | 20041223 | AU 2000-26053 | 20000111 |
| | US 2003073218 | A1 | 20030417 | US 2002-44967 | 20020529 |
| PRAI | US 1999-115340P | P | 19990111 | | |
| | US 1999-145422P | P | 19990723 | | |
| | EP 2000-904268 | A3 | 20000111 | | |
| | US 2000-480993 | A1 | 20000111 | | |
| | WO 2000-US551 | W | 20000111 | | |

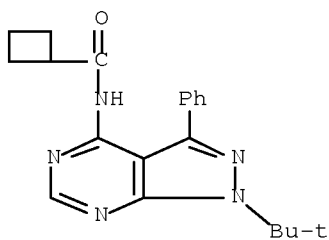
AB The invention provides general methods for discovering mutant inhibitors for any class of enzymes as well as the specific inhibitors so identified. More specifically, the invention provides general methods for discovering specific inhibitors for multi-substrate enzymes. Examples of such multi-substrate enzymes include, but are not limited to, kinases and transferases. The mutant inhibitors identified by the methods of the invention can be used to highly selectively disrupt cell functions such as oncogenic transformation. In one particular example, the invention provides an Src protein kinase inhibitor, pharmaceutical compns. thereof and methods of disrupting transformation in a cell that expresses the target v-src comprising contacting the cell with the protein kinase inhibitor.

IT 206991-88-0P 206991-89-1P 206991-90-4P
 206991-95-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (high affinity enzyme inhibitors and therapeutic uses)

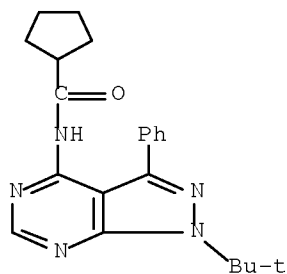
RN 206991-88-0 CAPLUS

CN Cyclobutanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



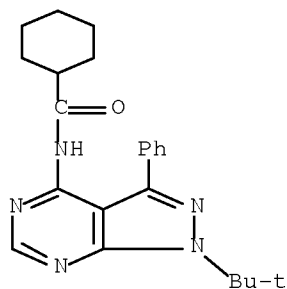
RN 206991-89-1 CAPLUS

CN Cyclopentanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



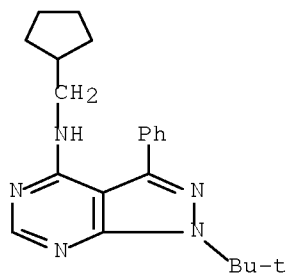
RN 206991-90-4 CAPLUS

CN Cyclohexanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



RN 206991-95-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-phenyl- (CA INDEX NAME)



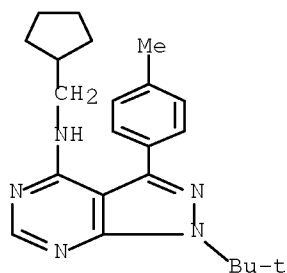
IT 211425-95-5 211426-05-0 211426-06-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(high affinity enzyme inhibitors and therapeutic uses)

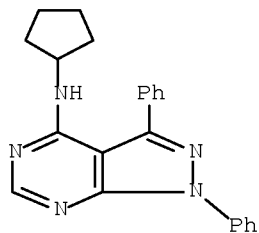
RN 211425-95-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-(4-methylphenyl)- (CA INDEX NAME)



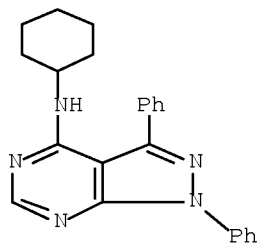
RN 211426-05-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-1,3-diphenyl- (CA INDEX NAME)

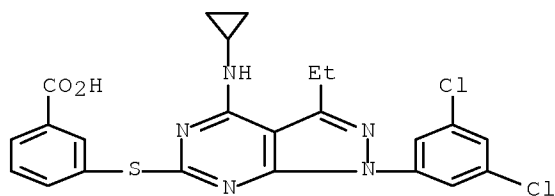


RN 211426-06-1 CAPLUS

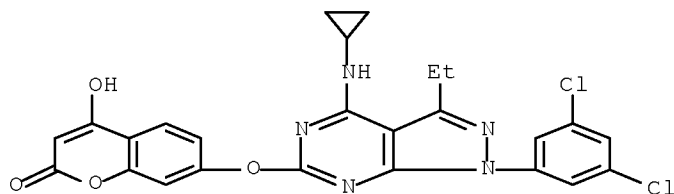
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1,3-diphenyl- (CA INDEX NAME)



L10 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2000:269134 CAPLUS Full-text
 DN 133:74005
 TI Design, synthesis, and structure-activity relationship studies of ATP analogues as DNA gyrase inhibitors
 AU Lubbers, Thomas; Angehrn, Peter; Gmunder, Hans; Herzig, Silvia; Kulhanek, Josef
 CS Department of Infectious Diseases, F. Hoffmann-La Roche Ltd., Basel, CH-4070, Switz.
 SO Bioorganic & Medicinal Chemistry Letters (2000), 10(8), 821-826
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB We report herein the design and synthesis of ATP-analogs, namely 4-amino-pyrazolo[3,4-d]pyrimidines and 4-amino-pyrazolo[1,5- a][1,3,5]triazines, with DNA gyrase inhibitory activity. Among these series, some compds. exhibited promising antibacterial activity.
 IT 278600-70-7P 278600-76-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (synthesis and structure-activity relationship studies of ATP analogs as DNA gyrase inhibitors)
 RN 278600-70-7 CAPLUS
 CN Benzoic acid, 3-[[4-(cyclopropylamino)-1-(3,5-dichlorophenyl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-6-yl]thio]- (CA INDEX NAME)



RN 278600-76-3 CAPLUS
 CN 2H-1-Benzopyran-2-one, 7-[[4-(cyclopropylamino)-1-(3,5-dichlorophenyl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-6-yl]oxy]-4-hydroxy- (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 27 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:550518 CAPLUS Full-text

DN 129:172454

TI Analogs of protein kinases that can utilize modified nucleotide triphosphate substrates and their uses

IN Shokat, Kevan M.

PA Princeton University, USA

SO PCT Int. Appl., 134 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | WO 9835048 | A2 | 19980813 | WO 1998-US2522 | 19980209 |
| | WO 9835048 | A3 | 19990107 | | |
| | W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2279846 | A1 | 19980813 | CA 1998-2279846 | 19980209 |
| | AU 9861535 | A | 19980826 | AU 1998-61535 | 19980209 |
| | AU 755062 | B2 | 20021205 | | |
| | EP 1017823 | A2 | 20000712 | EP 1998-906268 | 19980209 |
| | EP 1017823 | B1 | 20040714 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | JP 2002503953 | T | 20020205 | JP 1998-534999 | 19980209 |
| | JP 3784076 | B2 | 20060607 | | |
| | AT 271130 | T | 20040715 | AT 1998-906268 | 19980209 |
| | EP 1607481 | A1 | 20051221 | EP 2004-76255 | 19980209 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | MX 9907317 | A | 20020902 | MX 1999-7317 | 19990806 |
| | US 6521417 | B1 | 20030218 | US 2000-568466 | 20000510 |
| | US 2002016976 | A1 | 20020207 | US 2001-752723 | 20010103 |
| | US 2002146797 | A1 | 20021010 | US 2001-985157 | 20011101 |
| | US 7049116 | B2 | 20060523 | | |
| | US 7026461 | B1 | 20060411 | US 2001-985061 | 20011101 |
| | JP 2004248675 | A | 20040909 | JP 2004-87151 | 20040324 |
| PRAI | US 1997-797522 | A2 | 19970207 | | |
| | US 1997-46727P | P | 19970516 | | |
| | EP 1998-906268 | A3 | 19980209 | | |
| | JP 1998-534999 | A3 | 19980209 | | |
| | WO 1998-US2522 | W | 19980209 | | |
| | US 1999-367065 | A3 | 19991117 | | |

AB Protein kinases that have been modified, e.g. by mutation, to accept modified nucleotide triphosphate substrates that are not as readily utilized by the wild-type forms of those enzymes ("orthogonal substrates") are described for use in identifying the natural substrates of the enzymes. These enzymes can also be used to identify inhibitors with potential therapeutic uses and specific inhibitors of the v-src protein kinase are reported. Enzymes using these substrates can be used to identify the natural acceptors for the transferred group. Modified nucleotide triphosphate that can be used as substrates and methods of making and using them are also described. In general, the method may be extendable to other transferases that recognize more than one recipient. A series of 12 N6-substituted analogs of ATP were

prepared by prior art chemical and [γ - ^{32}P]-labeled analogs used to test their use as substrates of cellular protein tyrosine kinases. Six of these analogs were not recognized by kinases present in crude exts. of splenocytes and the remainder were poor substrates. An analog of the v-src kinase with valine-323 and isoleucine-338 substituted with alanine was prepared by standard methods. This analog was sensitive to inhibition by several of the ATP analogs and bound nine of 12 but retained specificity for its protein substrates. Pyrazolopyrimidines that did not inhibit wild type v-src kinase were identified and tested for their ability to inhibit the analog accepting the orthogonal substrates. The development of an inhibitor that shows a selective inhibition of v-src kinase over other members of the same family is described.

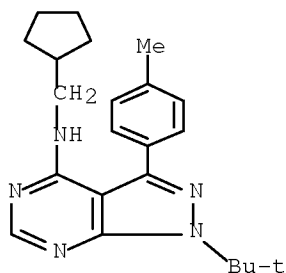
IT 211425-95-5 211426-05-0 211426-06-1
211426-12-9 211426-13-0

RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)

(as orthogonal inhibitor of v-src kinase analog accepting orthogonal substrates; analogs of protein kinases that can utilize modified nucleotide triphosphate substrates and their uses)

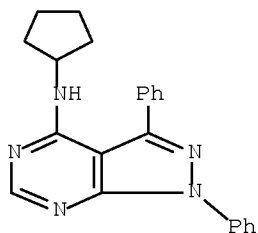
RN 211425-95-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-(4-methylphenyl)- (CA INDEX NAME)



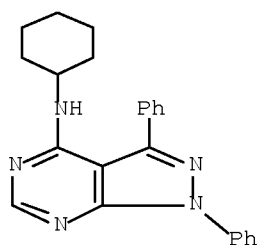
RN 211426-05-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-1,3-diphenyl- (CA INDEX NAME)



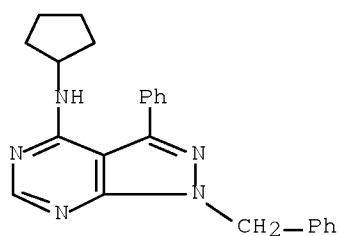
RN 211426-06-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1,3-diphenyl- (CA INDEX NAME)



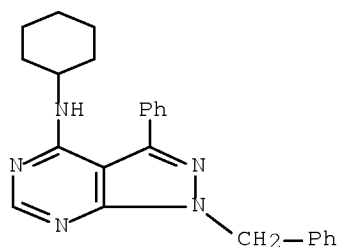
RN 211426-12-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-3-phenyl-1-(phenylmethyl)- (CA INDEX NAME)



RN 211426-13-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-3-phenyl-1-(phenylmethyl)- (CA INDEX NAME)

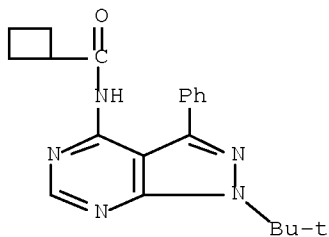


IT 206991-88-0P 206991-89-1P 206991-90-4P
206991-95-9P

RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(as orthogonal inhibitor of v-src kinase analog accepting orthogonal substrates; analogs of protein kinases that can utilize modified nucleotide triphosphate substrates and their uses)

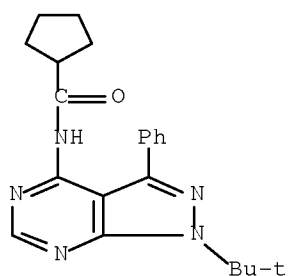
RN 206991-88-0 CAPLUS

CN Cyclobutanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



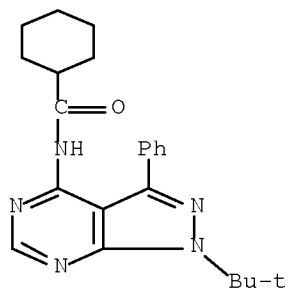
RN 206991-89-1 CAPLUS

CN Cyclopentanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



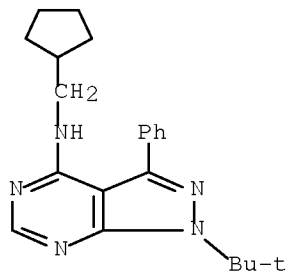
RN 206991-90-4 CAPLUS

CN Cyclohexanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)

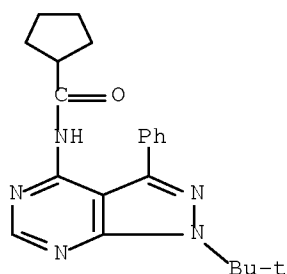


RN 206991-95-9 CAPLUS

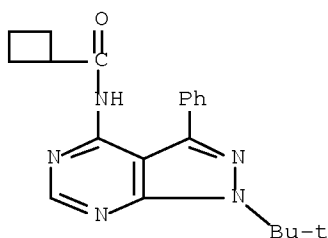
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-phenyl- (CA INDEX NAME)



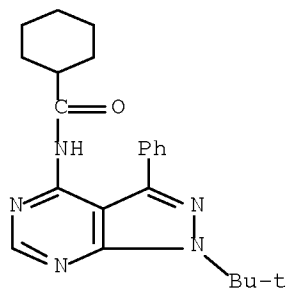
L10 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1998:181973 CAPLUS Full-text
 DN 128:318664
 TI Design of allele-specific inhibitors to probe protein kinase signaling
 AU Bishop, Anthony C.; Shah, Kavita; Liu, Yi; Witucki, Laurie; Kung, Chi-yun; Shokat, Kevan M.
 CS Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA
 SO Current Biology (1998), 8(5), 257-266
 CODEN: CUBLE2; ISSN: 0960-9822
 PB Current Biology Ltd.
 DT Journal
 LA English
 AB Deconvoluting protein kinase signaling pathways using conventional genetic and biochem. approaches has been difficult because of the overwhelming number of closely related kinases. If cell-permeable inhibitors of individual kinases could be designed, the role of each kinase could be systematically assessed. The authors have devised an approach combining chemical and genetics to develop the first highly specific cell-permeable inhibitor of the oncogenic tyrosine kinase v-Src. A functionally silent active-site mutation was made in v-Src to distinguish it from all other cellular kinases. A tight-binding cell-permeable inhibitor of this mutant kinase that does not inhibit wild-type kinases was designed and synthesized. In vitro and whole-cell assays established the unique specificity of the mutant v-Src-inhibitor pair. The inhibitor reversed cell transformation by the engineered but not the 'wild type' v-Src, establishing that changes in cellular signaling can be attributed to specific inhibition of the engineered kinase. The generality of the method was tested by engineering another tyrosine kinase, Fyn, to contain the corresponding active-site mutation to the one in v-Src. The same compound that inhibited mutant v-Src could also potently inhibit the engineered Fyn kinase. Thus allele-specific cell-permeable inhibitors of individual Src family kinases can be rapidly developed in an approach that should be applicable to all kinases. This approach will be useful for the deconvolution of kinase-mediated cellular pathways and for validating novel kinases as good targets for drug discovery both in vitro and in vivo.
 IT 206991-89-1F
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
 (design of allele-specific inhibitors to probe protein kinase signaling)
 RN 206991-89-1 CAPLUS
 CN Cyclopentanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



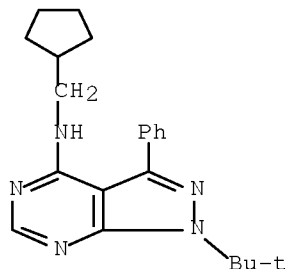
IT 206991-88-0P 206991-90-4P 206991-95-9P
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process) (design of allele-specific inhibitors to probe protein kinase signaling)
 RN 206991-88-0 CAPLUS
 CN Cyclobutanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



RN 206991-90-4 CAPLUS
 CN Cyclohexanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



RN 206991-95-9 CAPLUS
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-phenyl- (CA INDEX NAME)



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:413979 CAPLUS Full-text

DN 127:29112

TI New uses for heterocyclic corticotropin-releasing factor (CRF) antagonists in treating cardiovascular diseases, osteoporosis, ulcers, and other disorders

IN Chen, Yuhpyng Liang; Fossa, Anthony Andrea

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 15 pp.

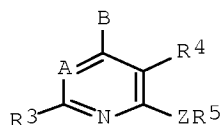
CODEN: EPXXDW

DT Patent

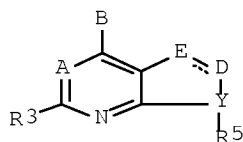
LA English

FAN.CNT 8

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | EP 773023 | A1 | 19970514 | EP 1996-307977 | 19961104 |
| | R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | PT 832067 | T | 20031031 | PT 1995-918714 | 19950606 |
| | ES 2199991 | T3 | 20040301 | ES 1995-918714 | 19950606 |
| | CA 2189830 | A1 | 19970509 | CA 1996-2189830 | 19961107 |
| | CA 2189830 | C | 20010213 | | |
| PRAI | US 1995-6333P | P | 19951108 | | |
| | EP 1995-918714 | A | 19950606 | | |
| OS | MARPAT 127:29112 | | | | |
| GI | | | | | |



I



II

AB A method for treating, preventing, or inhibiting cardiovascular or heart related diseases (e.g. stroke, hypertension, tachycardia, congestive heart failure), osteoporosis, premature birth, psychosocial dwarfism, stress-induced fever, ulcer, diarrhea, post-operative ileus, and colonic hypersensitivity associated with psychopathol. disturbance and stress, comprises administration of a heterocyclic CRF antagonist I or II [A = N, CR7; B = NR1R2, C(S)R2, NHNR1R2, C(O)R2, etc.; D = (i) N, CR10 when double bond connects D and E and E = CR4, or (ii) CR10 when double bond connects D and E and E = N, or (iii) C(O), C(S), C(NH), etc. when a single bond connects D and E; E = CR4, N when double bond connects D and E, and E = CR4R6, NR6 when single bond connects D and E; Y = N, CH; Z, = NH, O, S, etc.; R1 = H, C1-6 alkyl, etc.; R2 = C1-6 alkyl, aryl, etc.; R3 = H, C1-6 alkyl, halo, OH, etc.; R4 = H, C1-6 alkyl, halo, formyl, amino, etc.; R5 = Ph, naphthyl, thienyl, etc.; R6 = H, (substituted) C1-6 alkyl; R7 = H, C1-4 alkyl, halo, OH, CN, etc.; R10 = H, C1-6 alkyl, halo, etc.].

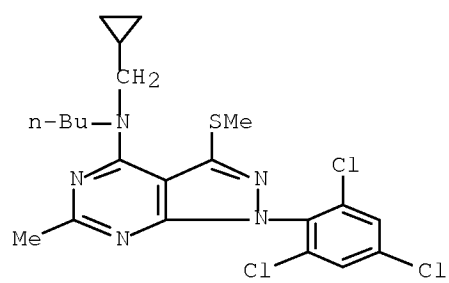
IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(heterocyclic ACTH-releasing factor antagonists for treatment of cardiovascular diseases, osteoporosis, ulcers, and other disorders)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



L10 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1996:637037 CAPLUS Full-text

DN 125:257175

TI Pyrazolopyrimidines and pyrrolopyrimidines for treatment of neuronal and other disorders

IN Yuhpyng, Chen L.

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | EP 729758 | A2 | 19960904 | EP 1996-300931 | 19960212 |
| | EP 729758 | A3 | 19971029 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | IL 117229 | A | 20030212 | IL 1996-117229 | 19960222 |
| | JP 08259567 | A | 19961008 | JP 1996-37839 | 19960226 |
| | CA 2170700 | A1 | 19960903 | CA 1996-2170700 | 19960229 |
| | CA 2170700 | C | 19990727 | | |
| | AU 9645859 | A | 19960912 | AU 1996-45859 | 19960301 |
| | AU 715380 | B2 | 20000203 | | |
| | CN 1141297 | A | 19970129 | CN 1996-104208 | 19960301 |
| | CN 1065535 | B | 20010509 | | |
| | ZA 9601696 | A | 19970901 | ZA 1996-1696 | 19960301 |
| | NZ 286103 | A | 20000825 | NZ 1996-286103 | 19960301 |
| | US 6051578 | A | 20000418 | US 1998-150688 | 19980910 |
| PRAI | US 1995-397527 | A | 19950302 | | |
| | EP 1996-300931 | A | 19960212 | | |
| | US 1997-790346 | B1 | 19970127 | | |

OS MARPAT 125:257175

AB Pyrazolopyrimidines, pyrrolopyrimidines, and pharmaceutically acceptable salts thereof, are useful in the treatment or prevention of certain neuronal and other disorders such as traumas, neuronal damages, Parkinson's disease, urinary incontinence, and chemical dependences. The compds. exhibit ACTH-releasing factor receptor antagonist activity. Thirty-five compds. are claimed.

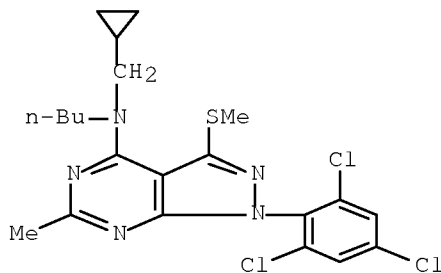
IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyrazolopyrimidines and pyrrolopyrimidines for treatment of neuronal and other disorders)

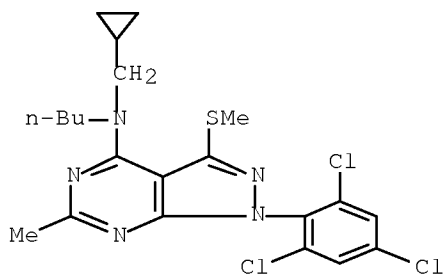
RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



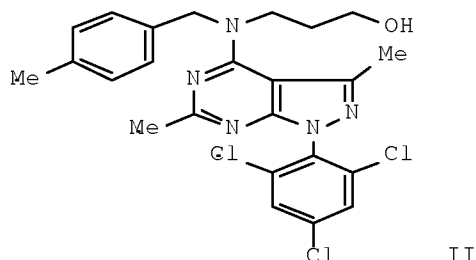
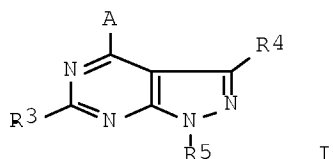
FAN.CNT 1

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



L10 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1994:680680 CAPLUS Full-text
 DN 121:280680
 TI Pyrazolo[3,4-d]pyrimidines as ACTH-Releasing Factor Antagonists
 IN Chen, Yuhpyng Liang
 PA Pfizer Inc., USA
 SO PCT Int. Appl., 62 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|------------------|----------|
| PI | WO 9413677 | A1 | 19940623 | WO 1993-US11333 | 19931126 |
| | W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | TW 444018 | B | 20010701 | TW 1998-87121000 | 19931122 |
| | CA 2150709 | A1 | 19940623 | CA 1993-2150709 | 19931126 |
| | CA 2150709 | C | 19990316 | | |
| | AU 9457281 | A | 19940704 | AU 1994-57281 | 19931126 |
| | AU 680226 | B2 | 19970724 | | |
| | EP 674642 | A1 | 19951004 | EP 1994-903283 | 19931126 |
| | EP 674642 | B1 | 20000823 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | RU 2124016 | C1 | 19981227 | RU 1995-113966 | 19931126 |
| | BR 9307648 | A | 19990525 | BR 1993-7648 | 19931126 |
| | PL 177028 | B1 | 19990930 | PL 1993-309359 | 19931126 |
| | AT 195738 | T | 20000915 | AT 1994-903283 | 19931126 |
| | CZ 287319 | B6 | 20001011 | CZ 1995-1586 | 19931126 |
| | ES 2150482 | T3 | 20001201 | ES 1994-903283 | 19931126 |
| | PT 674642 | T | 20010131 | PT 1994-903283 | 19931126 |
| | IL 107944 | A | 20001206 | IL 1993-107944 | 19931209 |
| | ZA 9309405 | A | 19950615 | ZA 1993-9405 | 19931215 |
| | FI 9305675 | A | 19940618 | FI 1993-5675 | 19931216 |
| | FI 105920 | B1 | 20001031 | | |
| | CN 1094048 | A | 19941026 | CN 1993-120128 | 19931216 |
| | CN 1034175 | B | 19970305 | | |
| | HU 70426 | A2 | 19951030 | HU 1993-3613 | 19931216 |
| | HU 221507 | B | 20021028 | | |
| | NO 9502399 | A | 19950816 | NO 1995-2399 | 19950616 |
| | US 6218397 | B1 | 20010417 | US 1998-148075 | 19980904 |
| | GR 3034507 | T3 | 20001229 | GR 2000-402197 | 20000928 |
| PRAI | US 1992-992229 | A | 19921217 | | |
| | WO 1993-US11333 | W | 19931126 | | |
| | US 1995-481413 | B1 | 19950615 | | |
| OS | MARPAT 121:280680 | | | | |
| GI | | | | | |



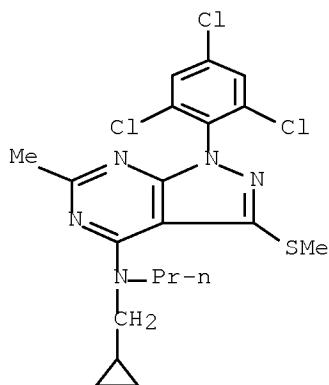
AB ACTH-releasing factor antagonists I (A = amino group, alkyl, alkylthio, etc.; R3, R4 = H, alkyl, halo, etc.; R5 = Ph, naphthyl, heteroaryl, etc.) were disclosed. I are useful in the treatment of illnesses induced or facilitated by CRF, such as inflammatory disorders, and depression and anxiety related disorders. Specifically claimed example compound is 3-[(4-methylbenzyl)[3,6-dimethyl-1-(2,4,6-trichlorophenyl)pyrazolo[4,3-d]pyrimidin-4-yl]amino]-1-propanol (II). Pharmacol. test data for I were not shown.

IT 158950-35-7P 158950-39-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as ACTH-releasing factor antagonist)

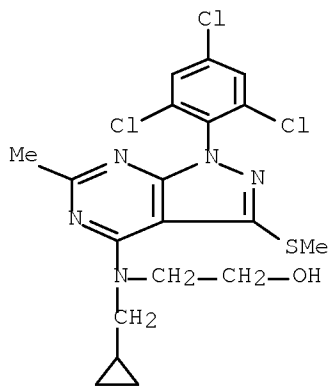
RN 158950-35-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-N-propyl-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



RN 158950-39-1 CAPLUS

CN Ethanol, 2-[(cyclopropylmethyl)[6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)



L10 ANSWER 33 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1993:495006 CAPLUS Full-text

DN 119:95006

TI Preparation of 4-(amino- and aminoalkyl)cyclohexane-1-carboxamide derivatives as vasodilators, antihypertensives, and antiasthmatics

IN Arita, Masafumi; Saito, Tadamasa; Sato, Hiroyuki; Uehata, Masayoshi; Okuda, Hirofumi

PA Yoshitomi Pharmaceutical Industries, Ltd., Japan

SO PCT Int. Appl., 71 pp.

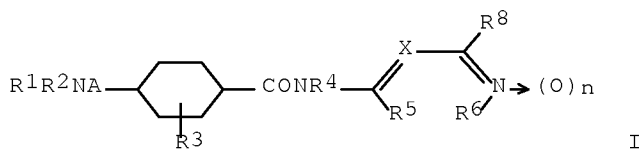
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 9305021 | A1 | 19930318 | WO 1992-JP1139 | 19920904 |
| | W: CA, HU, KR, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE | | | | |
| | EP 641781 | A1 | 19950308 | EP 1992-918882 | 19920904 |
| | EP 641781 | B1 | 20000726 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE | | | | |
| | CA 2117096 | C | 19971104 | CA 1992-2117096 | 19920904 |
| | AT 194977 | T | 20000815 | AT 1992-918882 | 19920904 |
| | ES 2148179 | T3 | 20001016 | ES 1992-918882 | 19920904 |
| | JP 05194401 | A | 19930803 | JP 1992-265416 | 19920907 |
| | JP 3275389 | B2 | 20020415 | | |
| | JP 06041080 | A | 19940215 | JP 1993-70970 | 19930305 |
| | JP 3265695 | B2 | 20020311 | | |
| | KR 133372 | B1 | 19980423 | KR 1994-700738 | 19940305 |
| | US 5478838 | A | 19951226 | US 1994-204211 | 19940307 |
| | GR 3034633 | T3 | 20010131 | GR 2000-402319 | 20001016 |
| PRAI | JP 1991-255689 | A | 19910906 | | |
| | JP 1992-146175 | A | 19920512 | | |
| | WO 1992-JP1139 | W | 19920904 | | |
| OS | MARPAT 119:95006 | | | | |
| GI | | | | | |



AB The title compds. (I; R1, R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, Ph, aralkyl, piperidyl, pyrrolidinyl, each optionally having substituents on the ring, etc.; R3, R4 = H, alkyl; A = single bond, alkylene; X = CR7, N; R7, R8 = H, halo, alkyl, alkoxy, aralkyl, haloalkyl, NO2, (un)substituted NH2, etc.; R5R6 = (un)substituted CH:CH, NHCH2, N:CH, CH2NH, CH:N, or NH; n = 0, 1; several provisos are given), useful for treating angina pectoris and for improving peripheral blood circulation, are prepared Thus, amidation of 4-amino-1H-pyrazolo[3,4-b]pyridine-2HCl with (+)-trans-4-(1-benzoyloxycarboxamidoethyl)cyclohexanecarbonyl chloride (preparation given) in the presence of (Me2CH)2NEt in 1,3-dimethyl-2-imidazolidinone followed by hydrogenolysis over 10% Pd-C in 15% HCl in MeOH at 5 atm H2 gave (+)-trans-N-(1H-pyrazolo[3,4-b]pyridin-4-yl)-4-(1-aminoethyl)cyclohexanecarboxamide-2HCl

(II). II at 0.3 mg/kg i.p reduced the blood pressure of spontaneously hypertensive rats by 96 mmHg. A total of 41 I were prepared and 4 I were also tested for blood vessel relaxant activity in a rabbit's thoracic aorta, for increasing blood flow in dog's coronary artery, and for inhibiting histamine-induced guinea pig asthma and acetylcholine-induced contraction of an extirpated guinea pig trachea.

IT 149004-91-1P 149004-92-2P 149004-93-3P

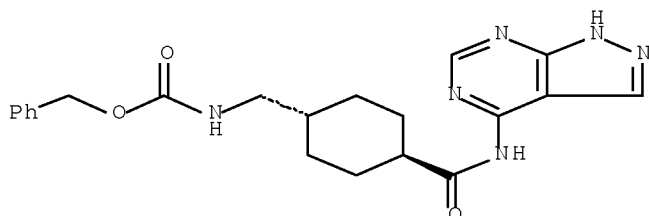
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn of, as intermediate for vasodilator, antihypertensive, and antiasthmatic cyclohexanecarboxamide derivative)

RN 149004-91-1 CAPLUS

CN Carbamic acid, [[4-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)carbonyl]cyclohexyl]methyl]-, phenylmethyl ester, trans- (9CI)
(CA INDEX NAME)

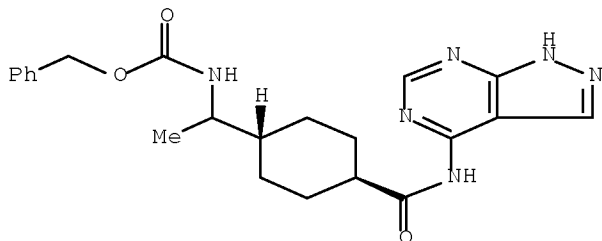
Relative stereochemistry.



RN 149004-92-2 CAPLUS

CN Carbamic acid, [1-[4-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)carbonyl]cyclohexyl]ethyl]-, phenylmethyl ester, trans-(+)- (9CI)
(CA INDEX NAME)

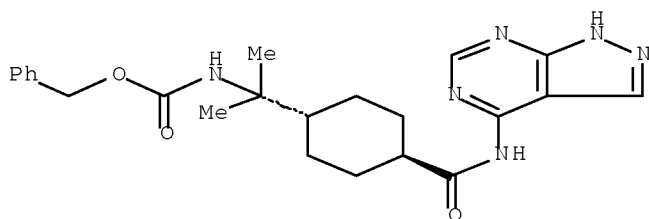
Rotation (+). Absolute stereochemistry unknown.



RN 149004-93-3 CAPLUS

CN Carbamic acid, [1-methyl-1-[4-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)carbonyl]cyclohexyl]ethyl]-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L10 ANSWER 34 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1989:114859 CAPLUS Full-text

DN 110:114859

TI Preparation of 4-(disubstituted amino)pyrazolo[3,4-d]pyrimidines as
bronchodilators and antiallergy agents

IN Friebe, Walter Gunar; Kampe, Wolfgang; Wilhelms, Otto Henning

PA Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SO Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

DT Patent

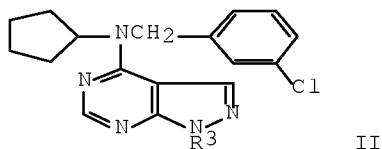
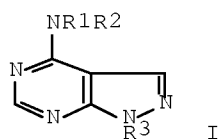
LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | EP 287907 | A1 | 19881026 | EP 1988-105595 | 19880408 |
| | EP 287907 | B1 | 19920819 | | |
| | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | DE 3712735 | A1 | 19881110 | DE 1987-3712735 | 19870415 |
| | AT 79623 | T | 19920915 | AT 1988-105595 | 19880408 |
| | ES 2052629 | T3 | 19940716 | ES 1988-105595 | 19880408 |
| | IL 86013 | A | 19920216 | IL 1988-86013 | 19880410 |
| | DK 8801968 | A | 19881016 | DK 1988-1968 | 19880411 |
| | AU 8814506 | A | 19881020 | AU 1988-14506 | 19880412 |
| | AU 613907 | B2 | 19910815 | | |
| | JP 63258880 | A | 19881026 | JP 1988-88361 | 19880412 |
| | DD 274030 | A5 | 19891206 | DD 1988-314699 | 19880413 |
| | FI 8801760 | A | 19881016 | FI 1988-1760 | 19880414 |
| | FI 88163 | B | 19921231 | | |
| | FI 88163 | C | 19930413 | | |
| | ZA 8802618 | A | 19881228 | ZA 1988-2618 | 19880414 |
| | HU 47578 | A2 | 19890328 | HU 1988-1923 | 19880414 |
| | HU 198493 | B | 19891030 | | |
| | US 4904666 | A | 19900227 | US 1988-181729 | 19880414 |
| | SU 1701111 | A3 | 19911223 | SU 1988-4355573 | 19880414 |
| | CN 88102247 | A | 19881102 | CN 1988-102247 | 19880415 |
| | CA 1308713 | C | 19921013 | CA 1988-564268 | 19880415 |
| PRAI | DE 1987-3712735 | A | 19870415 | | |
| | EP 1988-105595 | A | 19880408 | | |

OS CASREACT 110:114859; MARPAT 110:114859

GI



AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, aryl; R2 = alkenyl, cycloalkyl, (un)substituted aralkyl, heteroarylalkyl; R3 = H, alkyl, hydroxyalkyl, tetrahydrofuranyl, tetrahydropyranyl] were prepared as bronchodilators and antiallergy agents (no data). 4-Chloro-1H-pyrazolo[3,4-d]pyrimidine was refluxed 16 h with N-(3-chlorobenzyl)cyclopentylamine in BuOH to give title compound II (R3 = H) which was stirred 1 h at 80° with NaH in DMF after which 4-(4-toluenesulfonyloxymethyl)-2,2-dimethyl-1,3-dioxolane was added followed by an addnl. 3 h stirring at 80° to give II [R3 = CH2CH(OH)CH2OH].

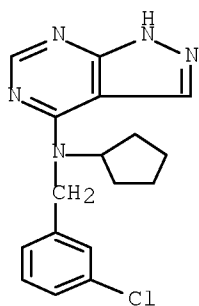
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119287-34-2P 119287-35-3P 119287-36-4P

119287-37-5P 119287-38-6P 119287-44-4P
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 119287-59-1P 119287-60-4P 119287-63-7P
 119287-64-8P 119287-65-9P 119287-66-0P
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RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as bronchodilator and antiallergy agent)

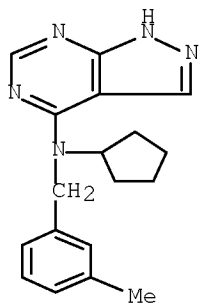
RN 119287-23-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



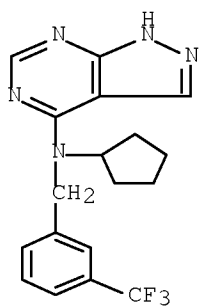
RN 119287-26-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3-methylphenyl)methyl]- (CA INDEX NAME)



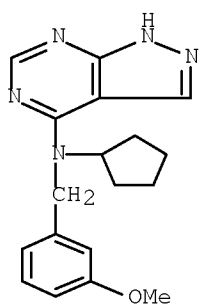
RN 119287-27-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



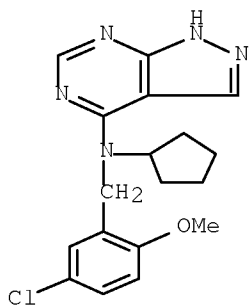
RN 119287-28-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3-methoxyphenyl)methyl]- (CA INDEX NAME)



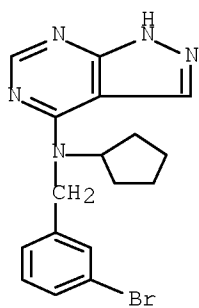
RN 119287-29-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(5-chloro-2-methoxyphenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



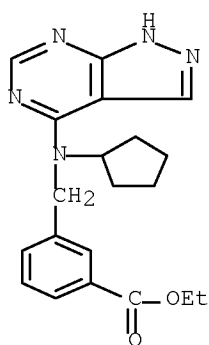
RN 119287-30-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3-bromophenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



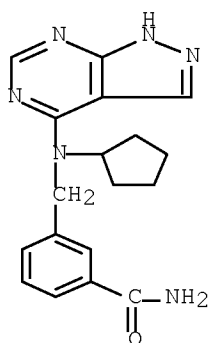
RN 119287-31-9 CAPLUS

CN Benzoic acid, 3-[(cyclopentyl-1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]-, ethyl ester (CA INDEX NAME)



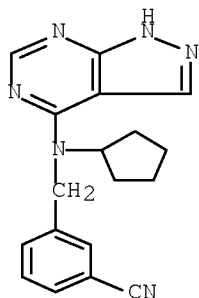
RN 119287-32-0 CAPLUS

CN Benzamide, 3-[(cyclopentyl-1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]- (CA INDEX NAME)



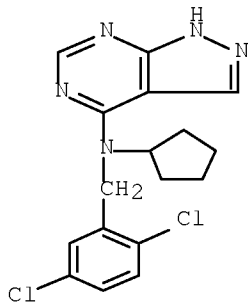
RN 119287-33-1 CAPLUS

CN Benzonitrile, 3-[(cyclopentyl-1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]- (CA INDEX NAME)



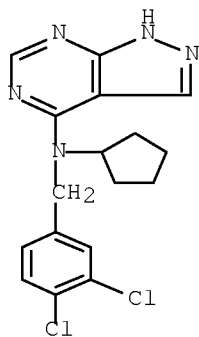
RN 119287-34-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(2,5-dichlorophenyl)methyl]- (CA INDEX NAME)



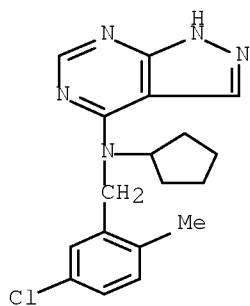
RN 119287-35-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3,4-dichlorophenyl)methyl]- (CA INDEX NAME)



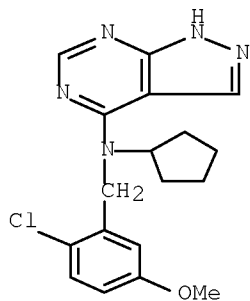
RN 119287-36-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(5-chloro-2-methylphenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



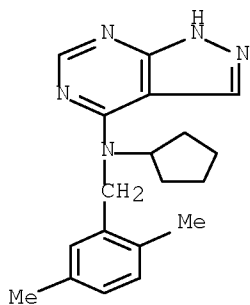
RN 119287-37-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(2-chloro-5-methoxyphenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



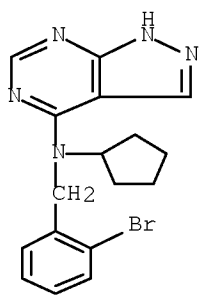
RN 119287-38-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(2,5-dimethylphenyl)methyl]- (CA INDEX NAME)



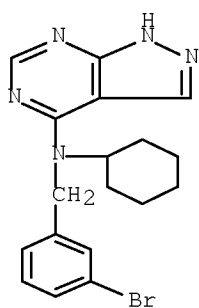
RN 119287-44-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(2-bromophenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



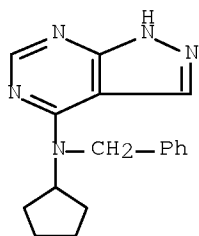
RN 119287-45-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3-bromophenyl)methyl]-N-cyclohexyl- (CA INDEX NAME)



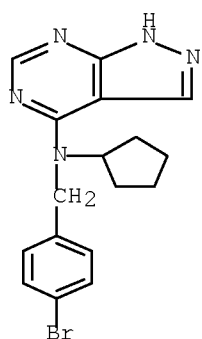
RN 119287-48-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-(phenylmethyl)- (CA INDEX NAME)



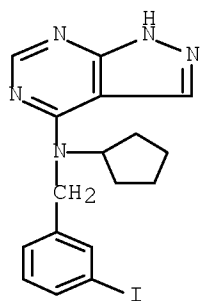
RN 119287-49-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(4-bromophenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



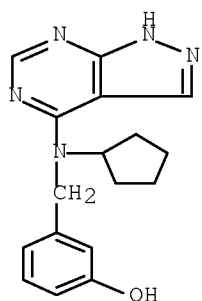
RN 119287-50-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3-iodophenyl)methyl]- (CA INDEX NAME)



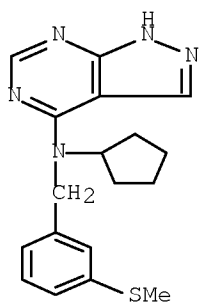
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CN Phenol, 3-[(cyclopentyl-1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]- (CA INDEX NAME)



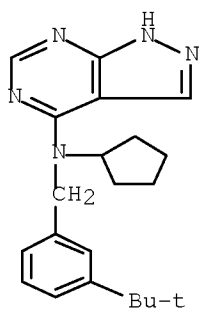
RN 119287-52-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[[3-(methylthio)phenyl)methyl]- (CA INDEX NAME)



RN 119287-53-5 CAPLUS

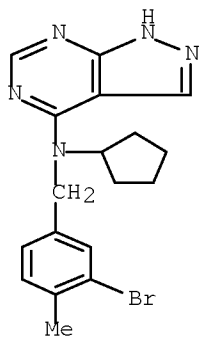
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[[3-(1,1-dimethylethyl)phenyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 119287-54-6 CAPLUS

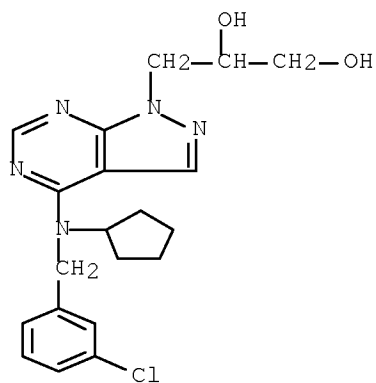
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3-bromo-4-methylphenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



RN 119287-57-9 CAPLUS

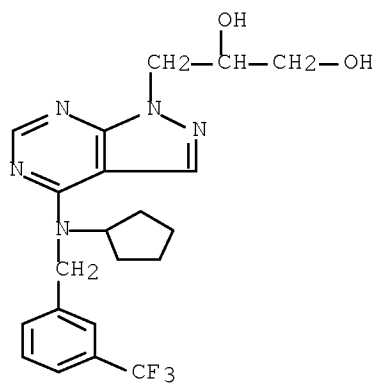
CN 1,2-Propanediol, 3-[4-[[3-(chlorophenyl)methyl]cyclopentylamino]-1H-

pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



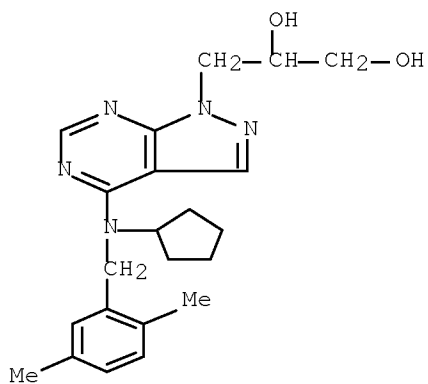
RN 119287-59-1 CAPLUS

CN 1,2-Propanediol, 3-[4-[cyclopentyl[[3-(trifluoromethyl)phenyl]methyl]amino]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



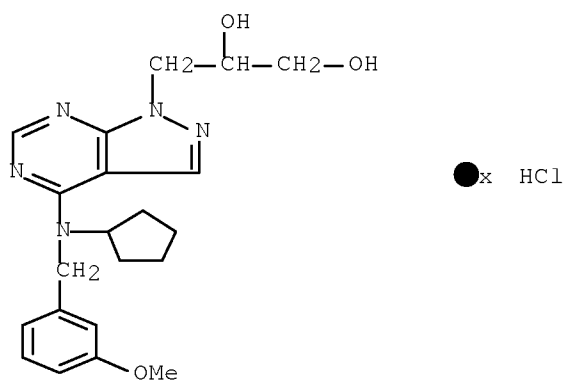
RN 119287-60-4 CAPLUS

CN 1,2-Propanediol, 3-[4-[cyclopentyl[(2,5-dimethylphenyl)methyl]amino]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



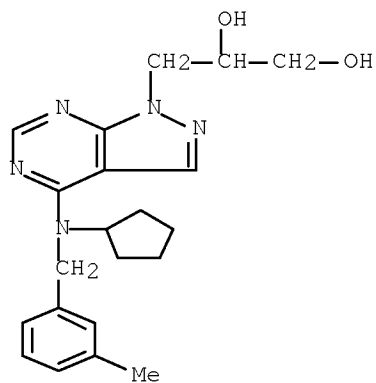
RN 119287-63-7 CAPLUS

CN 1,2-Propanediol, 3-[4-[cyclopentyl[(3-methoxyphenyl)methyl]amino]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]-, hydrochloride (9CI) (CA INDEX NAME)



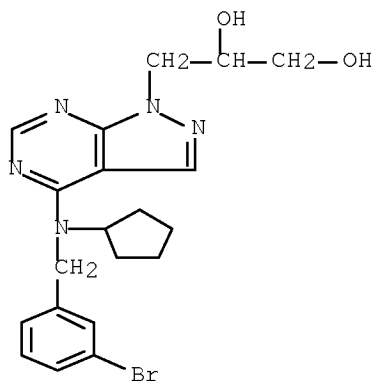
RN 119287-64-8 CAPLUS

CN 1,2-Propanediol, 3-[4-[cyclopentyl[(3-methylphenyl)methyl]amino]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



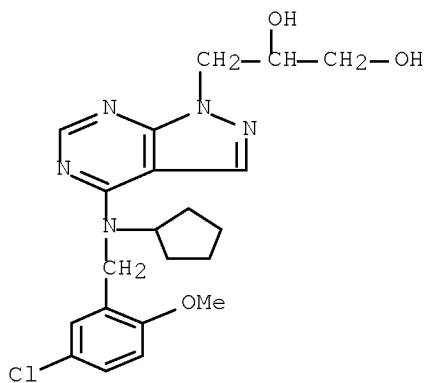
RN 119287-65-9 CAPLUS

CN 1,2-Propanediol, 3-[4-[[(3-bromophenyl)methyl]cyclopentylamino]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



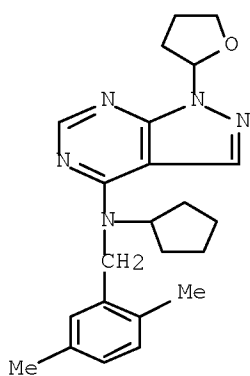
RN 119287-66-0 CAPLUS

CN 1,2-Propanediol, 3-[4-[[(5-chloro-2-methoxyphenyl)methyl]cyclopentylamino]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



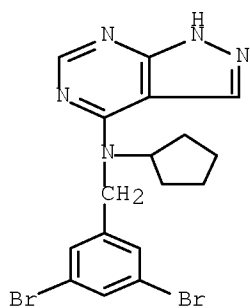
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CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(2,5-dimethylphenyl)methyl]-1-(tetrahydro-2-furanyl)- (CA INDEX NAME)



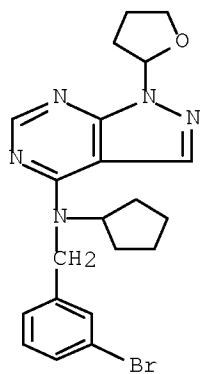
RN 119318-34-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3,5-dibromophenyl)methyl]- (CA INDEX NAME)

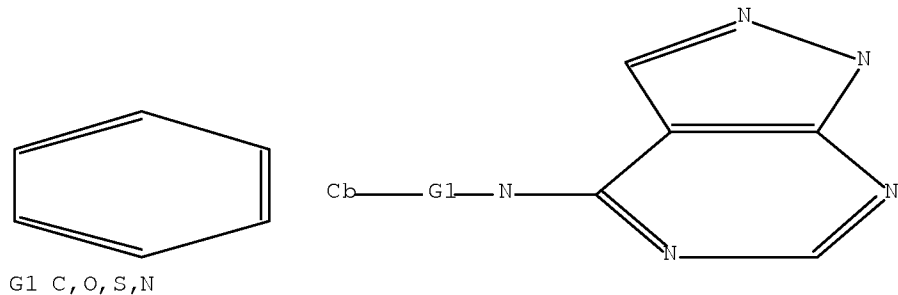


RN 119318-35-3 CAPLUS

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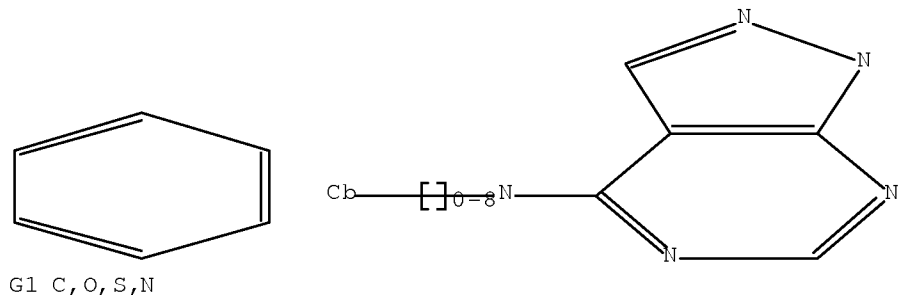


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L1 STR
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L6 HAS NO ANSWERS
L5 STR
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Structure attributes must be viewed using STN Express query preparation.
 L6 QUE ABB=ON PLU=ON L5

(FILE 'REGISTRY' ENTERED AT 09:27:42 ON 07 DEC 2007)

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L2 QUE L1
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L4 20 S L2 FUL
L5 STRUCTURE UPLOADED
L6 QUE L5
L7 26 S L6
L8 432 S L6 FUL
L9 432 S L4 OR L8
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FILE 'CAPLUS' ENTERED AT 09:32:26 ON 07 DEC 2007

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L10 34 S L9
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| FULL ESTIMATED COST | 180.59 | 527.70 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -26.52 | -26.52 |

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